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NEWS
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NEWS
NEWS 3 FEB 25 CA/CAPLUS - Russian Agency for Patents and Trademarks
                (ROSPATENT) added to list of core patent offices covered
        FEB 28 PATDPAFULL - New display fields provide for legal status
NEWS
                data from INPADOC
NEWS 5 FEB 28 BABS - Current-awareness alerts (SDIs) available
NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded
NEWS 7 MAR 02 GBFULL: New full-text patent database on STN
NEWS 8 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 10 MAR 22 KOREAPAT now updated monthly; patent information enhanced
NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS 12 MAR 22 PATDPASPC - New patent database available
NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags
     14 APR 04 EPFULL enhanced with additional patent information and new
NEWS
                fields
NEWS 15 APR 04 EMBASE - Database reloaded and enhanced
NEWS 16 APR 18 New CAS Information Use Policies available online
NEWS 17 APR 25 Patent searching, including current-awareness alerts (SDIs),
                based on application date in CA/CAplus and USPATFULL/USPAT2
                may be affected by a change in filing date for U.S.
                applications.
                Improved searching of U.S. Patent Classifications for
NEWS
     18 APR 28
                U.S. patent records in CA/CAplus
NEWS 19 MAY 23 GBFULL enhanced with patent drawing images
NEWS 20 MAY 23 REGISTRY has been enhanced with source information from
                CHEMCATS
NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
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NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 08:37:21 ON 24 MAY 2005

=> file reg

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0.21

FULL ESTIMATED COST

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=> Uploading C:\Program Files\Stnexp\Queries\10630896\Q.str

 $\begin{array}{c}
G_3 \\
G_3
\end{array}$ 13

H²

chain nodes :

10 11 13 14 17 21 22 23 32

ring nodes :

1 2 3 4 5 6 7 8 9 25 26 27 28 29 33 34 35 36 37 38 40 41 42 43 44 45 46 47 48 49 50 51 52 53 54 55 56 57

chain bonds :

1-32 2-10 10-21 11-13 11-14 22-23 22-40 22-41 26-52

ring bonds :

1-2 1-5 2-3 3-4 3-6 4-5 4-9 6-7 7-8 8-9 25-26 25-29 26-27 27-28 28-29 33-34 33-38 34-35 35-36 36-37 37-38 40-42 40-46 41-47 41-51 42-43 43-44 44-45 45-46 47-48 48-49 49-50 50-51 52-53 52-57 53-54 54-55 55-56 56-57

exact/norm bonds :

1-2 1-5 1-32 2-3 2-10 4-5 10-21 11-13 11-14 25-26 25-29 26-27 27-28 28-29

exact bonds :

22-23 22-40 22-41 26-52

normalized bonds :

3-4 3-6 4-9 6-7 7-8 8-9 33-34 33-38 34-35 35-36 36-37 37-38 40-42 40-46 41-47 41-51 42-43 43-44 44-45 45-46 47-48 48-49 49-50 50-51 52-53 52-57 53-54

54-55 55-56 56-57

G3:H, Cy, Ak

G4: [*1], [*2]

G5: [*3], [*4], [*5]

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 13:CLASS 14:CLASS 17:Atom 21:CLASS 22:CLASS 23:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 32:CLASS 33:CLASS 34:CLASS 35:Atom 36:Atom 37:Atom 38:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:Atom 57:Atom

Element Count : Node 17: Limited

N,N1

L1STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 08:38:01 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 3100 TO ITERATE

32.3% PROCESSED 1000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

58661 TO 65339

PROJECTED ANSWERS: 3234 TO 4950

L2 50 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 08:38:11 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 61175 TO ITERATE

100.0% PROCESSED 61175 ITERATIONS

3913 ANSWERS

50 ANSWERS

SEARCH TIME: 00.00.02

L3 3913 SEA SSS FUL L1

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COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 161.76 161.97

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FILE COVERS 1907 - 24 May 2005 VOL 142 ISS 22 FILE LAST UPDATED: 23 May 2005 (20050523/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 142 L3

=> d ed ibib abs hitstr 1-142

ANSWER 1 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 07 Apr 2005

ACCESSION NUMBER: 2005:297650 CAPLUS

DOCUMENT NUMBER: 142:363445 TITLE:

Organic electroluminescent devices with high luminance and good stability on repetitive uses and materials

INVENTOR (S): Onikubo, Shunichi: Enokida, Toshio: Suda, Yasumasa;

Toba, Yasumasa; Kimura, Yasunori; Kaneko, Tetsuya PATENT ASSIGNEE(S): Toyo Ink Mfg. Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 37 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. 20050407 20030916 A2 JP 2003-322555 JP 2005089543 JP 2003-322555 20030916 PRIORITY APPLN. INFO.: The materials contain condensed azacyclic compds. substituting, at the azacyclic ring, electron-withdrawing groups which contain double bonds and are not a part of other rings. The materials may further contain phosphorescent substances (e.g., Ir or Pt complexes). Organic LED having organic layers including one or more comprised of the materials are further claimed. 849222-66-B

RL: DEV (Device component use); TEM (Technical or engineered material use); USES (Uses)

(emitting layers; high-efficiency organic LED containing

electron-withdrawing

azacyclic compds. and phosphorescent compds.) 849222-66-8 CAPLUS

INDEX NAME NOT YET ASSIGNED CN

ANSWER 2 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) biphenyl]-2-yl)-6-quinolinyl]-1-[2-(dimethylamino)ethyl)- (9CI) (CA INDEX

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 2 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 11 Feb 2005

2005:120918 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 142:219284 TITLE: A preparation of bicyclic imidazole derivatives,

useful for the treatment of viral infections mediated

by flaviviridae family of viruses Schmitz, Franz Ulrich: Roberts, Christopher Don:

Griffith, Ronald Conrad: Botyanszki, Janos: Gezginci, Mikail Hakan: Gralapp, Joshua Michael; Shi, Dong Fang;

Liehr, Sebastian J. R. Genelabs Technologies, Inc. USA

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 327 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

INVENTOR(S):

APPLICATION NO. DATE PATENT NO. KIND 20050210 WO 2004-US24755 20040730 WO 2005012288 Al W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, 2W RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-492108P

OTHER SOURCE(S): MARPAT 142:219284

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention relates to a preparation of bicyclic imidazole derivs. of formula I (wherein: W is CH or N: R is H, (cyclo)alkyl, alk(en/yn)yl, or (hetero)aryl, etc.; X is a fused 6,6-bicycle; Y is halogen, CN, NO2, alkyl, or acyl, etc.; Z is C(0)0-(H/alkyl/alk(en/yn)yl), C(0)NH(alkyl), or C(O)NH(aryl), etc.), useful for the treatment of viral infections mediated by flaviviridae family of viruses. For instance, benzimidazole derivative II (HCV-NS5b enzyme assay, inhibition data: at 100 μM - 98.22%, at 33 μM - 92.74%) was prepared via amidation of III by aminoacid IV with a yield of 32% (example 4).

841298-92-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of bicyclic imidazole derivs. useful for the treatment of viral

infections mediated by flaviviridae family of viruses)

841298-92-8 CAPLUS 1H-Benzimidazole-5-carboxylic acid, 2-(2-(4'-chloro-4-methoxy(1,1'-

ANSWER 3 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 05 Dec 2004

2004:1038741 CAPLUS ACCESSION NUMBER:

TITLE: Synthesis and antihypertensive activity of novel benzimidazole, benzoxazole and benzothiazole

derivatives

AUTHOR (S): Abouzid, Khaled; Refaat, Hanan; Hakeem, Maha Abdel; Abdel-Naim, Ashraf B.

Pharmaceutical Chemistry Department, Faculty of CORPORATE SOURCE:

Pharmacy, Ain Shams University, Egypt SOURCE: Bulletin of the Faculty of Pharmacy (Cairo University)

(2002), 40(1), 7-13

CODEN: BFPHA9; ISSN: 1110-0931 PUBLISHER: Cairo University, Faculty of Pharmacy

DOCUMENT TYPE: Journal

LANGUAGE: English

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

A series of novel 2-[2-(4-arylpiperazin-1-yl)-2-oxoethylthio]benzazoles [I (X = S, O, NH; R = H, F)], 2-[4-(6-oxo-1,4,5,6-tetrahydropyridazin-3yl)phenylamino-2-(1-methyl)-2-oxoethylthio]benzothiazole, 2-(4-{(4-pyridin-2-yl)piperazin-1-yl]benzylidene]hydrazinobenzothiazole, 2-[4-(4-(pyridin-2-yl)piperazin-1-yl) phenyl)-1H-benzimidazole, 2-aryl-1-[2-(4-arylpiperazin-1-yl)-2-oxoethyl]-1-H-benzimidazoles [II (R2 = 4-BrC6H4 or 2-thienyl; R3 = Ph, 4-FC6H4, 2-pyrimidinyl)], and 5-{(4-N-substituted-piperazin-1-yl) carbonyl}-2-(4-fluorophenyl}-1Hbenzimidazoles [III (R4 = Me, 2-CF3C6H4CH2CH2)] were synthesized and evaluated for hypotensive activity on normotensive cats. Preliminary screening demonstrated significant hypotensive effect for some of the tested compds. 2-[2-(4-(4-Fluorophenyl) piperazin-1-yl)-2-oxoethylthio] benzimidazole was found to be the most active hypotensive agent among the tested compds. It exhibited significant effect at dose level of 0.004 mq/kg.

850705-32-7P 850705-33-8P 850705-34-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of benzimidazole, benzoxazole, and benzothiazole derivs.

a piperazine moiety and evaluation of antihypertensive activity)

850705-32-7 CAPLUS

INDEX NAME NOT YET ASSIGNED

850705-33-8 CAPLUS INDEX NAME NOT YET ASSIGNED

ANSWER 3 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

850705-34-9 CAPLUS INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT:

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

850246-82-1 CAPLUS Phenol, 2-[1-[1-[[4-(1H-benzimidazol-2-yl)phenyl]amino]-3-phenyl-2-propenyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

850246-83-2 CAPLUS Phenol, 2-[1-[[[4-(1H-benzimidazol-2-yl)phenyl]amino]methyl]-1Hbenzimidazol-2-yl]- (9CI) (CA INDEX NAME)

850246-84-3 CAPLUS INDEX NAME NOT YET ASSIGNED 05/24/2005

SOURCE:

ANSWER 4 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 18 Nov 2004

ACCESSION NUMBER: 2004:988597 CAPLUS 142:411289 DOCUMENT NUMBER:

TITLE: Synthesis and characterization of benzimidazole derivatives and study of their antibacterial and

antifungal activities

Bhatt, Ashutosh K.; Shah, Palak R.; Karadla, Hasanali; AUTHOR (S):

Patel, H. D.

CORPORATE SOURCE: Chemistry Laboratory, Xavier Research Foundation, Ahmedabad, India

Oriental Journal of Chemistry (2004), 20(2), 385-388 CODEN: OJCHEG: ISSN: 0970-020X

PUBLISHER: Oriental Scientific Publishing Co.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Reaction of 2-(2-Hydroxyphenyl)-1H-benzimidazole with p-aminobenzoic acid and aromatic aldehydes in ethanol furnishes 1-(a-p-carboxyphenylaminobenzyl)-2-(2-hydroxyphenyl)benzimidazole. Which on treatment with o-phenylene diamine in pyridine results in the formation of 1-(a-p-benzimidazolyl-aminobenzyl)-2-(2-hydroxyphenyl)benzimidazole (I) in the varying from 60-65%. Antibacterial and antifungal activities

of I were reported. 850246-80-9P 850246-81-0P 850246-82-1P

850246-83-2P 850246-84-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of benzimidazole derivs. and their antibacterial and antifungal activities)

850246-80-9 CAPLUS

Phenol, 2-[1-[{[4-(1H-benzimidazol-2-yl)phenyl]amino}phenylmethyl]-1Hbenzimidazol-2-yl)- (9CI) (CA INDEX NAME)

850246-81-0 CAPLUS INDEX NAME NOT YET ASSIGNED

ANSWER 4 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

850246-75-2P 850246-76-3P 850246-77-4P

850246-78-5P 850246-79-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazole derivs. and their antibacterial and antifungal

activities) 850246-75-2 CAPLUS

INDEX NAME NOT YET ASSIGNED

850246-76-3 CAPLUS

INDEX NAME NOT YET ASSIGNED

850246-77-4 CAPLUS RN

INDEX NAME NOT YET ASSIGNED CN

L4 ANSWER 4 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 850246-78-5 CAPLUS CN INDEX NAME NOT TET ASSIGNED

RN 850246-79-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Preventive and/or therapeutic drugs for itch containing as the active ingredient substances capable of suppressing the functions of GPR4 relating to signal transduction; and nitrogen-containing tricyclic compds. represented by the general formula I (R1 is substituted or unsubstituted lower alkyl or the like; R2 is hydrogen, substituted or unsubstituted lower alkyl, or the like; R3 and R4 are each independently hydrogen, lower alkyl, or the like; n is 0 or 1; X = -(CH2)2- or the like; and Y = a group represented by the general formula II wherein W is CH or nitrogen; Z1 and Z2 are each independently hydrogen, substituted or unsubstituted lower alkyl, or the like; and Z3 is hydrogen, substituted or unsubstituted lower alkyl, or the like), quaternary ammonium salts thereof, or pharmacol. acceptable salts of both.

IT 666717-31-3P 666717-32-4P 666717-33-5P 666717-34-6P 666717-35-7P 666717-37-9P 666717-38-0P 666717-39-1P 666717-40-4P 666717-41-5P 666717-42-6P 666717-43-7P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preventive and/or therapeutic drugs for itch)

RN 666717-31-3 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-2-[(4-methyl-1-piperazinyl)methyl]-8[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 666717-32-4 CAPLUS
CN 5H-Dibenz[b,f]azepine, 2-{(3,6-dihydro-1(2H)-pyridinyl)methyl]-10,11-dihydro-8-{(2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

RN 666717-33-5 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-2-({2-phenyl-1H-benzimidazol-1-y1)methyl]-8-(1-pyrrolidinylmethyl)- (9CI) {CA INDEX NAME}

RN 666717-34-6 CAPLUS
CN 54-Dibenzib flazenine 2

5H-Dibenz[b,f]azepine, 2-[(3,5-dimethyl-1-piperidinyl)methyl]-10,11-dihydro-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 04 Nov 2004 ACCESSION NUMBER: 2004:927078 CAPLUS

DOCUMENT NUMBER: 141:388755
TITLE: Preventive and/or therapeutic agent for neutrophil

inflammation disease
INVENTOR(S): Saki, Mayumi; Nonaka, Hiromi; Miyaji, Hiromasa;

Takahashi, Chisa: Manabe, Haruhiko; Hiura, Naoko; Miki, Ichiro; Abe, Yuzuru: Sasaki, Katsutoshi; Kobatake, Choei; Ichikawa, Shunji; Goto, Akihisa;

Suda, Toshio

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co. Ltd., Japan SOURCE: PCT Int. Appl., 203 pp.

PCT Int. Appl., 203 pp CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

DATE PATENT NO. APPLICATION NO. KIND DATE WO 2004093912 20041104 WO 2004-JP5930 20040423 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,

PRIORITY APPLN. INFO.: JP 2003-118432 A 20030423 JP 2004-52191 A 20040226

OTHER SOURCE(S): MARPAT 141:388755

L4 ANSWER 5 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 666717-35-7 CAPLUS
CN 5H-Dibenz[b,f]azepine, 2-([1,4'-bipiperidin]-1'-ylmethyl)-10,11-dihydro-8[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 666717-37-9 CAPLUS
CN 5H-Dibenz(b,f)azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1~y1)methyl]-8-[(4-{phenylmethyl}-1-piperidinyl)methyl}- (9CI) (CA INDEX NAME)

RN 666717-38-0 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1-yl)methyl]-8-[[4-(phenylmethyl)-1-piperazinyl)methyl]- (9CI) (CA INDEX

$$\begin{array}{c|c} & & & \\ & & & \\ Ph-CH_2 & & & \\ & & & \\ \end{array}$$

N 666717-39-1 CAPLUS
N 1-Piperazinecarboxylic acid. 4-[[10,

N 1-Piperazinecarboxylic acid, 4-[(10,11-dihydro-8-((2-phenyl-1H-benzimidazol-1-yl)methyl]-5H-dibenz[b,f]azepin-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 5 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

666717-40-4 CAPLUS 5H-Dibenz(b, f)azepine, 10,11-dihydro-2-(4-morpholinylmethyl)-8-((2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

5H-Dibenz[b, f]azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1y1)methy1]-8-(3-thiazolidinylmethy1)- (9CI) (CA INDEX NAME)

666717-42-6 CAPLUS

5H-Dibenz[b, f]azepine-2-methanamine, N-butyl-10, 11-dihydro-N-methyl-8-[(2phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

666717-43-7 CAPLUS

5H-Dibenz[b, f]azepine, 2-((3, 4-dihydro-2(1H)-isoquinolinyl)methyl]-10, lldihydro-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 6 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 30 Sep 2004

2004:799454 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 141:291229

Histone deacetylase inhibitors TITLE:

Bressi, Jerome C.: Brown, Jason W.; Cao, Sheldon X.; INVENTOR(S): Gangloff, Anthony R.; Jennings, Andrew J.; Stafford,

Jeffrey A.; Vu, Phong H.; Xiao, Xiao-Yi Syrrx, Inc., USA

PATENT ASSIGNEE (S): PCT Int. Appl., 276 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE APPLICATION NO. WO 2004082638 20040930 WO 2004-US8342 20040317 A2 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2004-803575 US 2004254220 20041216 US 2004266769 US 2004-803344 20040317 Al 20041230 P 20030317 PRIORITY APPLN. INFO.: US 2003-455437P

MARPAT 141:291229 OTHER SOURCE(S): Compds. that may be used to inhibit histone deacetylase are disclosed. Thus, 119 compds. were prepared which exhibited better than 1000 nM IC50 against HDAC1, HDAC2, HDAC6, and HDAC8 (suberanilohydroxamic acid showed an IC50 of 63 nM in this assay). Many of these compds. were 3-{3-(1-substituted-1H-benzoimidazol-2-yl)phenyl}acrylic acids and N-hydroxy-[3-(1-substituted-1H-benzoimidazol-2-y1)phenyl]acrylamides.

US 2003-531203P

P 20031219

758693-32-2 758693-33-3 758693-65-1 758693-66-2 758693-67-3 758693-68-4 758693-91-3 758693-97-9 758694-01-8

758694-03-0 758694-04-1 758694-05-2

758694-25-6 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(histone deacetylase inhibitors)

758693-32-2 CAPLUS

2-Propenamide, N-hydroxy-3-(3-[1-(4-piperidinylmethyl)-1H-benzimidazol-2-yl]phenyl)~ (9CI) (CA INDEX NAME)

ANSWER 5 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

REFERENCE COUNT:

THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS 27 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

758693-33-3 CAPLUS

2-Propenamide, N-hydroxy-3-(3-[1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-CN 2-yl]phenyl]- (9CI) (CA INDEX NAME)

758693-65-1 CAPLUS

2-Propenamide, N-hydroxy-3-[3-[1-[2-(2-pyridinyl)ethyl]-1H-benzimidazol-2yl]phenyl]- (9CI) (CA INDEX NAME)

758693-66-2 CAPLUS

2-Propenamide, N-hydroxy-3-[3-[1-[2-(3-pyridinyl)ethyl]-lH-benzimidazol-2yl)phenyl)- (9CI) (CA INDEX NAME)

758693-67-3 CAPLUS

05/24/2005

ANSWER 6 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2-Propenamide, N-hydroxy-3-[3-[1-[2-(4-pyridinyl)ethyl]-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

758693-68-4 CAPLUS 2-Propenamide, N-hydroxy-3-[3-[1-[2-(1H-indol-2-yl)ethyl]-1H-benzimidazol-2-yl)phenyl}- (9CI) (CA INDEX NAME)

758693-91-3 CAPLUS 2-Propenamide, N-hydroxy-3-[3-[1-[2-(1-piperidinyl)ethyl]-1H-benzimidazol-СИ 2-y1]pheny1]- (9CI) (CA INDEX NAME)

758693-97-9 CAPLUS 2-Propenamide, 3-[3-[1-[2-(diethylamino)ethyl]-lH-benzimidazol-2yl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

758694-25-6 CAPLUS 2-Propenamide, 3-(3-[1-(2-(dimethylamino)-2-phenylethyl]-1H-benzimidazol-2-yl]phenyl}-N-hydroxy- (9CI) (CA INDEX NAME)

ANSWER 6 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

758694-01-8 CAPLUS 2-Propenamide, 3-(3-(1-((1-ethyl-2-pyrrolidinyl)methyl)-1H-benzimidazol-2-yl)phenyl)-N-hydroxy- (9CI) (CA INDEX NAME)

758694-03-0 CAPLUS 2-Propenamide, 3-[3-[1-[2-(dimethylamino)ethyl]-1H-benzimidazol-2-yl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)

758694-04-1 CAPLUS 2-Propenamide, 3-[3-[1-[2-(dimethylamino)-1-methylethyl]-1H-benzimidazol-2-yl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)

758694-05-2 CAPLUS 2-Propenamide, 3-[3-[1-{2-[bis(1-methylethyl)amino}ethyl)-1H-benzimidazol-2-yl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)

ANSWER 7 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 30 Sep 2004 ACCESSION NUMBER:

2004:799443 CAPLUS DOCUMENT NUMBER: 141:314324

Preparation of bicyclic anilide spirohydantoin CGRP TITLE:

receptor antagonists

Bell, Ian M.; Gallicchio, Steven N.; Theberge, Cory INVENTOR (S): R.; Zhang, Xu-Fang; Stump, Craig; Zartman, C. Blair

PATENT ASSIGNEE(S): Merck & Co. Inc., USA PCT Int. Appl., 120 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

*	ent)				KIN) 1	DATE		1		ICAT:					ATE	
	20040				A2	•	2004	0020			004-1					0040	
									1	W 2	004-	0312	03		2	0040	310
WO	20040	1826	05		A3		2004	111B									
	W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CŔ,	CU,	CZ,	DE,	DX,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		TJ,	TM,	TN,	TR,	TT,	TZ,	UΑ,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	υĢ,	ZM,	ZW,	AM,	AZ,
		BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
		ES,	FI,	FR,	GB,	GR,	Hυ,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
		TD,	TG														
IORITY	APPI	LN.	INFO	.:					1	US 2	003-	4556	09P		P 2	0030	314
										US 2	003-	4866	42P		P 2	0030	711

MARPAT 141:314324

ANSWER 7 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) The title compds. [I; B = (un) substituted bicycloheterocycle; Al, A2 = abond, (un) substituted CH2: R4 = H, alkyl, fluoroalkyl, cycloalkyl, etc.; R51, R52, R53 = H, alkyl, alkoxy, etc.; R6 = H, alkyl, cycloalkyl, etc.; m, n = 1-2} that are antagonists of CGRP receptors and that are useful in the treatment or prevention of diseases in which the CGRP is involved, such as headache, migraine and cluster headache, were prepared E.g., a multi-step synthesis of II, starting from 6-bromo-2-tetralone, was given. The exemplified compds. I had activity as antagonists of the CGRP receptor, generally with a Ki or IC50 value of <50µM. The invention is also directed to pharmaceutical compns. comprising the compds. I and the use of these compds. and compns. in the prevention or treatment of such diseases in which CGRP is involved.

767303-85-5P 767304-06-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of bicyclic anilide spirohydantoin CGRP receptor antagonists)

767303-85-5 CAPLUS

1H-Benzimidazole-1-acetamide, N-(3', 4'-dihydro-2, 5dioxospiro{imidazolidine-4,2'(1'H)-naphthalen]-6'-yl}-2-phenyl- (9CI) {CA

767304-06-3 CAPLUS 1H-Benzimidazole-1-acetamide, N-(1', 3'-dihydro-2, 5dioxospiro(imidazolidine-4,2'-[2H]inden]-5'-yl)-2-phenyl- (9CI) (CA INDEX

ANSWER 8 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) phenyl- (9CI) (CA INDEX NAME)

773856-87-4 CAPLUS 1H-Benzimidazole-1-acetamide, N-butyl-2-phenyl- α -(2-phenylethyl)-

RN 773856-88-5 CAPLUS 1H-Benzimidazole-1-acetamide, N-cyclohexyl- α -(2-methylpropyl)-2-phenyl- (9CI) (CA INDEX NAME)

773856-89-6 CAPLUS 1H-Benzimidazole-1-acetamide, N-cyclohexyl-2-phenyl-a-(2phenylethyl) - (9CI) (CA INDEX NAME)

773856-90-9 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2,6-dimethylphenyl)- α -(2methylpropyl)-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 8 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 17 Aug 2004 2004:668307 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 141:332161

TITLE: Highly efficient microwave-assisted fluorous Ugi and post-condensation reactions for benzimidazoles and

quinoxalinones

Zhang, Wei; Tempest, Paul AUTHOR (S): Fluorous Technologies, Inc., University of Pittsburgh CORPORATE SOURCE: Applied Research Center, Pittsburgh, PA, 15238, USA

Tetrahedron Letters (2004), 45(36), 6757-6760 SOURCE: CODEN: TELEAY; ISSN: 0040-4039

PURLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

The efficiency of an Ugi/de-Boc/cyclization strategy for construction of heterocyclic compds. has been improved through the incorporation of microwave and fluorous technologies. In the synthesis of substituted quinoxalinones and benzimidazoles, a fluorous-Boc protected diamine is employed for the Ugi reactions. Both the Ugi and the post-condensation reaction proceed rapidly under microwave irradiation and the reaction mixts. are purified by solid-phase extraction (SPE) over FluoroFlash cartridges.

371158-44-0P 773856-85-2P 773856-86-3P 773856-87-4P 773856-88-5P 773856-89-6P 773856-90-9F 773856-91-0P 773856-92-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of benzimidazoles and quinoxalinones via microwave-assisted fluorous Ugi/de-Boc/cyclization strategy)

371158-44-0 CAPLUS

1H-Benzimidazole-1-acetamide, N-cyclohexyl- α -[2-(methylthio)ethyl]-2phenyl- (9CI) (CA INDEX NAME)

773856-85-2 CAPLUS 1H-Benzimidazole-1-acetamide, N-butyl-q-(2-methylpropyl)-2-phenyl-(9CI) (CA INDEX NAME)

773856-86-3 CAPLUS

1H-Benzimidazole-1-acetamide, N-butyl-a-[2-(methylthio)ethyl]-2-

ANSWER 8 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

773856-91-0 CAPLUS

1H-Benzimidazole-1-acetamide, N-(2,6-dimethylphenyl)- α -(2-(methylthio)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)

773856-92-1 CAPLUS

1H-Benzimidazole-1-acetamide, N-(2,6-dimethylphenyl)-2-phenyl- α -(2phenylethyl) - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS 49 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 01 Jul 2004

ACCESSION NUMBER: 2004:525589 CAPLUS DOCUMENT NUMBER: 141:292113

TITLE: Antimicrobial activity of some thiadiazolyl- and triazolylbenzimidazoles

AUTHOR(S): Kus, Canan; Ayhan-Kilcigil, Guelguen; Altanlar, Nurten CORPORATE SOURCE: Faculty of Pharmacy, Department of Pharmaceutical Chemistry, Ankara University, Tandogan-Ankara, 06100,

SOURCE: Ankara Universitesi Eczacilik Fakultesi Dergisi

(2004), 33(1), 1-6 CODEN: AUEDE5; ISSN: 1015-3918

PUBLISHER: Ankara Universitesi Eczacilik Fakultesi

DOCUMENT TYPE: Journal LANGUAGE: English

LANG

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

In this study, thirty nine benzimidazole derivs. namely 1-[(substituted thiocarbamoylhydrazine carbonyl)methyl]-2-phenyl-1H-benzimidazoles, N-[(2-phenylbenzimidazol-1-ylmethyl)-[1.3.4]thiadiazole-2-yl]-substituted Ph amines, and 5-(2-phenylbenzimidazol-1-yimethyl)-4-substituted phenyl-4H-1,2,4-triazole-3-thiones were screened for their antimicrobial activities. Min. Inhibitory Concentration (MIC) values of the compds. were

by the tube dilution method using Staphylococcus aureus and Bacillus subtilis as gram-pos., Escherichia coli as gram-neg. bacteria and Candida albicans, Candida krusei and Candida parapsilosis as yeast-like fungi. All of the compds. were inactive against S. aureus, C. krusei and C. parapsilosis. Compds. I, II, and III (12.5 µg/mL) showed good inhibitory activity

against C. albicans.

755010-60-7 755010-62-9 755010-64-1
755010-66-3 755010-68-5 755010-70-9
755010-72-1 755010-74-3 755010-76-5
755010-78-7 755010-80-1 755010-82-3
755010-84-5 755010-86-7 755010-88-9
755010-90-3 755010-92-5 755010-94-7
755010-96-9 755010-98-1 755011-00-8
755011-02-0 755011-04-2 755011-06-4
755011-08-6 755011-10-0 755011-12-2
755011-14-4 755011-16-6 755011-18-8
755011-20-2 755011-22-4 755011-24-6
755011-26-8 755011-28-0 755011-30-4

755011-32-6 755011-34-8 755011-36-0
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES

(antimicrobial activity of some thiadiazolyl- and

triazolylbenzimidazoles) 755010-60-7 CAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[(phenylamino)thioxomethyl)hy drazide (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755010-72-1 CAPLUS

CN lH-Benzimidazole-l-acetic acid, 2-phenyl-, 2-([(2-fluorophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN 755010-74-3 CAPLUS

N 1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(4-chlorophenyl)amino]thioxomethyl)hydrazide (9CI) (CA INDEX NAME)

RN 755010-76-5 CAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(3-chlorophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN 755010-78-7 CAPLUS

IH-Benzimidazole-1-acetic acid, 2-phenyl-, 2-{[(2-chlorophenyl)amino}thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN 755010-80-1 CAPLUS

N 1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(4-bromophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

Page 12

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755010-62-9 CAPLUS

IH-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[(4-methylphenyl)amino]thioxomethyl]hydrazide (9CI) {CA INDEX NAME}

RN 755010-64-1 CAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(3-methylphenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN 755010-66-3 CAPLUS

TH-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(2-methylphenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN 755010-68-5 CAPLUS

CN lH-Benzimidazole-l-acetic acid, 2-phenyl-, 2-[[(4-fluorophenyl)amino]thioxomethyl)hydrazide (9CI) (CA INDEX NAME)

N 755010-70-9 CAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-([(3-fluorophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755010-82-3 CAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(3-bromophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN 755010-84-5 CAPLUS CN 1H-Benzimidazole-1-a

N 1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[(2-bromophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN 755010-86-7 CAPLUS

CN 1,3,4-Thiadiazol-2-amine, N-phenyl-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

N 755010-88-9 CAPLUS

N 1,3,4-Thiadiazol-2-amine, N-(4-methylphenyl)-5-((2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

RN 755010-90-3 CAPLUS

CN 1,3,4-Thiadiazol-2-amine, N-(3-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-

1-y1)methyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755010-92-5 CAPLUS
CN 1,3,4-Thiadiazol-2-amine, N-(2-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

RN 755010-94-7 CAPLUS
CN 1,3,4-Thiadiazol-2-amine, N-(4-fluorophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755010-96-9 CAPLUS

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) RN 755011-02-0 CAPLUS

RN 755011-02-0 CAPLUS
CN 1,3,4-Thiadiazol-2-amine, N-(3-chlorophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-04-2 CAPLUS
CN 1,3,4-Thiadiazol-2-amine, N-(2-chlorophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-06-4 CAPLUS
CN 1,3,4-Thiadiazol-2-amine, N-(4-bromophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-08-6 CAPLUS CN 1,3,4-Thiadiazol-2-amine, N-(3-bromophenyl)-5-[(2-phenyl-1H-benzimidazol-1L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1,3,4-Thiadiazol-2-amine, N-(3-fluorophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755010-98-1 CAPLUS
CN 1,3,4-Thiadiazol-2-amine, N-(2-fluorophenyl)-5-[(2-phenyl-1H-benzimidazol-1+yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-00-8 CAPLUS
CN 1,3,4-Thiadiazol-2-amine, N-(4-chlorophenyl)-5-{(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) y1)methy1]- (9CI) (CA INDEX NAME)

RN 755011-10-0 CAPLUS
CN 1,3,4-Thiadiazol-2-amine, N-{2-bromophenyl}-5-[(2-phenyl-1H-benzimidazol-1-yl}methyl]- (9CI) (CA INDEX NAME)

RN 755011-12-2 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-phenyl-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-14-4 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-(4-methylphenyl)-5-((2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755011-16-6 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-(3-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-10-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-(2-methylphenyl)-5-{(2-phenyl-1H-benzimidazol-1-yl)methyl}- (9C1) (CA INDEX NAME)

RN 755011-20-2 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(4-fluorophenyl)-2,4-dihydro-5-[(2-phenyl-lH-benzimidazol-1-yl)methyl)- (9Cl) (CA INDEX NAME)

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755011-22-4 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(3-fluorophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-24-6 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(2-fluorophenyl)-2,4-dihydro-5-{(2-phenyl-lH-benzimidazol-l-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-26-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(4-chlorophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755011-28-0 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(3-chlorophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-30-4 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(2-chlorophenyl)-2,4-dihydro-5-({2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

RN 755011-32-6 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(4-bromophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755011-34-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(3-bromophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-36-0 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(2-bromophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 10 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 17 Jun 2004 ΕD

ACCESSION NUMBER: DOCUMENT NUMBER:

2004:487927 CAPLUS

TITLE:

141:424144 Combinatorial synthesis of biheterocyclic benzimidazoles by microwave irradiation

AUTHOR (S): CORPORATE SOURCE: Yeh, Wen-Bing; Lin, Mei-Jung; Sun, Chung-Ming Laboratory of Combinatorial Drug Design, National Tong Hwa University, Hualien, 974, Taiwan

SOURCE:

Combinatorial Chemistry and High Throughput Screening

(2004), 7(3), 251-255 CODEN: CCHSFU: ISSN: 1386-2073

PUBLISHER: DOCUMENT TYPE: Bentham Science Publishers Ltd.

LANGUAGE:

Journal English

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Liquid phase synthesis of biheterocyclic benzimidazoles, e.g., I, by controlled microwave irradiation was investigated. Polymer immobilized o-phenylenediamines was synthesized under microwave irradiation. The resulting PEG bound diamines was N-acylated with 4-fluoro-3-nitrobenzoic acid selectively in primary aromatic amino moiety. Nucleophilic aromatic substitution of amide was performed with various amines then cyclized to form the first benzimidazole scaffold, e.g., II (X = PEG), in acidic condition. Successive reduction, cyclization with isothiocyanates yielded 5-(benzimidazol-2-yl)benzimidazoles. The desired products were released from the polymer support to afford the tri-substituted bis-benzimidazoles in good yields and purity.

796841-01-5P 796841-02-6P 796841-09-3P

796841-10-6P

RL: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant

(preparation of PEG-bound benzimidazolylphenylenediamines as biheterocyclic benzimidazole precursor via TFA-catalyzed cyclocondensation of PEG-bound (phenylenediaminecarbonyl) nitroanilines followed by nitro-reduction with zinc)

796841-01-5 CAPLUS

Poly(oxy-1, 2-ethanediy1), a-[(1-[(4-morpholiny1)propy1)-2-[3-nitro-4-CN (propylamino)phenyl)-1H-benzimidazol-5-yl}carbonyl)-∞-methoxy- (9CI) (CA INDEX NAME)

796841-02-6 CAPLUS

Poly(oxy-1,2-ethanediyl), α -([2-[4-(cyclopentylamino)-3-nitrophenyl]-

ANSWER 10 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

796841-34-4 CAPLUS [2,5'-Bi-1H-benzimidazole]-5-carboxylic acid, 1'-cyclopentyl-2'-{(3methylphenyl)amino]-1-[3-(4-morpholinyl)propyl)-, methyl ester (9CI) (CA INDEX NAME)

796841-20-8P 796841-21-9P

RL: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant

(preparation of biheterocyclic benzimidazoles via cyclization of PEG-bound benzimidazolylphenylenediamines with isothiocyanates followed by PEG cleavage with sodium methoxide)

796841-20-8 CAPLUS

Poly(oxy-1, 2-ethanediyl), $a-(\{1-[3-(4-morpholinyl)propyl\}-2'-[(4-morpholinyl)propyl]-2'-[(4-morph$ nitrophenyl)amino]-1'-propyl[2,5'-bi-1H-benzimidazol]-5-yl]carbonyl]-ω-methoxy- (9CI) (CA INDEX NAME)

ANSWER 10 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1-{(4-morpholinyl)propyl]-1H-benzimidazol-5-yl]carbonyl}-w-methoxy-(9CI) (CA INDEX NAME)

796841-09-3 CAPLUS

Poly(oxy-1,2-ethanediy1), $\alpha-[(2-\{3-amino-4-(propylamino)pheny1]-1-[3-poly(oxy-1,2-ethanediy1)]$ (4-morpholino)propyl]-1H-benzimidazol-5-yl]carbonyl]-m-methoxy-(9CI) (CA INDEX NAME)

MeO
$$CH_2-CH_2-O$$
 CH_2-CH_2-O CH_2-O CH_2-O

796841-10-6 CAPLUS

Poly(oxy-1,2-ethanediy1), α -[(2-[3-amino-4-(cyclopentylamino)pheny1]-1-[3-(4-morpholinyl)propyl]-lH-benzimidazol-5-yl]carbonyl]-ω-methoxy-(9CI) (CA INDEX NAME)

796841-33-3P 796841-34-4P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP

(preparation of biheterocyclic benzimidazoles via cyclization of PEG-bound benzimidazolylphenylenediamines with isothiocyanates followed by PEG cleavage with sodium methoxide)

796841-33-3 CAPLUS

[2,5'-Bi-lH-benzimidazole]-5-carboxylic acid, 1-[3-(4-morpholinyl)propyl]-2'-[(4-nitrophenyl)amino]-1'-propyl-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 10 OF 142 CAPILUS COPYRIGHT 2005 ACS on STN

(Continued) PAGE 1-B

__ NO2

796841-21-9 CAPLUS

Poly(oxy-1,2-ethanediyl), α -[[1'-cyclopentyl-2'-[(3methylphenyl)amino]-1-[3-(4-morpholinyl)propyl)[2,5'-bi-lH-benzimidazol]-5yl]carbonyl]-m-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

REFERENCE COUNT:

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 11 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 10 Jun 2004 ED

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

2004:469777 CAPLUS 141:167216

Design, synthesis, and structure-activity relationships of novel tetracyclic compounds as

peripheral benzodiazepine receptor ligands Okubo, Taketoshi; Yoshikawa, Ryoko; Chaki, Shigeyuki;

AUTHOR (5): Okuyama, Shigeru: Nakazato, Atsuro CORPORATE SOURCE: Medicinal Chemistry Laboratory, Medicinal Research

Laboratories, Taisho Pharmaceutical Co., Ltd, 1-403 Yoshino-cho, Kita-ku, Saitama-shi, Saitama, 331-9530,

Bioorganic & Medicinal Chemistry (2004), 12(13), SOURCE:

> 3569-3580 CODEN: BMECEP: ISSN: 0968-0896

PUBLISHER:

DOCUMENT TYPE:

Elsevier Ltd. Journal English

LANGUAGE: GI

Pr-n

The peripheral benzodiazepine receptor (PBR) is pharmacol. distinct from the central benzodiazepine receptor (CBR) and has been identified in a wide range of peripheral tissues as well as in the central nervous system. Although numerous studies have been performed of it, the physiol. roles and functions of the PBR are still unclear. In the present study, in exploring new types of ligands for PBR, the authors found that a new series of compds. having a tetracyclic ring system, which were designed from FGIN-1-27, exhibited high affinities for PBR. The authors prepared and evaluated them for PBR affinities. The results of binding tests showed that two compds. were potent PBR ligands, one (I) having an IC50=0.37 nM. In this paper, the authors present the design, synthesis, and structure-activity relationships (SARs) of novel tetracyclic compds. 736161-60-7P 736161-75-4P 736161-76-5P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses) (design, synthesis, and structure-activity relationships of novel tetracyclic compds. as peripheral benzodiazepine receptor ligands)

736161-60-7 CAPLUS 1H-Benzimidazole-1-propanamide, N,N-dihexyl-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 11 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 11 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

#C1

736161-75-4 CAPLUS 1H-Benzimidazole-1-acetamide, 2-(4-fluorophenyl)-N,N-dihexyl- (9CI) (CA INDEX NAME)

736161-76-5 CAPLUS 1H-Benzimidazole-1-acetamide, N,N-dihexyl-2-phenyl- (9CI) (CA INDEX NAME)

770709-79-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design, synthesis, and structure-activity relationships of novel tetracyclic compds. as peripheral benzodiazepine receptor ligands) 770709-79-0 CAPLUS

1H-Benzimidazole-1-propanamide, N,N-dihexyl-2-phenyl- (9CI) (CA INDEX

ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 14 May 2004

ACCESSION NUMBER: 2004:392964 CAPLUS

DOCUMENT NUMBER: 141:46768

Synthesis and Antioxidant Properties of Novel TITLE:

Benzimidazole Derivatives

AUTHOR (S): Ayhan-Kilcigil, Guelguen; Kus, Canan; Coban, Tuelay; Can-Eke, Benay; Iscan, Mumtaz

Department of Pharmaceutical Chemistry, Faculty of CORPORATE SOURCE:

Pharmacy, Ankara University, Tandogan, Ankara, 06100,

Turk.

Journal of Enzyme Inhibition and Medicinal Chemistry SOURCE: (2004), 19(2), 129-135

CODEN: JEIMAZ; ISSN: 1475-6366

PUBLISHER: Taylor & Francis Ltd.

DOCUMENT TYPE: Journal English

LANGUAGE: Some novel benzimidazole derivs. carrying thiosemicarbazide and triazole AΒ moieties at the N1 position were synthesized and their in vitro effects on rat liver microsomal NADPH-dependent lipid peroxidn. (LP) levels determined by measuring the formation of 2-thiobarbituric acid reactive substance. The free radical scavenging properties of the compds. were also examined in vitro by determining the capacity to scavenge superoxide anion formation and

interaction with the stable free radical 2,2-diphenyl-1-picrylhydrazyl (DPPH). The compds. showed a significant effect in the above tests except

to scavenge superoxide anion formation. 705970-06-5P 705970-08-7P 705970-10-1P 705970-12-3P 705970-14-5P 705970-16-7P 705970-18-9P 705970-20-3P 705970-22-5P 705970-24-7P 705970-26-9P 705970-27-0P

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (synthesis and antioxidant properties of novel benzimidazole derivs.)

methylphenyl)amino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

705970-06-5 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[(phenylamino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

705970-08-7 CAPLUS 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[[(4-

705970-10-1 CAPLUS

L4 ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[((3-methylphenyl)amino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN 705970-12-3 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[[(2-methylphenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN 705970-14-5 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-((4-fluorophenyl)amino)thioxomethyl)hydrazide (9CI) (CA INDEX NAME)

RN 705970-16-7 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[{(2-fluorophenyl)amino}thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN 705970-18-9 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[[(4-

L4 ANSWER 12 OF 142 CAPLUS · COPYRIGHT 2005 ACS on STN (Continued)

R

RN 705970-27-0 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[[(2-bromophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

IT 705970-29-2P 705970-31-6P 705970-33-8P 705970-35-0P 705970-37-2P 705970-39-4P 705970-41-8P 705970-43-0P 705970-45-2P 705970-47-4P 705970-49-6P 705970-51-0P

705970-47-4P 705970-49-6P 705970-51-0P
705970-53-2P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)
(synthesis and antioxidant properties of novel benzimidazole derivs.)
705970-29-2 CAPLUS

CN 3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-2,4-dihydro-4-phenyl- (9CI) (CA INDEX NAME)

RN 705970-31-6 CAPLUS

3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-2,4-dihydro-4-(4-methylphenyl)- (9CI) (CA INDEX NAME)

05/24/2005

L4 ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continue chlorophenyl)amino|thioxomethyl)hydrazide (9CI) (CA INDEX NAME)

RN 705970-20-3 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[[(3-chlorophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN 705970-22-5 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[[(2-chlorophenyl)amino]thioxomethyl}hydrazide (9CI) (CA INDEX NAME)

RN 705970-24-7 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-[{(4-bromophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

RN 705970-26-9 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, 2-((3-bromophenyl)amino|thioxomethyl|hydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 705970-33-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-2,4-dihydro-4-(3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 705970-35-0 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyl)-1H-benzimidazol-1-y1]methyl]-2,4-dihydro-4-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 705970-37-2 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-4-(4-fluorophenyl)-2,4-dihydro-(9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

N CH2 NH

RN 705970-39-4 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-4-(3-fluorophenyl)-2,4-dihydro- (9CI) (CA INDEX NAME)

N CH2 NH

RN 705970-41-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 5-[[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-4-(2-fluorophenyl)-2,4-dihydro- (9CI) (CA INDEX NAME)

N CH2 NH

RN 705970-43-0 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(4-chlorophenyl)-5-{(2-(4-chlorophenyl)-1H-benzimidazol-1-yl)methyl}-2,4-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) benzimidazol-1-yl]methyl]-2,4-dihydro- (9CI) (CA INDEX NAME)

C1
N— CH2
NH
S

RN 705970-51-0 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(3-bromophenyl)-5-[[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-2,4-dihydro- (9CI) (CA INDEX NAME)

N CH2 NH NH

RN 705970-53-2 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(2-bromophenyl)-5-{[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl}-2,4-dihydro-(9CI) (CA INDEX NAME)

Br S

TT 705970-58-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antioxidant properties of novel benzimidazole derivs.)
RN 705970-58-7 CAPLUS

L4 ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

N CH₂ NH

RN 705970-45-2 CAPLUS
CN 3H-1,2,4-Triazole+3-thione, 4-(3-chlorophenyl)-5-{[2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl]-2,4-dihydro- (9CI) (CA INDEX NAME)

RN 705970-47-4 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(2-chlorophenyl)-5-[{2-(4-chlorophenyl)-1H-benzimidazol-1-yl]methyl}-2,4-dihydro- (9CI) (CA INDEX NAME)

C1 NH

RN 705970-49-6 CAPLUS CN 3H-1,2,4-Triazole-3-thione, 4-(4-bromophenyl)-5-[[2-(4-chlorophenyl)-1H-

L4 ANSWER 12 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-1-acetic acid, 2-(4-chlorophenyl)-, hydrazide (9CI) (CA
INDEX NAME)

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 26 Apr 2004 ED

TITLE:

2004:339480 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 141:98992

A predictive pharmacophore model of human

melanocortin-4 receptor as derived from the solution structures of cyclic peptides

AUTHOR (S): Sun, Hongmao; Greeley, David N.; Chu, Xin-Jie; Cheung,

Adrian: Danho, Waleed; Swistok, Joseph: Wang, Yao; Zhao, Chunlin; Chen, Li; Fry, David C.

Discovery Chemistry, Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA CORPORATE SOURCE:

SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(10), 2671-2677

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd. DOCUMENT TYPE: Journal

LANGUAGE: English Using NMR (NMR) spectroscopy, we have determined the solution structures for a

series of potent agonists for the human melanocortin-4 receptor {hMC4R}, based on the cyclic peptide MT-II [Ac-Nle-cyclo-(Asp-Lys) (Asp-His-(D)Phe-Arg-Trp-Lys)-NH2]. Members of this series were designed to improve selectivity for MC4R vs. the other melanocortin receptors, and to reduce the flexibility of the side chains. The most selective and rigid analog (penta-cyclo(D-K)-Asp-Apc-(D)Phe-Arg-(2S,3S)-β-methylTrp-

Lys-NH2] was found to be a full agonist of hMC4R with an EC50 of 11 nM against hMC4R, and to exhibit 65-fold selectivity against hMC1R. This compound represents the most constrained hMC4R peptide agonist described to date. A \$-turn structure was conserved among all of the cyclic peptides studied. The rigidity of the analogs allowed an exceptionally well-defined pharmacophore model to be derived. This model was used to perform a virtual screen using a library of 1000 drug-like compds., to which a small set of known potent ligands had been intentionally added. The utility of the model was validated by its ability to identify the

known ligands from among this large library. 717097-42-2

BIOL (Biological study) (predictive pharmacophore model of human melanocortin-4 receptor as

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);

derived from the solution structures of cyclic peptides)

717097-42-2 CAPLUS

1H-Benzimidazole-1-acetamide, α -[3-[(aminoiminomethyl)amino]propyl]-5-[(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)carbonyl)-2-[4-(1,1dimethylethyl)phenyl)- (9CI) (CA INDEX NAME)

ANSWER 14 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 09 Apr 2004

ACCESSION NUMBER: 2004:292557 CAPLUS

141:33318 DOCUMENT NUMBER:

Histogranin-like antinociceptive and anti-inflammatory TITLE: derivatives of o-phenylenediamine and benzimidazole AUTHOR (S): Le, Hoang-Thanh; Lemaire, Irma B.; Gilbert, Annie-Kim;

Jolicoeur, Francois; Yang, Lin; Leduc, Natacha;

Lemaire, Simon

CORPORATE SOURCE: Department of Cellular and Molecular Medicine, Faculty of Medicine, University of Ottawa, Ottawa, ON, Can. Journal of Pharmacology and Experimental Therapeutics SOURCE:

(2004), 309(1), 146-155 CODEN: JPETAB: ISSN: 0022-3565

PUBLISHER: American Society for Pharmacology and Experimental

Therapeutics DOCUMENT TYPE: Journal

LANGUAGE: English CASREACT 141:33318 OTHER SOURCE(S):

Histogramin (HN)-like nonpeptides were designed and synthesized using benzimidazole (compound 1) and o-phenylenediamine (compds. 2-7) as scaffolds for the attachment of phenolic hydroxyl and basic guanidino pharmacophoric elements present in HN. The benzimidazole derivative N-5-guanidinopentanamide-(2R)-y1-2-(p-hydroxybenzyl)-5-carboxybenzimidazole (1) and the o-phenylenediamine derivative N-5-quanidinopentanamide-(2S)-yl-2-N-(phydroxyphenylacetyl) phenylenediamine (2) were more potent analgesics than HN in both the mouse writhing (5.5 and 3.5 as potent as HN, resp.) and tail-flick (11.8 and 8.0 as potent as HN, resp.) pain assays.

Improvements in the potencies and times of action of compound 2 in the mouse writhing test were obtained by attaching carboxyl (6) or p-Cl-benzoyl (7) groups at position 4 of the (2R) o-phenylenediamine derivative (5). In rats, compds. 2 (80 nmol i.t.), 6 (36 nmol i.t.), and 7 (18 nmol i.t.) were effective in blocking both persistent inflammatory pain in the formalin test and hyperalgesia in the complete Freund adjuvant assay. Compds. 2, 6, and 7, but not compound 1 at 10 nmol (i.c.v.) also mimicked

the HN (60 nmol i.c.v.) blockade of N-methyl-D-aspartate (NMDA)-induced convulsions in mice. Finally, in primary cultures of rat alveolar macrophages, HN and compds. 1, 2, 6, and 7 (10-8 M) significantly blocked lipopolysaccharide-induced cyclooxygenase-2 induction and prostaglandin £2 secretion. These studies indicate that both derivs. of benzimidazole and o-phenylenediamine mimic the in vivo antinociceptive and in Vitro anti-inflammatory effects of HN, but the HN protection of mice against NMDA-induced convulsions is mimicked only by the o-phenylenediamine

derivs. 573720-54-4P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(histogranin-like antinociceptive and anti-inflammatory derivs. of

o-phenylenediamine and benzimidazole) 573720-54-4 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 1-[(1R)-1-(aminocarbonyl)-4-[(aminoiminomethyl)amino]butyl]-2-(4-hydroxyphenyl)- (9CI) (CA INDEX

Absolute stereochemistry.

14 ANSWER 13 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 41 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

(Continued) ANSWER 14 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

NH2

50

REFERENCE COUNT:

THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 24 Mar 2004 ĒD

2004:242529 CAPLUS ACCESSION NUMBER: 140:375116

DOCUMENT NUMBER: Focused microwave-assisted parallel synthesis of TITLE:

bis-benzimidazoles AUTHOR (S): Lin, Mei-Jung: Sun, Chung-Ming

Department of Chemistry, National Dong Hwa University, CORPORATE SOURCE:

Hualien, 974, Taiwan SOURCE: Synlett (2004), (4), 663-666

CODEN: SYNLES: ISSN: 0936-5214 Georg Thieme Verlag

PUBLISHER: Journal

DOCUMENT TYPE: LANGUAGE: English GI

Combinatorial parallel synthesis of bis(benzimidazoles), e.g. I, by focused (mono-modal) microwave irradiation, is described. Polymer-immobilized o-phenylenediamines as a versatile template were synthesized under microwave irradiation The resulting PEG-bound diamines were N-acylated with 4-fluoro-3-nitrobenzoic acid selectively on the primary aromatic amino moiety. The nucleophilic aromatic substitution of amides was performed with different amines, then cyclized to benzimidazoles under acidic condition. Successive reduction and cyclization with various aldehydes yielded 5-(benzimidazol-2-yl)benzimidazoles. The desired products were released from the polymer support to afford the tri-substituted bis-benzimidazoles in good yields and purity.

604259-33-4P 684259-34-5P RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(microwave-assisted combinatorial preparation of bis(benzimidazoles) via heterocyclization of PEG-supported { (amino) nitrobenzamido] aminobenzoate followed by reduction, heterocyclization with aldehydes, and resin cleavage)

684259-33-4 CAPLUS

{2,5'-Bi-1H-benzimidazole}-5-carboxylic acid, 1'-cyclopentyl-2'-{4-(methylthio)phenyl]-1-[3-(4-morpholinyl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 15 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

684259-34-5 CAPLUS

[2,5'-Bi-1H-benzimidazole]-5-carboxylic acid, 2'-(4-chlorophenyl)-1'cyclopenty1-1-(3-(4-morpholinyl)propyl)-, methyl ester (9CI) (CA INDEX

REFERENCE COUNT:

THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 24 Mar 2004 ACCESSION NUMBER: 2004:242268 CAPLUS

DOCUMENT NUMBER: 141:260638

Synthesis and antioxidant properties of some novel TITLE:

benzimidazole derivatives on lipid peroxidation in the

rat liver Kus, Canan; Ayhan-Kilcigil, Guelguen; Eke, Benay Can; AUTHOR (S):

Iscan, Muemtaz

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Ankara University, Ankara, 06100, Turk.

SOURCE: Archives of Pharmacal Research (2004), 27(2), 156-163 CODEN: APHRDQ; ISSN: 0253-6269

PUBLISHER: Pharmaceutical Society of Korea

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Some benzimidazole derivs. namely 1-[(thiocarbamoylhydrazinecarbonyl)methy 1]-2-phenyl-1H-benzimidazoles, e.g., I, N-[(2-phenylbenzimidazol-1-yl methyl)-[1,3,4]-thiadiazole-2-yl]arylamines, e.g., II, and 5-(2-Ph benzimidazol-1-ylmethyl)-4-aryl-4H-1,2,4-triazole-3-thiones, e.g., III, were synthesized, and their in vitro effects on the rat liver microsomal NADPH-dependent lipid peroxidn. (LP) levels were determined. The most active compound was I, which caused an 84% inhibition of LP at 10-3 M, which was better than that of butylated hydroxytoluene that only caused 65%

inhibition. 755010-60-7P 755010-62-9P 755010-64-1P 755010-66-3P 755010-68-5P 755010-70-9P

755010-72-1P 755010-74-3P 755010-76-5P

755010-78-7P 755010-80-1P 755010-82-3P

755010-84-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation and antioxidant activity of [(phenylbenzimidazolyl)methyl]thiad iazoles and -dihydrotriazolethiones via thiocarbamoylation of phenylbenzimidazolylacetic acid hydrazide with arylisothiocyanate

followed by heterocyclization) 755010-60-7 CAPLUS RN

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[(phenylamino)thioxomethyl]hy

drazide (9CI) (CA INDEX NAME)

755010-62-9 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(4methylphenyl)amino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME) ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

755010-64-1 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(3methylphenyl)amino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-66-3 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(2methylphenyl)amino|thioxomethyl|hydrazide (9CI) (CA INDEX NAME)

755010-68-5 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(4fluorophenyl)amino)thioxomethyl)hydrazide (9CI) (CA INDEX NAME)

755010-70-9 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(3fluorophenyl)amino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-72-1 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(2fluorophenyl)amino|thioxomethyl]hydrazide (9CI) (CA INDEX NAME) ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

755010-74-3 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(4chlorophenyl)amino|thioxomethyl|hydrazide (9CI) (CA INDEX NAME)

755010-76-5 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(3chlorophenyl)amino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-78-7 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(2chlorophenyl)amino|thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-80-1 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(4bromophenyl)amino]thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

755010-82-3 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-[[(3bromophenyl)amino|thioxomethyl]hydrazide (9CI) (CA INDEX NAME)

(Continued) ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

755010-90-3 CAPLUS

1,3,4-Thiadiazol-2-amine, N-(3-methylphenyl)-5-((2-phenyl-1H-benzimidazol-CN

1-yl)methyl)- (9CI) (CA INDEX NAME)

755010-92-5 CAPLUS

1,3,4-Thiadiazol-2-amine, N-(2-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-

1-yl)methyl]- (9CI) (CA INDEX NAME)

755010-94-7 CAPLUS

1,3,4-Thiadiazol-2-amine, N-(4-fluorophenyl)-5-{(2-phenyl-1H-benzimidazol-

1-y1)methyl]- (9CI) (CA INDEX NAME)

ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

755010-84-5 CAPLUS

1H-Benzimidazole-1-acetic acid, 2-phenyl-, 2-{[(2-ÇN bromophenyl)amino|thioxomethyl)hydrazide (9CI) (CA INDEX NAME)

755010-86-7P 755010-88-9P 755010-90-3P 755010-92-5P 755010-94-7P 755010-96-9P 755010-99-1P 755011-00-8P 755011-02-0P 755011-04-2P 755011-06-4P 755011-08-6P 755011-10-0P 755011-12-2P 755011-14-4P

755011-16-6P 755011-18-8P 755011-20-2P 755011-22-4P 755011-24-6P 755011-26-8P 755011-28-0P 755011-30-4P 755011-32-6P

755011-34-8P 755011-36-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antioxidant activity of ((phenylbenzimidazolyl)methyl)thiad iazoles and -dihydrotriazolethiones via thiocarbamoylation of phenylbenzimidazolylacetic acid hydrazide with arylisothiocyanate

followed by heterocyclization) 755010-86-7 CAPLUS

1,3,4-Thiadiazol-2-amine, N-phenyl-5-[(2-phenyl-1H-benzimidazol-1yl)methyl]- (9CI) (CA INDEX NAME)

755010-88-9 CAPLUS

1,3,4-Thiadiazol-2-amine, N-(4-methylphenyl)-5-((2-phenyl-1H-benzimidazol-1-yl)methyl] - (9CI) (CA INDEX NAME)

ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

755010-96-9 CAPLUS

1, 3, 4-Thiadiazol-2-amine, N-(3-fluorophenyl)-5-((2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

755010-98-1 CAPLUS

1,3,4-Thiadiazol-2-amine, N-(2-fluorophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

755011-00-8 CAPLUS

1,3,4-Thiadiazol-2-amine, N-(4-chlorophenyl)-5-((2-phenyl-1H-benzimidazol-

1-y1)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755011-02-0 CAPLUS
CN 1,3,4-Thiadiazol-2-amine, N-(3-chlorophenyl)-5-((2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

RN 755011-04-2 CAPLUS
CN 1,3,4-Thiadiazol-2-amine, N-(2-chlorophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-06-4 CAPLUS CN 1,3,4-Thiadiazol-2-amine, N-(4-bromophenyl)-5-[(2-phenyl-1H-benzimidazol-1L4 ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) y1)methy1}- (9CI) (CA INDEX NAME)

RN 755011-08-6 CAPLUS
CN 1,3,4-Thiadiazol-2-amine, N-(3-bromophenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) {CA INDEX NAME}

RN 755011-10-0 CAPLUS
CN 1,3,4-Thiadiazol-2-amine, N-(2-bromophenyl)-5-((2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755011-12-2 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-phenyl-5-{(2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

RN 755011-14-4 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-(4-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-16-6 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-(3-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

Ph CH2 NH

RN 755011-18-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 2,4-dihydro-4-(2-methylphenyl)-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755011-20-2 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(4-fluorophenyl)-2,4-dihydro-5-((2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

RN 755011-22-4 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-{3-fluorophenyl}-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-24-6 CAPLUS CN 3H-1,2,4-Triazole-3-thione, 4-(2-fluorophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 755011-26-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(4-chlorophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

RN 755011-28-0 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(3-chlorophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-30-4 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(2-chlorophenyl)-2,4-dihydro-5-{(2-phenyl-1H-benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

T 477543-36-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antioxidant activity of [(phenylbenzimidazolyl)methyl]thiad iazoles and -dihydrotriazolethiones via thiocarbamoylation of phenylbenzimidazolylacetic acid hydrazide with arylisothiocyanate followed by heterocyclization)

RN 477543-36-5 CAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-phenyl-, hydrazide (9CI) (CA INDEX NAME)

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continue

RN 755011-32-6 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-{4-bromophenyl}-2,4-dihydro-5-{{2-phenyl-1H-benzimidazol-1-yl}methyl}- (9CI) (CA INDEX NAME)

RN 755011-34-8 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(3-bromophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

RN 755011-36-0 CAPLUS
CN 3H-1,2,4-Triazole-3-thione, 4-(2-bromophenyl)-2,4-dihydro-5-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 05 Mar 2004

ACCESSION NUMBER: 2004:182725 CAPLUS

DOCUMENT NUMBER: 140:247102

TITLE: Preventive and/or therapeutic drugs for itch

Saki, Mayumi; Nonaka, Hiromi; Miyaji, Hiromasa;

Ichikawa, Shunji; Takashima, Chiemi; Matsumura,

Tsutomu; Arai, Hitoshi; Sasaki, Katsutoshi; Kobatake,

Choei; Tsukumo, Yukihito; Iida, Kyoichiro; Kuboyama,

Takeshi; Manabe, Haruhiko

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 161 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

LANGUAGE: Ja
FAMILY ACC. NUM. COUNT: 1

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			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PG,
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,	TR,
			TT,	TZ,	UA,	UG,	US,	UZ,	VC,	٧N,	YU,	ZA,	ZM,	ZW				
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	2W,	AM,	AZ,	BY,
			KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	ΗU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG
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Preventive and/or therapeutic drugs for itch containing as the active ingredient substances capable of suppressing the functions of GPR4 relating to signal transduction; and nitrogen-containing tricyclic compds. represented by the general formula I (R1 is substituted or unsubstituted lower alkyl or the like; R2 is hydrogen, substituted or unsubstituted lower alkyl, or the like; R3 and R4 are each independently hydrogen, lower alkyl, or the like; n is 0 or 1; X = -(CH2)2- or the like; and Y = a group represented by the general formula II wherein W is CH or nitrogen; Z1 and Z2 are each independently hydrogen, substituted or unsubstituted lower alkyl, or the like; and Z3 is hydrogen, substituted or unsubstituted lower alkyl, or the like), quaternary ammonium salts thereof, or pharmacol. acceptable salts of both.

T 666717-31-3P 666717-32-4P 666717-33-5P 666717-34-6P 666717-35-7P 666717-37-9P 666717-38-0P 666717-39-1P 666717-40-4P 666717-41-5P 666717-42-6P 666717-43-7P RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN

05/24/2005

ANSWER 17 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation): USES (Uses)

(preventive and/or therapeutic drugs for itch)

666717-31-3 CAPLUS 5H-Dibenz[b,f]azepine, 10,11-dihydro-2-{(4-methyl-1-piperazinyl}methyl]-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

666717-32-4 CAPLUS RN 5H-Dibenz[b, f]azepine, 2-[(3,6-dihydro-1(2H)-pyridinyl)methyl]-10,11-CN dihydro-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

666717-33-5 CAPLUS 5H-Dibenz[b, f]azepine, 10,11-dihydro-2-[{2-phenyl-1H-benzimidazol-1yl)methyl]-8-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

666717-34-6 CAPLUS 5H-Dibenz[b, f] azepine, 2-[(3,5-dimethyl-1-piperidinyl)methyl]-10,11dihydro-8-((2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

$$Ph$$
 $N - CH_2$
 $N -$

666717-35-7 CAPLUS 5H-Dibenz[b,f]azepine, 2-{{1,4'-bipiperidin}-1'-ylmethyl}-10,11-dihydro-8-CN [(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 17 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

666717-41-5 CAPLUS 5H-Dibenz[b, f]azepine, 10,11-dihydro-2-{(2-phenyl-1H-benzimidazol-1yl)methyl)-8-(3-thiazolidinylmethyl)- (9CI) (CA INDEX NAME)

666717-42-6 CAPLUS 5H-Dibenz[b, f]azepine-2-methanamine, N-butyl-10, 11-dihydro-N-methyl-8-[(2phenyl-lH-benzimidazol-l-yl)methyl]- (9CI) (CA INDEX NAME)

666717-43-7 CAPLUS 5H-Dibenz(b,f]azepine, 2-[(3,4-dihydro-2(1H)-isoquinolinyl)methyl]-10,11dihydro-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 17 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

666717-37-9 CAPLUS 5H-Dibenz[b,f]azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1+ y1)methy1]-8-[[4-(phenylmethyl)-1-piperidinyl)methyl]- (9CI) (CA INDEX

666717-38-0 CAPLUS 5H-Dibenz(b, f) azepine, 10,11-dihydro-2-((2-phenyl-1H-benzimidazol-1-CN yl)methyl]-8-([4-(phenylmethyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX

666717-39-1 CAPLUS 1-Piperazinecarboxylic acid, 4-[[10,11-dihydro-8-[(2-phenyl-1Hbenzimidazol-1-yl)methyl]-5H-dibenz[b,f]azepin-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ Eto-C & & \\ & & \\ & & \\ \end{array}$$

666717-40-4 CAPLUS 5H-Dibenz(b, f)azepine, 10,11-dihydro-2-(4-morpholinylmethyl)-8-((2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

ANSWER 18 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 05 Mar 2004 ED

ACCESSION NUMBER: 2004:182724 CAPLUS

DOCUMENT NUMBER: 140:247070

Preventive and/or therapeutic drugs for asthma TITLE: INVENTOR (S): Saki, Mayumi; Nonaka, Hiromi; Miyaji, Hiromasa; Hiura, Naoko: Manabe, Haruhiko: Matsumura, Tsutomu; Arai,

Hitoshi; Sasaki, Katsutoshi; Kobatake, Choei; Iida,

Kyoichiro; Kuboyama, Takeshi PATENT ASSIGNEE (S): Kyowa Hakko Kogyo Co., Ltd., Japan

PCT Int. Appl., 156 pp.

SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE APPLICATION NO. DATE 20030822 WO 2004017994 A1 20040304 WO 2003-IB3470 W: AE, AG, AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, B2, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: JP 2002-241523 A 20020822 MARPAT 140:247070 OTHER SOURCE(S):

Preventive and/or therapeutic drugs for asthma containing as the active ingredient substances capable of suppressing the functions of GPR4 relating to signal transduction; and preventive and/or therapeutic drugs for asthma containing as the active ingredient nitrogen-containing tricyclic compds. represented by the general formula I (R1 is substituted or unsubstituted lower alkyl or the like; R2 = H, substituted or unsubstituted lower alkyl, or the like; R3 and R4 are each independently hydrogen, lower alkyl, or the like; n = 0 or 1; X = -(CH2)2- or the like; and Y = general formula II wherein W = CH or N; Z1 and Z2 are each independently hydrogen, substituted or unsubstituted lower alkyl, or the like; and Z3 = H, substituted or unsubstituted lower alkyl, or the like) quaternary ammonium salts thereof, or pharmacol. acceptable salts of both

were offered. 666717-31-3P 666717-32-4P 666717-33-5P 666717-34-6P 666717-35-7P 666717-37-9P 666717-38-0P 666717-39-1P 666717-40-4P 666717-41-5P 666717-42-6P 666717-43-7P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic

ANSWER 18 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preventive and/or therapeutic drugs for asthma)

666717-31-3 CAPLUS 5H-Dibenz(b,f)azepine, 10,11-dihydro-2-((4-methyl-1-piperazinyl)methyl)-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl] - (9CI) (CA INDEX NAME)

666717-32-4 CAPLUS 5H-Dibenz(b, f]azepine, 2-[(3,6-dihydro-1(2H)-pyridinyl)methyl]-10,11-CN dihydro-8-((2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

666717-33-5 CAPLUS 5H-Dibenz[b,f]azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1y1)methy1]-8-(1-pyrrolidinylmethy1)- (9CI) (CA INDEX NAME)

666717-34-6 CAPLUS 5H-Dibenz[b,f]azepine, 2-[(3,5-dimethyl-1-piperidinyl)methyl]-10,11dihydro-8-[(2-phenyl-1H-benzimidazol-1-y1)methyl]- (9CI) (CA INDEX NAME)

5H-Dibenz(b,f]azepine, 2-([1,4'-bipiperidin]-1'-ylmethyl)-10,11-dihydro-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 18 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

5H-Dibenz[b,f]azepine, 10,11-dihydro-2-((2-phenyl-1H-benzimidazol-1yl)methyl]-8-(3-thiazolidinylmethyl)- (9CI) (CA INDEX NAME)

666717-42-6 CAPLUS 5H-Dibenz[b, f]azepine-2-methanamine, N-butyl-10, 11-dihydro-N-methyl-8-[(2phenyl-lH-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

666717-43-7 CAPLUS 5H-Dibenz(b, f]azepine, 2-[(3, 4-dihydro-2(1H)-isoquinolinyl)methyl]-10, 11dihydro-8-((2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

05/24/2005

ANSWER 18 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

666717-37-9 CAPLUS 5H-Dibenz[b,f]azepine, 10,11-dihydro-2-[(2-phenyl-1H-benzimidazol-1y1)methy1)-8-[(4-(phenylmethy1)-1-piperidiny1)methy1]- (9CI) (CA INDEX

$$\begin{array}{c|c} & & \\ & & \\ \text{Ph}-\text{CH}_2 \\ & & \\ \end{array}$$

5H-Dibenz(b, f)azepine, 10,11-dihydro-2-{(2-phenyl-1H-benzimidazol-1-CN yl)methyl]-8-[(4-(phenylmethyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX

$$\begin{array}{c|c} & & & \\ & & & \\ \text{Ph-} & \text{CH}_2 \\ & & & \\ \end{array}$$

666717-39-1 CAPLUS 1-Piperazinecarboxylic acid, 4-[[10,11-dihydro-8-[{2-phenyl-lHbenzimidazol-1-yl)methyl]-5H-dibenz[b,f]azepin-2-yl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

666717-40-4 CAPLUS 5H-Dibenz[b,f]azepine, 10,11-dihydro-2-(4-morpholinylmethyl)-8-[(2-phenyl-1H-benzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 19 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 08 Feb 2004

2004:101142 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 140:146139

Preparation of aryl-substituted benzimidazoles and TITLE: their use as sodium channel blockers

INVENTOR (S): Sun, Qun; Zhou, Xiaoming; Kyle, Donald J.

PATENT ASSIGNEE (5): Euro-Celtique S.A., Luxembourg

PCT Int. Appl., 43 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE KIND DATE APPLICATION NO. 20030731 20040205 WO 2003-US23828 WO 2004011439 A2 WO 2004011439 20040401 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, M2, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20030731 20040205 CA 2003-2492305 CA 2492305 AA US 2004132777 A1 20040708 US 2003-630896 20030731

PRIORITY APPLN. INFO.: 20020731 US 2002-399458P WO 2003-US23828 20030731 CASREACT 140:146139; MARPAT 140:146139 OTHER SOURCE (S):

GΙ

ANSWER 19 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Title compds. I [Rl = alkylene-amino; R2 = phenoxyphenyl, benzyloxyphenyl, phenylthiophenyl, etc.; R10 = H, OH, alkyl, alkoxy, etc.; n = 0-4) are prepared For instance, 1-(2-aminoethyl)piperidine is reacted with 2-fluoronitrobenzene (DMF, i-Pr2NEt) to give 1-(2-(2-nitrophenylamino)ethyl)piperidine. This intermediate is reduced (MeOH, H2-10% Pd/C, 3 atm, 16 h) and reacted with various aldehydes (PhNO2) to give the corresponding benzimidazole, e.g., II. Example compds. are potent blockers of the sodium channel, Ki = 180-1790 nM. I are useful for the treatment of neuronal damage following global and focal ischemia, for the treatment or prevention of neurodegenerative conditions such as amyotrophic lateral sclerosis (ALS) and for the treatment, prevention or amelioration of both acute or chronic pain.

amyotrophic lateral scierosis (ALS) and for the treatment, prevention of amelioration of both acute or chronic pain.
653573-56-9P, 1-(2-Piperidinylethyl)-2-(4phenoxyphenyl)benzimidazole 653573-58-1P, 1-(2-Piperidinylethyl)2-[3-(4-tert-butylphenoxy)phenyl]benzimidazole 653573-60-5P,
1-(2-Piperidinylethyl)-2-[3-(3,4-dichlorophenoxy)phenyl]benzimidazole
653573-62-7P, 1-(2-Piperidinylethyl)-2-(2,2diphenylethenyl)benzimidazole 653573-64-9P, 1-(2Piperidinylethyl)-2-(3-phenoxyphenyl)benzimidazole 653573-66-1P,
1-(2-Piperidinylethyl)-2-[3-(3-(trifluoromethyl)phenoxy)phenyl}benzimidazole
653573-68-3P, 1-(2-Piperidinylethyl)-2-(N-ethylcarbazol-3yl)benzimidazole 653573-70-7P, 1-(2-Piperidinylethyl)-2-(3benzyloxyphenyl)benzimidazole 653573-71-8P, 1-(2Piperidinylethyl)-2-[4-(4-fluorophenoxy)phenyl]benzimidazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of aryl-substituted benzimidazoles and their use as sodium channel blockers)
653573-56-9 CAPLUS

CN 1H-Benzimidazole, 2-(4-phenoxyphenyl)-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 653573-58-1 CAPLUS
CN lH-Benzimidazole, 2-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 653573-66-1 CAPLUS
CN 1H-Benzimidazole, 1-[2-(1-piperidinyl)ethyl]-2-[3-[3-(trifluoromethyl)phenoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 653573-68-3 CAPLUS
CN 9H-Carbazole, 9-ethyl-3-[1-[2-(1-piperidinyl)ethyl]-1H-benzimidazol-2-yl](9CI) (CA INDEX NAME)

RN 653573-70-7 CAPLUS
CN 1H-Benzimidazole, 2-[3-(phenylmethoxy)phenyl]-1-[2-(1-piperidinyl)ethyl](9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 653573-60-5 CAPLUS
CN 1H-Benzimidazole, 2-[3-(3,4-dichlorophenoxy)phenyl]-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 653573-62-7 CAPLUS
CN 1H-Benzimidazole, 2-(2,2-diphenylethenyl)-1-[2-(1-piperidinyl)ethyl)(9CI) (CA INDEX NAME)

RN 653573-64-9 CAPLUS
CN 1H-Benzimidazole, 2-(3-phenoxyphenyl)-1-[2-(1-piperidinyl)ethyl]- (9CI)
(CA INDEX NAME)

L4 ANSWER 19 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 653573-71-8 CAPLUS
CN 1H-Benzimidazole, 2-[4-(4-fluorophenoxy)phenyl]-1-[2-(1-piperidinyl)ethyl](9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 16 Jan 2004 ED

ACCESSION NUMBER:

2004:38778 CAPLUS

DOCUMENT NUMBER: TITLE:

140:217555 Synthesis of benzimidazole derivatives and their

antibacterial and antifungal activities

Bhatt, Ashutosh K.: Karadiya, Hasanali: Shah, Palak R.; Parmar, Manisha P.; Patel, H. D.

CORPORATE SOURCE:

AUTHOR (S):

Chemistry Department, St. Xavier's College, Ahmedabad,

380 009, India Indian Journal of Heterocyclic Chemistry (2003),

SOURCE:

13(2), 187-188 CODEN: IJCHEI: ISSN: 0971-1627

PUBLISHER: DOCUMENT TYPE: Prof. R. S. Varma Journal

LANGUAGE:

English CASREACT 140:217555 OTHER SOURCE(S):

Reaction of 2-Ph-1-H-benzimidazole with p-aminobenzoic acid and aromatic aldehydes in ethanol furnishes $1-(\alpha-p-carboxyphenyl-aminobenzyl)-2-$ Ph-benzimidazoles, which on treatment with o-phenylenediamine in pyridine results in the formation of 1-(a-p-benzimidazolyl-aminobenzyl)-2-Phbenzimidazoles I (R = H, Ph, 2-HOC6H4, 4-HOC6H4, PhcH:CH). Antibacterial and antifungal activities of I were determined

666718-58-7P 666718-59-8P 666718-60-1P

666718-61-2P 666718-62-3P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);

BIOL (Biological study); PREP (Preparation)

(antibacterial and antifungal activities: preparation of benzimidazole derivs, and their antibacterial and antifungal activities)

666718-58-7 CAPLUS

1H-Benzimidazole-1-methanamine, N-[4-(1H-benzimidazol-2-yl)phenyl}α,2-diphenyl- (9CI) (CA INDEX NAME)

666718-59-8 CAPLUS

Phenol, 4-{[[4-(lH-benzimidazol-2-yl)phenyl]amino](2-phenyl-1H-CN

ANSWER 20 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) benzimidazol-1-yl)methyl}- (9CI) (CA INDEX NAME)

666718-60-1 CAPLUS

1H-Benzimidazole-1-methanamine, N-[4-(1H-benzimidazol-2-yl)phenyl)-2phenyl-α-(2-phenylethenyl)- (9CI) (CA INDEX NAME)

666718-61-2 CAPLUS

1H-Benzimidazole-1-methanamine, N-[4-(1H-benzimidazol-2-yl)phenyl]-2phenyl- (9CI) (CA INDEX NAME)

666718-62-3 CAPLUS

Phenol, 2-[[{4-(lH-benzimidazol-2-yl)phenyl}amino](2-phenyl-1Hbenzimidazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

ANSWER 20 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

666718-53-2 666718-54-3 666718-55-4

666718-56-5 666718-57-6 RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzimidazole derivs. and their antibacterial and antifungal activities)

666718-53-2 CAPLUS

Benzoic acid, 4-[[phenyl(2-phenyl-lH-benzimidazol-l-yl)methyl)amino]-(9CI) (CA INDEX NAME)

666718-54-3 CAPLUS

Benzoic acid, 4-[((4-hydroxyphenyl)(2-phenyl-lH-benzimidazol-l-CN yl)methyl]amino] - (9CI) (CA INDEX NAME)

666718-55-4 CAPLUS RN

Benzoic acid, 4-[[3-phenyl-1-(2-phenyl-1H-benzimidazol-1-yl)-2-

propenyl]amino)- (9CI) (CA INDEX NAME)

666718-56-5 CAPLUS

Benzoic acid, 4-[((2-phenyl-1H-benzimidazol-1-yl)methyl]amino]- (9CI) (CA

666718-57-6 CAPLUS

Benzoic acid, 4-[[(2-hydroxyphenyl)(2-phenyl-lH-benzimidazol-l-

yl)methyl;amino; - (9CI) (CA INDEX NAME)

ANSWER 20 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L4 ANSWER 21 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
    Entered STN: 31 Oct 2003
ED
ACCESSION NUMBER:
                          2003:855801 CAPLUS
DOCUMENT NUMBER:
                          139:350734
TITLE:
                           Preparation of 1-(4-piperidinyl)benzimidazoles as
                           histamine H3 antagonists
                          Zeng, Qingbei; Aslanian, Robert G.; Berlin, Michael
INVENTOR (S):
                          Y.: Boyce, Christopher W.: Cao, Jianhua: Kozlowski,
Joseph A.: Mangiaracina, Pietro: McCormick, Kevin D.:
                          Mutahi, Mwangi W.; Rosenblum, Stuart B.; Shih,
                          Neng-Yang: Solomon, Daniel M.; Tom, Wing C.
PATENT ASSIGNEE (S):
                           Schering Corporation, USA
                           PCT Int. Appl., 132 pp.
SOURCE:
                          CODEN: PIXXD2
                           Patent
DOCUMENT TYPE:
LANGUAGE:
                          English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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PAT	rent	NO.					DATE								D	ATE	
	2002				·		2002	2020		20 2					21	0020	116
WO	2003	-															
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		ÇO,	CR,	CZ,	DE,	DK,	DM,	DZ,	EC,	EΕ,	ES,	FI,	GB,	GD,	GΕ,	HR,	HU,
		ID,	IL,	IN,	IS,	JP,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LT,	LU,	LV,	MA,	MD,
		MG,	MK,	MN,	MX,	MZ,	NI,	NO,	NZ,	PH,	PL,	PT,	RO,	RU,	SC,	SE,	SG,
		SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UZ,	VC,	VN,	YU,	ZA,	ZM	
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	Β£,	BG,	CH,	CY,	CZ,	DE,	DK,	EΕ,	ES,
		FI,	FR,	GB,	GR,	Hυ,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
CA	2481	940			AA		2003	1030		CA 2	003-2	2481	940		20	0030	416
US	2004	0974	83		A1		2004	0520	1	US 2	003-	4173	91		20	0030	416
EP	1499	316			Al		2005	0126	1	EP 2	003-	7197	66		20	0030	416
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
BR	2003	0093	48		A		2005	0301		BR 2	003-	9348			21	0030	416
PRIORITY				.:					1	US 2	002-	3737	31P	1	P 20	0020	418
										US 2							
									,	WO 2	003-1	US11	672	1	¥ 20	0030	416

MARPAT 139:350734 OTHER SOURCE(S):

ANSWER 21 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 21 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$\begin{array}{c|c}
 & |R^{12}|_{a} \\
 & |C|_{a}
\end{array}$$

$$\begin{array}{c|c}
 & |R^{13}|_{b} \\
 & |C|_{r}
\end{array}$$

$$\begin{array}{c|c}
 & |C|_{r}
\end{array}$$

The title compds. (I; R1 = (un) substituted benzimidazolyl or a derivative thereof; R2 = (un) substituted aryl or heteroaryl; M1, M2 = CR3, N; X = abond, alkylene: Y = CO, CS, SO2, etc.: Z = a bond, alkylene, CO, etc.: R3 = H, halo, alkyl, etc.: R12 = alkyl, OH, alkoxy, etc.: R13 = alkyl, alkoxy, OH, etc.; a, b = 0-2; n, p = 1-3; r = 0-3; with the provisos] which are histamine H3 antagonists, were prepared E.g., a multi-step synthesis of II which showed Ki of 1 nM in rHu H3 binding assay, was given. Also disclosed are pharmaceutical compns. comprising the compds. of formula I and methods of treating various diseases or conditions, such as allergy, allergy-induced airway responses, and congestion (e.g., nasal congestion) using the compds. I. Also disclosed are methods of treating said diseases or conditions using the compds. of formula I in combination with an H1 receptor antagonist.

II

618897-03-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of 1-(4-piperidinyl)benzimidazoles as histamine H3 antagonists) 618897-03-3 CAPLUS

Piperidine, 1-[{1-{(2-amino-4-pyridinyl)methyl}-4-piperidinyl}carbonyl}-4-[(2-phenyl-1H-benzimidazol-1-yl)methyl] - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

```
ANSWER 22 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
    Entered STN: 10 Oct 2003
ED
```

ACCESSION NUMBER:

2003:796412 CAPLUS

DOCUMENT NUMBER: TITLE:

139:307758 Use of benzimidazole analogs in the treatment of cell

proliferation

INVENTOR(S): Sircar, Jagadish C.; Richards, Mark L. Avanir Pharmaceuticals, USA PATENT ASSIGNEE (S):

PCT Int. Appl., 280 pp. SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	IENT I				KIN	D	DATE		i				١٥.			ATE	
	2003				A2	•	2003	1009	,							0030	
WO	2003	0821	86		A3		2004	0325									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DŻ,	EC,	EE,	ES,	FI,	GB,	GD,	GÉ,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	ΜX,	MZ,	NI,	NO,	NZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	£S,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
CA	2479	453			AA		2003	1009		CA 2	003-	2479	453		20	0030	306
EP	1494	668			A2		2005	0112		EP 2	003-	7114	59		24	0030	306
	R:	AT,	BÉ,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
							RO,										
PRIORIT	TAPP	LN:	INFO	.:	•					US 2	002-	3676	86F_		P21	0020	325

OTHER SOURCE(S):

MARPAT 139:307758

~20020325 US-2002-367686P WO 2003-US6981 W 20030306

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The small mol. inhibitors (I-IV; X, Y = H, halo, alkyl, etc.; n = 0-3; m = 0-4; R = H, Me, CH2Ph, etc.; R1, R2 = H, alkyl, cycloalkyl, etc.; A, B rings = (un)substituted rings comprising 4-10 carbon atoms) such as V that are cellular proliferation inhibitors and thus are useful as anticancer agents (biol. data given for representative compds. I), were claimed. The small mols. have the general formulas that include a phenylbenzimidazole core ring. General methods of preparation were given (no phys. data for final compds.).

366012-44-4 366012-45-5 366012-50-2

479074-59-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (use of benzimidazole analogs in the treatment of cell proliferation)

366012-44-4 CAPLUS Benzamide, N-[4-[5-(benzoylamino)-1-[2-(dimethylamino)ethyl]-1H-

benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

14 ANSWER 22 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 22 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 366012-45-5 CAPLUS
CN Benzamide, N-[4-[5-(benzoylamino)-1-[3-(dimethylamino)propyl]-1Hbenzimidazo1-2-yl]phenyl]- {9CI} (CA INDEX NAME)

RN 366012-50-2 CAPLUS
CN Benzamide, N-[2-[4-(acetylamino)phenyl]-1-[3-(dimethylamino)propyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

RN 479074-59-4 CAPIUS
CN Benzamide, N-{2-[4-(acetylamino)phenyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 19 Sep 2003

ACCESSION NUMBER: 2003:737580 CAPLUS

DOCUMENT NUMBER: 139:261298

TITLE: Preparation of imidazole and benzimidazole derivatives that inhibit the interaction of ligands with RAGE

INVENTOR(S): Mjalli, Adnan M. M.; Andrews, Robert C.; Gopalaswamy,

Ramesh: Hari, Anitha: Avor, Kwasi: Qabaja, Ghassan; Guo, Xiao-Chuan: Gupta, Suparna; Jones, David R.; Chen, Xin PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA

SOURCE: PCT Int. Appl., 462 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

FAMILY A				NT:	1												
	TENT						DATE										
																~~~	
-	2003								,	WO Z	003-1	1991	49		2	JU3U.	305
WO	2003																
	W:						ΑU,					,					
		•				•	DK,							-	-		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚĔ,	KG,	Κ₽,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	ΜX,	ΜZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UΖ,	VC,	VN,	Yυ,	ZA,	2M,	ZW						
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG.	KZ.	MD.	RU.	TJ.	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI.	FR.	GB.	GR.	HU.	IE,	IT.	LU,	MC.	NL.	PT.	RO,	SE,	SI,	SK,	TR,
		•	,		•	•	CM,	•					-	-			-
•	2476	594	·		AA	·	2003	0918		CA 2	003-	2476	594		2	0030	305
. US	2004	0825	42-		AI		2004	0429		US-2	003-	3822	03	-	2	0030	305
` EP	1482	931			A2		2004	1208		EP 2	003-	7139	18		2	0030	305
	R:	AT,	BÉ,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	51,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	₿G,	CZ,	EE,	ΗU,	sĸ	
PRIORITY	APP	LN.	INFO	. :					1	US 2	002-	3619	83P		P 2	0020	305
																0030	
OTHER SO	DURCE	(S):			MAR	PAT	139:	2612	98								

$$R^{1}$$
 $R^{4}$ 
 $R^{3}$ 
 $R^{3}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{4$ 

Title compds. and analogs I (wherein A = 0, S, or NR2; R1 and R2 = independently H or (un) substituted (hetero) aryl, (cyclo) alkyl, heterocyclyl, alkenyl, alkynyl, alkylene(hetero)aryl, alkylene heterocyclyl, alkylene cycloalkyl, etc.; R3 and R4 = independently H, halo, OH, CN, CONH2, CO2H, or (un) substituted (hetero) aryl, (cyclo) alkyl, heterocyclyl, alkenyl, alkynyl, alkylene(hetero)aryl, alkylene heterocyclyl, alkylene cycloalkyl, etc.; and pharmaceutically acceptable salts thereof] were prepared as modulators of the interaction between the receptor for advanced glycated end products (RAGE) and its ligands, such as advanced glycated end products (AGEs), S100/calgranulin/EN-RAGE, β-amyloid, and amphoterin. For example, 1-BOC-4-[2-(4-amino-3butylaminophenoxy)ethyl]piperazine was condensed with 3hydroxybenzaldehyde to give the hydroxybenzimidazole. Coupling with cyclohexylmethyl bromide in the presence of NaH in THF afforded II. In binding studies employing S100b as the RAGE ligand, five hundred fifty-one invention compds. exhibited binding with IC50 values of < 10  $\mu M_{\odot}$  . Thus, I and their pharmaceutical compns. are useful for the management, treatment, control, or as an adjunct treatment for diseases in humans caused by RAGE, including acute and chronic inflammation, the development of diabetic late complications such as increased vascular permeability, nephropathy, atherosclerosis, and retinopathy, the development of Alzheimer's disease, erectile dysfunction, and tumor invasion and metastasis (no data). 603144-68-9P, N-[3-[2-[4-[2-(4-Chlorophenyl)ethoxy]phenyl]+6-[2diethylaminoethoxy)benzimidazol-1-yl}propyl]-N,N-diethylamine 603144-96-3P, N-[3-[2-[4-[2-(4-Chlorophenyl)ethoxy]phenyl]-6-(2diethylaminoethoxy)benzimidazol-1-yl]propyl]-N, N-dimethylamine 603145-32-0P, N-[3-[2-[4-(2-(4-Chlorophenyl)ethoxy]phenyl]-6-(2dimethylaminoethoxy)benzimidazol-1-yl]propyl]-N, N-dimethylamine 603145-82-0P, N-[2-[2-[4-[2-(4-Chlorophenyl)ethoxy]phenyl]-6-(2diethylaminoethoxy)-1H-benzimidazol-1-yl]ethyl]-N,N-dimethylamine Rt: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (RAGE modulator; preparation of imidazole and benzimidazole RAGE modulators

(Continued)

for treatment of inflammation, diabetes, tumors, and other conditions)
RN 603144-68-9 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 2-[4-[2-(4-chlorophenyl)ethoxy]phenyl]-6[2-(diethylamino)ethoxy]-N,N-diethyl- (9CI) (CA INDEX NAME)

ANSWER 23 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

RN 603144-96-3 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 2-{4-{2-(4-chlorophenyl)ethoxy}phenyl}-6[2-{diethylamino}ethoxy}-N,N-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 603145-32-0 CAPLUS
CN 1H-Benzimidazole-1-propanamine, 2-[4-[2-(4-chlorophenyl)ethoxy]phenyl]-6[2-(dimethylamino)ethoxy]-N, N-dimethyl- (9CI) (CA INDEX NAME)

RN 603145-82-0 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-{4-{2-(4-chlorophenyl)ethoxy}phenyl}-6-{2-(diethylamino)ethoxy}-N,N-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 24 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) converted to the oxirane and treated with 2-methylbenzimidazole to give the title compd. II which had a min. inhibitory concn. against Candida albicans of 6.25-12.5 µM.

(preparation of aryl(triazolyl)(imidazolyl)propanols as anti-fungal agents)

albicans of 6.25-12.5 µM.

583057-64-1P 583057-65-2P 583057-66-3P

583057-69-6P 583057-71-0P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);

SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

583057-64-1 CAPLUS 1H-Benzimidazole-1-ethanol,  $\alpha$ -{2,4-difluorophenyl}-2-phenyl- $\alpha$ -

CN lH-Benzimidazole-1-ethanol,  $\alpha$ -{2,4-difluorophenyl}-2-(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)

RN

RN 583057-65-2 CAPLUS
CN 1H-Benzimidazole-1-ethanol, 2-(4-chlorophenyl)-a-(2,4-difluorophenyl)-a-(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)

N OH F

CH2 CH2

F

RN 583057-66-3 CAPLUS
CN 1H-Benzimidazole-1-ethanol, α-(2,4-difluorophenyl)-2-(4-methylphenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX

L4 ANSWER 24 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 22 Aug 2003 ACCESSION NUMBER: 2003:656506 CAPLUS

DOCUMENT NUMBER: 139:197490
TITLE: Preparation of aryl(triazolyl)(imidazolyl)propanols as

anti-fungal agents

INVENTOR(S): Chandavarkar, Mohan A.; Kulkarni, Vithal Madhavrao; Shivkumar, Pranavkumar; Shetty, Ravindra S.; Bapat,

Uday Rajaram (S): FDC Limited, India

PATENT ASSIGNEE(S): FDC Limited, India SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	TRAT	NO.					DATE		i	APPL:	ICAT:	ION I	NO.		D	ATE	
#O	2003	0681	42		D2		2003	0821	1	an 2	003-	IN24			2	0030	211
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	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SŽ,	TZ,	υG,	ZM,	ZW,	AM,	AZ,	BY
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES.
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF
		BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
CA	2474	017			AA		2003	0821		CA 2	003-	2474	017		2	0030	211
GB	2400	848			Al		2004	1027	1	GB 2	004-	1647	2		2	0030	211
US	2005	0206	53		A1		2005	0127	1	US 2	004-	5033	13		2	0040	802
RITY	APP	LN.	INFO	.:						IN 2	002-	MU12	5		A 2	0020	212
										WO 2	003-	IN24		,	W 2	0030	211

OTHER SOURCE(S): MARPAT 139:197490

AB Title compds. I (R = (un)substituted 1-imidazolyl, 1-benzimidazolyl) were prepared for use as antifungal agents for both medical and agricultural applications. Thus, 2',4'-difluoro-2-(1,2,4-triazol-1-yl)acetophenone was

II

L4 ANSWER 24 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 583057-69-6 CAPLUS
CN 1H-Benzimidazole-1-ethanol, α-(2,4-difluorophenyl)-2-(4-methoxyphenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX

RN 583057-71-0 CAPLUS
CN 1H-Benzimidazole-1-ethanol, α-(2,4-difluorophenyl)-2-(2-methoxyphenyl)-α-(1H-1,2,4-triazol-1-ylmethyl)- (9CI) (CA INDEX

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L4 ANSWER 25 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
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Entered STN: 15 Aug 2003

2003:633749 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 139:180347 Preparation of histogramin-like peptides and TITLE: non-peptides

Lemaire, Simon: Bernatchez-Lemaire, Irma: Le, INVENTOR (5):

Hoang-Tanh University of Ottawa, Can. PATENT ASSIGNEE(S):

PCT Int. Appl., 59 pp. SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

English LANGUAGE: FAMILY ACC. NUM. COUNT:

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			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	ΜX,	MZ,	NO,	NZ,	OM,	PH,
								SD,										
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OTHER	50	URCE	(S):			MAR	PAT	139:	1803	47								

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention relates to new basic amino acid derivs. I, II and III [A is H, alkyl, or hydroxyalkyl; B is guanidinoalkyl, 4-imidazolylalkyl, aminoalkyl, p-aminophenylalkyl, p-guanidinophenylalkyl, or 4-pyridinylalkyl; D is CO, CO-alkylene, or alkylene; E is a single bond or alkylene; Z is NH2, amino groups, OH, alkoxy, benzyloxy, or halobenzyl; R1-R5 are independently H or various substituents] and to their preparation and use in treatment of pain. The compds. have histogramin-like antinociceptive, morphine potentiating and COX-2 induction modulating activities. Thus, cyclo[Gly-(p-chloro)Phe-Tyr-D-Arg] (I-1) was prepared on an oxime resin using tert-butoxycarbonyl (Boc) protection and cleaved from the resin using intrachain aminolysis in the presence of AcOH and diisopropylethylamine. I-1 showed AD50 = 0.17 nmol/mouse and an analgesic potency ratio of 135 relative to histogramin in a mouse writhing pain assay.

ANSWER 26 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 27 Jun 2003 ACCESSION NUMBER:

2003:491188 CAPLUS 139:69057

DOCUMENT NUMBER: TITLE:

GI

Preparation of carbamates as hormone-sensitive lipase

inhibitors for the treatment of diabetes and related

WO 2002-DK853

20021213

disorders Ebdrup, Soren; Hansen, Holger Claus; Vedso, Per; INVENTOR (S):

Cornelis De Jong, Johannes; Jacobsen, Poul

PATENT ASSIGNEE (S): Novo Nordisk A/S, Den. SOURCE:

PCT Int. Appl., 390 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE 20030626 WO 2003051842 WO 2002-DK853 20021213 WO 2003051842 A3 20040603 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20030904 US 2003166690 US 2002-319212 20030904 US 2002-319885 US 2003166644 A1 EP 2002-787449 20040922 EP 1458375 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK PRIORITY APPLN. INFO.: DK 2001-1879 A 20011214 DK 2002-645 20020430 DK 2002-1000 DK 2002-1562 20021011 US 2002-346909P 20020103 20020530 US 2002-384243P US 2002-393068P 20020628 US 2002-418481P 20021015

MARPAT 139:69057

Title compds. I (wherein R1 = H or (un) substituted (cyclo) alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or

Page 31

OTHER SOURCE(S):

GI

ANSWER 25 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 573720-54-42

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of histogramin-like peptides and non-peptides)

573720-54-4 CAPLUS 1H-Benzimidazole-5-carboxylic acid, 1-{(1R)-1-(aminocarbonyl)-4-

[(aminoiminomethyl)amino]butyl]-2-(4-hydroxyphenyl)- (9CI) (CA INDEX

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

(Continued) ANSWER 26 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN heterocyclyl; or NR1RZ = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof) were prepd. as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concn. of 10  $\mu M$ . Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

330560-59-3P, N-(3,4-Dichlorophenyl)-2-phenylbenzimidazole-1-

carboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

330560-59-3 CAPLUS 1H-Benzimidazole-1-carboxamide, N-(3,4-dichlorophenyl)-2-phenyl- (9CI)

(CA INDEX NAME)

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L4 ANSWER 27 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
   Entered STN: 27 Jun 2003
ED
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ACCESSION NUMBER: 2003:491187 CAPLUS

DOCUMENT NUMBER: 139:69056

Preparation of carbamates as hormone-sensitive lipase TITLE: inhibitors for the treatment of diabetes and related disorders

INVENTOR (5): Ebdrup, Soren: Cornelis De Jong, Johannes: Jacobsen, Poul; Hansen, Holger Claus; Vedso, Per

Novo Nordisk A/S, Den. PATENT ASSIGNEE(S):

PCT Int. Appl., 519 pp. SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE WO 2003051841 20030626 WO 2002-DK852 20021213 **A3** 20040624 WO 2003051841 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20030626 CA 2002-2468413 20021213 CA 2468413 AA 20021213 20030904 US 2002-319212 US 2003166690 Al US 2002-319885 20030904 US 2003166644 Al EP 2002-787448 20040922 A2 20021213 EP 1458374 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK PRIORITY APPLN. INFO.: DK 2001-1879 A 20011214 DK 2002-645 20020430 20020627 DK 2002-1000 20021011 DK 2002-1562 US 2002-346909P 20020103 US 2002-384243P 20020530 20020628 US 2002-39306BP P US 2002-418481P 20021015 20021213 WO 2002-DK852 MARPAT 139:69056 OTHER SOURCE(S):

ANSWER 28 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 22 May 2003 ED ACCESSION NUMBER:

2003:392461 CAPLUS DOCUMENT NUMBER: 139:301875

Behavioral response profiles following drug challenge TITLE:

with dopamine receptor subtype agonists and

antagonists in developing rat

Sobrian, Sonya K.; Jones, Barbara L.; Varghese, Shiny; AUTHOR (S): Holson, R. Robert

Department of Pharmacology, Howard University College CORPORATE SOURCE: of Medicine, Washington, DC, 20059, USA

Neurotoxicology and Teratology (2003), 25(3), 311-328 SOURCE: CODEN: NETEEC; ISSN: 0892-0362

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE:

LANGUAGE:

Journal English As part of an investigation into the effects of gestational ethanol (ETOH) exposure on the developing dopamine (DA) system, pregnant Sprague-Dawley rats were exposed to one of three conditions: ETOH, pair-fed (PF) to the ETOH group, or ad libitum lab chow controls (LC). In this paper we report behavioral drug challenge effects for offspring of the two control groups (PF and LC). Male and female pups between postnatal days (PNDs) 21 and 23 in age were exposed to one of three i.p./s.c. doses of one of eight drugs chosen to assess the functional status of the DA D1, D2, and D3 receptor subtype, or a saline control. Agonists were SKF 38393, apomorphine (APO), quinpirole (QUIN), and 7-hydroxy-N, N-di-n-propyl-2-amino-tetralin [7-OH-DPAT (DPAT)]; antagonists were spiperone (SPIP), SCH 23390, and two recently developed D3 antagonists nafadotride (NAF) and PD 152255. Immediately following drug injection, pups were placed in observation cages, where eight behaviors (square entries, grooming, circling, rearing, sniffing, head and oral movements, and yawning) were scored at 3-min intervals for 30 min. Classic behavioral profiles were generally obtained for the high-dose mixed agonists APO, DPAT, and QUIN, which potently increased square entries, rearing, and sniffing, while reducing grooming and head movements. However, low-dose APO had no effect on behavior. The D1 agonist, SKF 38393, had a strikingly different behavioral profile; it had no effect on square entries at any dose, while increasing grooming and sniffing at the medium dose. The Dl antagonist, SCH 23390, profoundly decreased all behaviors except oral and head movements, especially at high doses. In contrast, the effects of the D2 antagonist, SPIP, were limited to increasing sniffing at the medium dose. The two putative D3 antagonists, NAF and PD 152255, presented strikingly different profiles. NAF induced a pattern of behavioral suppression that resembled the profile of high-dose SCH, while high-dose PD 152255 stimulated behavior. The failure of low-dose APO to have any effect on behavior suggests that the D2 autoreceptor is not functional in preweanling rats. This hypothesis is further supported by the lack of behavioral suppression seen with low-dose QUIN and DPAT. Failure of NAF to produce behavioral activation at low doses and the stimulatory effects seen with PD 152255 suggests that either the D3 autoreceptor, the postsynaptic D3 receptor, or both are not fully functional at this age as well.

164917-23-1, PD 152255 RL: PAC (Pharmacological activity); BIOL (Biological study) (behavioral response profiles following drug challenge with dopamine receptor subtype agonists and antagonists in developing rat) 164917-23-1 CAPLUS

1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis[2-[4-[3-(1piperidinyl)propoxy)phenyl)~ (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 27 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl: R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof) were prepared as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concentration of 10  $\mu M$ . Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).

330560-59-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment of diabetes and related disorders)

330560-59-3 CAPLUS 1H-Benzimidazole-1-carboxamide, N-(3,4-dichlorophenyl)-2-phenyl- (9CI) (CA INDEX NAME)

(Continued) ANSWER 28 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

REFERENCE COUNT:

THERE ARE 117 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 | ANSWER 29 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 09 May 2003 ACCESSION NUMBER: 2003:353857 CAPLUS 138:356242 DOCUMENT NUMBER:

TITLE: Solid electrolyte material Taniguchi, Hiromi; Rikukawa, Masahiro INVENTOR(S): PATENT ASSIGNEE(S): Toyota Motor Corp., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp. CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. JP 2003132908 20030509 JP 2001-327447 20011025 A2 JP 2001-327447 20011025 PRIORITY APPLN. INFO.:

RL: DEV (Device component use); IMF (Industrial manufacture); PREP

The electrolyte material, especially for a fuel cell, is obtained by binding a side chain having a plurality of ion exchange groups to a principal chain. Preferably, the ion exchange groups contain ≥1 group selected from sulfonate, phosphonate, phosphate, borate, and carboxylate groups. 521084-75-3P

(Preparation); USES (Uses) (solid electrolyte materials containing ion exchange groups for fuel cells) 521084-75-3 CAPLUS

Poly({1,1'-bis(3-[bis(4-sulfobutyl)amino]propyl)[5,5'-bi-1H-benzimidazole]-2,2'-diyl]-1,3-phenylene] (9CI) (CA INDEX NAME)

ANSWER 30 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

NH2

321180-45-4 CAPLUS 1H-Benzimidazole-1-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino]propyl}-2-(4-butoxyphenyl)-5-{[(1,2-diphenylethyl)amino]carbonyl]-, (as)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-47-6 CAPLUS 1H-Benzimidazole-1-acetamide,  $\alpha$ -{3-{(aminoiminomethyl)amino}propyl}-5-[((1,2-diphenylethyl)amino]carbonyl]-2-{4-(1-methylethyl)phenyl]-, (as) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-49-8 CAPLUS 1H-Benzimidazole-1-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino]propyl]-2-[4-(1-methylethyl)phenyl]-5-[[(2-phenylethyl)(phenylmethyl)amino]carbony 1)-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 30 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 20 Mar 2003

ACCESSION NUMBER: 2003:217986 CAPLUS DOCUMENT NUMBER: 138:238445

Melanocortin receptor-3 ligands for treating sexual TITLE: dysfunction

Dines, Kevin C.; Gahman, Timothy C.; Girten, Beverly INVENTOR(S): E.; Hitchin, Douglas L.; Holme, Kevin R.; Lang, Hengyuan; Slivka, Sandra R.; Watson-Straughan, Karen

J.; Tuttle, Ronald R.; Pei, Yazhong Lion Bioscience AG, Germany PATENT ASSIGNEE(S):

SOURCE: U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 364,825,

abandoned. CODEN: USXXAM Patent

DOCUMENT TYPE: LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				- +
US 6534503	<b>B</b> 1	20030318	US 2000-615479	20000713
US 6127381	A	20001003	US 1999-301391	19990428
US 6608082	Bl	20030819	US 1999-306686	19990506
US 6284735	B1	20010904	US 1999-356386	19990716
PRIORITY APPLN. INFO.:			US 1998-83368P	P 19980428
			US 1999-301391	Al 19990428
			US 1999-306686	AZ 19990506
			US 1999-356386	A2 19990716
			US 1999-364825	B2 19990730
			US 1999-401004	A2 19990921

OTHER SOURCE(S): MARPAT 138:238445 Methods are described for treating sexual dysfunction, such as erectile dysfunction or sexual arousal disorder, with peptides having the sequence -D-Phe-Arg-D-Trp-. A particularly useful compound is HP-228 (Ac-Nle-Gin-His-D-Phe-Arg-D-Trp-Gly-NH2), which was prepared by the solid-phase method and assayed for biol. activity. The invention also provides methods for selecting melanocortin receptor-3 ligands by determining whether a compound modulates the activity of MC-3 as an agonist or antagonist. These methods can be used to screen compound libraries (e.g., benzimidazole derivs., which are claimed) for ligands to treat

MC-3-associated conditions. 321180-43-2P 321180-45-4P 321180-47-6P 321180-49-8P 321180-51-2P 321180-53-4P 321180-55-6P 321180-57-8P 321180-59-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(benzimidazole combinatorial library for treating melanocortin receptor-3 associated conditions)

321180-43-2 CAPLUS

1H-Benzimidazole-1-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino]propyl]-2-[4-(1,1-dimethylethyl)phenyl}-5-[[(2-phenylethyl)(phenylmethyl)amino]car bonyl]-, (as)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 30 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

321180-51-2 CAPLUS

1H-Benzimidazole-1-acetamide, α-[3-[(aminoiminomethyl)amino]propyl] 2-[4-(1,1-dimethylethyl)phenyl]-5-[[(1,2-diphenylethyl)amino]carbonyl]-, (as) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-53-4 CAPLUS

1H-Benzimidazole-1-acetamide, a-{3-[(aminoiminomethyl)amino]propyl]-5-[[4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]carbonyl]-2-[4-(1,1dimethylethyl)phenyl]-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-55-6 CAPLUS

1H-Benzimidazole-1-acetamide,  $\alpha$ -[3-((aminoiminomethyl)amino]propyl]-2-(4-(1,1-dimethylethyl)phenyl]-5-[[(2-phenylethyl)(3pyridinylmethyl)amino]carbonyl]-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 30 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

321180-57-8 CAPLUS 1H-Benzimidazole-1-acetamide, q-[3-[(aminoiminomethyl)amino)propyl]-2-(4-butoxyphenyl)-5-[((2-phenylethyl)(3-pyridinylmethyl)amino]carbonyl]-, (as) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

321180-59-0 CAPLUS 1H-Benzimidazole-1-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino)propyl}-2-(4-pentylphenyl)-5-[[(2-phenylethyl)(phenylmethyl)amino]carbonyl}-, (as) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 569356-87-2P 569356-89-4P 569356-90-7P 569356-91-8P 569356-92-9P 569356-94-1P

569356-95-2P 569356-96-3P 569356-97-4P 569356-99-6P 569357-00-2P 569357-01-3P 569357-02-4P 569357-03-5P 569357-05-7P 569357-06-8P 569357-07-9P 569357-08-0P 569357-10-4P 569357-11-5P 569357-12-6P 569357-13-7P 569357-15-9P 569357-16-0P 569357-17-1P 569357-18-2P 569357-20-6P 569357-21-7P 569357-22-8P 569357-23-9P 569357-25-1P 569357-26-2P 569358-38-9P 569358-39-0P 569358-40-3P 569358-41-4P 569358-42-5P 569358-43-6P 569358-45-8P 569358-46-9P 569358-47-0P 569358-48-1P 569358-50-5P 569358-51-6P 569358-52-7P 569358-53-8P 569358-55-0P 569358-56-1P 569358-57-2P 569358-58-3P 569358-59-4P 569358-61-8P 569358-62-9P 569358-63-0P 569358-64-1P 569358-66-3P 569358-67-4P 569358-68-5P 569358-69-6P 569358-71-0P

569358-72-1P RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP

(Preparation)

(solid-phase synthesis of benzimidazole libraries biased for RNA

binding using Wang resin or Rink amide resin)

318477-17-7 CAPLUS 1H-Benzimidazole-1-acetamide, 2-(5-chloro-2-nitrophenyl)-5-{({3-(2-oxo-1pyrrolidinyl)propyl]amino)carbonyl)- (9CI) (CA INDEX NAME)

318477-18-8 CAPLUS

1H-Benzimidazole-1-acetamide, 2-(2-chloro-5-nitrophenyl)-5-[[[3-(2-oxo-1pyrrolidinyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

318482-80-3 CAPLUS

β-Alanine, N-{{1-(2-amino-2-oxoethyl)-2-(4-chloro-3-nitrophenyl)-1Hbenzimidazol-5-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 20 Mar 2003

CORPORATE SOURCE:

GI

2003:215662 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 139:133505

Solid-phase synthesis of benzimidazole libraries TITLE: biased for RNA targets

AUTHOR (S): Vourloumis, Dionisios; Takahashi, Masayuki; Simonsen,

Klaus B.; Ayida, Benjamin K.; Barluenga, Sofia; Winters, Geoffrey C.; Hermann, Thomas

Department of Medicinal Chemistry, Anadys Pharmaceuticals, Inc., San Diego, CA, 92121, USA

Tetrahedron Letters (2003), 44(14), 2807-2811 SOURCE:

CODEN: TELEAY; ISSN: 0040-4039 Elsevier Science Ltd.

PUBLISHER: DOCUMENT TYPE: Journal

LANGUAGE: English OTHER SOURCE(S): CASREACT 139:133505

An efficient and highly versatile synthesis of two libraries I (R1 = 3-pyridylmethyl, CH2CH2NMe2, N-morpholinylethyl, etc., R2 = 3-02NC6H4, 3-pyridyl, 2-02N-3-ClC6H3, etc.) and II (R = 4-C6H4CH2, (CH2)5, CH2, etc., R1 = CH2CH2CO2Et, N-morpholinylethyl, 5-methyl-2-furylmethyl, etc., R2 = 2-C1-6-O2NC6H3, 3-thienyl, 2-C1-5-O2N-C6H3, etc.; R2 = cyclohexyl, Et, PhCH2] based on the privileged benzimidazole scaffold is described. Our design is aimed at obtaining mols., biased for binding to RNA targets, by incorporating functionalities, which are frequently found in natural RNA-ligands. The library construction was realized with the use of SPOS (solid-phase organic synthesis) using either the Wang resin or the Rink amide resin in high average yields and purity. Monitoring and quantitation of intermediates and final products were performed by the use of NMR

spectroscopy using DMFu as an internal standard 318477-17-79 318477-18-89 318482-80-3P 318482-84-7P 318482-85-8P 318970-64-8P 569355-44-8P 569355-75-5P 569355-77-7P 569355-78-8P 569355-79-9P 569355-80-2P 569355-82-4P 569355-83-5P 569355-89-1P 569355-90-4P 569355-92-6P 569355-93-7P 569355-94-8P 569355-95-9P 569355-97-1P 569355-98-2P 569355-99-3P 569356-00-9P 569356-02-1P 569356-03-2P 569356-72-5P 569356-73-6P 569356-74-7P 569356-75-8P 569356-76-9P 569356-77-0P 569356-79-2P 569356-80-5P 569356-81-6P 569356-82-7P 569356-84-9P 569356-85-0P 569356-86-1P

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

β-Alanine, N-{[1-(2-amino-2-oxoethyl)-2-(5-chloro-2-nitrophenyl)-1Hbenzimidazol-5-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

318482-85-8 CAPLUS

β-Alanine, N-([1-(2-amino-2-oxoethyl)-2-(2-chloro-5-nitrophenyl)-1Hbenzimidazol-5-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

318970-64-8 CAPLUS

1H-Benzimidazole-1-acetamide, 2-(4-chloro-3-nitrophenyl)-5-[[[3-{2-oxo-1pyrrolidinyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

569355-44-8 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-(3-nitrophenyl)-1-(3pyridinylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569355-75-5 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-(3-pyridinylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-77-7 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-(3-pyridinylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-78-8 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-(3-pyridinylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) piperidinyl)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-89-1 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(3-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-90-4 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-{3-(2-oxo-1-pyrrolidinyl)propyl}-, ethyl ester (9CI) (CA INDEX NAME)

EtO-C N NO2 C1

RN 569355-92-6 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-93-7 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569355-79-9 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(3-nitrophenyl)-1-(2-(1-piperidinyl)ethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-80-2 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-[2-(1-piperidinyl)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-82-4 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-[2-(1-piperidinyl)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-83-5 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-(2-(1-

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569355-94-8 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 1-[2-(4-morpholinyl)ethyl]-2-(3-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

N 569355-95-9 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-[2-(4-morpholinyl)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-97-1 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-(2-(4-morpholinyl)ethyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569355-98-2 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-[2-(4-morpholinyl)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569355-99-3 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 1-[2-(dimethylamino)ethyl]-2-(3-nitrophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-00-9 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-[2-(dimethylamino)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-02-1 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-{2-(dimethylamino)ethyl}-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-03-2 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-(2-(dimethylamino)ethyl)-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569356-75-8 CAPLUS
CN β-Alanine, N-[[1-(6-amino-6-oxohexyl)-2-(2-chloro-5-nitrophenyl)-lHbenzimidazol-5-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-76-9 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(4-chloro-3-nitrophenyl)-5-[[(2-methoxyethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569356-77-0 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-6-nitrophenyl)-5-[[(2-methoxyethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569356-79-2 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-[[(2-methoxyethyl)amino]carbonyl]-.(9CI) (CA INDEX NAME)

05/24/2005

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569356-72-5 CAPLUS
CN β-Alanine, N-{[1-(6-amino-6-oxohexyl)-2-(4-chloro-3-nitrophenyl)-1H-benzimidazol-5-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-73-6 CAPLUS
CN β-Alanine, N-[[1-(6-amino-6-oxohexyl)-2-(2-chloro-6-nitrophenyl).-1Hbenzimidazol-5-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-74-7 CAPLUS
CN β-Alanine, N-[[1-(6-amino-6-oxohexyl)-2-(5-chloro-2-nitrophenyl)-1H-benzimidazol-5-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569356-80-5 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-[[(2-methoxyethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

N 569356-81-6 CAPLUS
N 1-Piperidinecarboxylic acid, 4-[[[1-(6-amino-6-oxohexyl)-2-(4-chloro-3-nitrophenyl)-1H-benzimidazol-5-yl]carbonyl]amino]-, ethyl ester (9CI) (CAINDEX NAME)

RN 569356-82-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-(6-amino-6-oxohexyl)-2-(2-chloro-6nitrophenyl)-1H-benzimidazol-5-yl]carbonyl]amino]-, ethyl ester (9CI) {CA
INDEX NAME)

RN 569356-84-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-(6-amino-6-oxohexyl)-2-(5-chloro-2-nitrophenyl)-1H-benzimidazol-5-yl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569356-85-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-{{(1-(6-amino-6-oxohexyl)-2-(2-chloro-5-nitrophenyl)-1H-benzimidazol-5-yl}carbonyl}amino}-, ethyl ester (9CI) (CA TNDFY NAME)

RN 569356-86-1 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(4-chloro-3-nitrophenyl)-5-[[(3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569356-91-8 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(4-chloro-3-nitrophenyl)-5-[[(2-cyclohexylethyl)amino]carbonyl)- (9CI) (CA INDEX NAME)

RN 569356-92-9 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-6-nitrophenyl)-5-[{(2-cyclohexylethyl)amino]carbonyl}- (9CI) (CA INDEX NAME)

RN 569356-94-1 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-[[(2-cyclohexylethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569356-95-2 CAPLUS

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569356-87-2 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-6-nitrophenyl)-5-((3-(dimethylamino)propyl)amino)carbonyl)- (9CI) (CA INDEX NAME)

RN 569356-89-4 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-[[[3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569356-90-7 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-[[[3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-[((2-cyclohexylethyl)amino]carbonyl)- (9CI) (CA INDEX NAME)

RN 569356-96-3 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-{4-chloro-3-nitrophenyl}-5-{{{(5-methyl-2-furanyl}methyl]amino}carbonyl}- (9CI) (CA INDEX NAME)

RN 569356-97-4 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-6-nitrophenyl)-5-[[[(5-methyl-2-furanyl)methyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569356-99-6 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-{[(5-methyl-2-furanyl)methyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569357-00-2 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-[[[(5-methyl-2-furanyl)methyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569357-01-3 CAPLUS
CN β-Alanine, N-[{1-(2-amino-2-oxoethyl)-2-(2-chloro-6-nitrophenyl)-1Hbenzimidazol-5-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569357-02-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(4-chloro-3-nitrophenyl)-5-[[(2-methoxyethyl)amino)carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569357-07-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[1-(2-amino-2-oxoethyl)-2-(4-chloro-3-nitrophenyl)-1H-benzimidazol-5-yl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 569357-08-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-{[[1-(2-amino-2-oxoethyl)-2-(2-chloro-6-nitrophenyl)-1H-benzimidazol-5-yl]carbonyl]amino)-, ethyl ester (9CI) (CA INDEX NAME)

RN 569357-10-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-{{{1-(2-amino-2-oxoethyl)-2-(5-chloro-2-nitrophenyl)-1H-benzimidazol-5-yl]carbonyl]amino}-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569357-03-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-6-nitrophenyl)-5-[{(2-methoxyethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569357-05-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(5-chloro-2-nitrophenyl)-5-[{(2-methoxyethyl)amino]carbonyl}- (9CI) (CA INDEX NAME)

RN 569357-06-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-5-nitrophenyl)-5-[[(2-methoxyethyl)amino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569357-11-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-{{{1-{2-amino-2-oxoethyl}-2-{2-chloro-5-nirophenyl}-1H-benzimidazol-5-yl}carbonyl}amino}-, ethyl ester (9CI) (CA

RN 569357-12-6 CAPLUS

CN 1H-Benzimidazole-1-acetamide, 2-(4-chloro-3-nitrophenyl)-5-[[[3-(dimethylamino)propyl]amino)carbonyl]- (9CI) (CA INDEX NAME)

RN 569357-13-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-6-nitrophenyl)-5-{[[3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569357-15-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(5-chloro-2-nitrophenyl)-5-([[3-(dimethylamino)propyl)amino)carbonyl)- (9CI) (CA INDEX NAME)

RN 569357-16-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-5-nitrophenyl)-5-[[[3-(dimethylamino)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569357-17-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(4-chloro-3-nitrophenyl)-5-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) RN 569357-22-8 CAPLUS

CN 1H-Benzimidazole-1-acetamide, 2-(4-chloro-3-nitrophenyl)-5-[[[(5-methyl-2-furanyl)methyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569357-23-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-6-nitrophenyl)-5-[[[(5-methyl-2-furanyl)methyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569357-25-1 CAPLUS
CN 1H-Benzimidazole~1-acetamide, 2-(5-chloro-2-nitrophenyl)~5-{[[(5-methyl-2-furanyl)methyl]amino]carbonyl]- (9CI) {CA INDEX NAME}

RN 569357-26-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-5-nitrophenyl)-5-[[[{5-methyl-2-furanyl)methyl]amino]carbonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569357-18-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-6-nitrophenyl)-5-([[2-(1-piperidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569357-20-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(5-chloro-2-nitrophenyl)-5-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569357-21-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-5-nitrophenyl)-5-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569358-38-9 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(4-chloro-3-nitrophenyl)-5-[[[3-(2-0xo-1-pyrrolidinyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

N 569358-39-0 CAPLUS N 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-6-nitrophenyl)-5-{{[3-(2-oxo-1-pyrrolidinyl)propyl]amino]carbonyl]- {9CI} (CA INDEX NAME)

RN 569358-40-3 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-[[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-41-4 CAPLUS

## 05/24/2005

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-[[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-42-5 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 5-[[[2-(acetylamino)ethyl]amino]carbonyl]-2(4-chloro-3-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 569358-43-6 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 5-{[{2-(acetylamino)ethyl}amino}carbonyl]-2-(2-chloro-6-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 569358-45-8 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 5-[[[2-(acetylamino)ethyl]amino]carbonyl]-2(5-chloro-2-nitrophenyl)- (9CI) (CA INDEX NAME)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

RN 569358-46-9 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 5-{[[2-(acetylamino)ethyl]amino)carbonyl]-2-(2-chloro-5-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 569358-47-0 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(4-chloro-3-nitrophenyl)-5-[[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]carbonyl]- [9CI) (CA INDEX NAME)

RN 569358-48-1 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-6-nitrophenyl)-5-[[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569358-50-5 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-[[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-51-6 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-[[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-1-hexanamide, 2-(2-chloro-6-nitrophenyl)-5-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-55-0 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(5-chloro-2-nitrophenyl)-5-[{[2-(4-morpholinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

N 569358-56-1 CAPLUS
1H-Benzimidazole-1-hexanamide, 2-(2-chloro-5-nitrophenyl)-5-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-52-7 CAPLUS
CN 1H-Benzimidazole-1-hexanamide, 2-(4-chloro-3-nitrophenyl)-5-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-53-8 CAPLUS

RN 569358-57-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-6-nitrophenyl)-5-[[[3-(2-0x0-1-pyrrolidinyl)propyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-58-3 CAPLUS

Page 40

RN 569358-59-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 5-[[[2-(acetylamino)ethyl]amino]carbonyl]-2{2-chloro-6-nitrophenyl}- (9CI) (CA INDEX NAME)

RN 569358-61-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 5~([(2-(acetylamino)ethyl)amino]carbonyl]-2(5-chloro-2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 569358-62-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 5-[[[2-(acetylamino)ethyl]amino]carbonyl]-2(2-chloro-5-nitrophenyl)- {9CI} (CA INDEX NAME)

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569358-67-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-5-nitrophenyl)-5-[((2-(1-methyl-2-pyrrolidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-68-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(4-chloro-3-nitrophenyl)-5-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]- {9CI} (CA INDEX NAME)

RN 569358-69-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-6-nitrophenyl)-5-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-71-0 CAPLUS
CN 1H-Benzimidazole+1-acetamide, 2-(5-chloro-2-nitrophenyl)-5-({(2-(4-

L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 569358-63-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(4-chloro-3-nitrophenyl)-5-[[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-64-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-6-nitrophenyl)~5-[[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-66-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(5-chloro-2-nitrophenyl)-5-[{{2-(1-methyl-2-pyrrolidinyl)ethyl}amino]carbonyl]- (9CI) (CA INDEX NAME)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) morpholinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

RN 569358-72-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2-chloro-5-nitrophenyl)-5-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

T 569355-42-6P 569355-46-0P 569355-48-2P 569355-49-3P 569355-50-6P 569355-51-7P 569355-53-9P 569355-54-0P 569355-60-8P 569355-61-9P 569355-63-1P 569355-64-2P 569355-63-3P 569355-64-4P 569355-68-6P 569355-69-7P 569355-70-0P 569355-71-1P 569355-73-3P 569355-74-4P

RL: CPN (Combinatorial preparation); CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase synthesis of benzimidazole libraries biased for RNA binding using Wang resin or Rink amide resin)

RN 569355-42-6 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-{3-nitrophenyl}-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 569355-46-0 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-(3-pyridinylmethyl)- (9CI) {CA INDEX NAME}

1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-(3pyridinylmethyl) - (9CI) (CA INDEX NAME)

569355-49-3 CAPLUS 1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-(3pyridinylmethyl) - (9CI) (CA INDEX NAME)

569355-50-6 CAPLUS 1H-Benzimidazole-5-carboxylic acid, 2-(3-nitrophenyl)-1-(2-(1piperidinyl)ethyl)- (9CI) (CA INDEX NAME)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

569355-61-9 CAPLUS 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

569355-63-1 CAPLUS 1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl)- (9CI) (CA INDEX NAME)

569355-64-2 CAPLUS 1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-[3-(2-oxo-1-pyrrolidinyl)propyl)- (9CI) (CA INDEX NAME)

$$O_2N$$
 $N$ 
 $C1$ 
 $N$ 
 $CH_2$ 

569355-65-3 CAPLUS 1H-Benzimidazole-5-carboxylic acid, 1-[2-(4-morpholinyl)ethyl]-2-(3L4 ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

569355-51-7 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

569355-53-9 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-[2-(1-piperidinyl)ethyl}- (9CI) (CA INDEX NAME)

569355-54-0 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-(2-(1piperidinyl)ethyl)- (9CI) (CA INDEX NAME)

$$O_2N$$
 $O_2N$ 
 $O_2N$ 

569355-60-8 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-(3-nitrophenyl)-1-[3-(2-oxo-1pyrrolidinyl)propyl) - (9CI) (CA INDEX NAME)

ANSWER 31 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN . (Continued)

569355-66-4 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-[2-(4morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

569355-68-6 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-{2-(4morpholinyl)ethyl)- (9CI) (CA INDEX NAME)

569355-69-7 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-(2-(4morpholinyl)ethyl)- (9CI) (CA INDEX NAME)

569355-70-0 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 1-[2-(dimethylamino)ethyl]-2-(3nitrophenyl) - (9CI) (CA INDEX NAME)

nitrophenyl) - (9CI) (CA INDEX NAME)

RN 569355-71-1 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-chloro-3-nitrophenyl)-1-[2 (dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 569355-73-3 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(5-chloro-2-nitrophenyl)-1-[2-(dimethylamino)ethyl)- (9CI) (CA INDEX NAME)

RN 569355-74-4 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(2-chloro-5-nitrophenyl)-1-[2-(dimethylamino)ethyl]- (9CI) (CA:INDEX NAME)

REFERENCE COUNT:

14 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$A-X \xrightarrow{E-D} B-CO-N$$

$$R^{5} R^{1}$$

$$V$$

$$R^{7} R^{6}$$

Title compds. I {A = alkyl, alkylen-aryl (sic), mono or bicyclic ring; X = CR8R9, C(OR10)R11, O, etc.; R8, R9, R10, R11 = H, alkyl; D = N, CR41; E = N, CR42; G = N, CR43; L = N, CR44; R1, R2, R3, R41, R42, R43, R44 = H, halo, OH, etc.; B = O, NR24; R24 = H, alkyl; R5 = H, alkyl; W = N, CR25; R25 = H, alkyl aryl, bond to Y; T = N, CR26; R26 = H, alkyl, aryl, etc.; U = O, S, NR27; R27 = H, alkyl, bond to Y; Y = substituted alkylene, e.g, O, S, SO, etc.; R6, R7 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, three component coupling of 1-dimethylaminoethyl-5-aminoindole, carbonyldimidazol and 4-aminodiphenylether provided indolylurea II. In human melanin-concentrating hormone receptor assays, 41-specific examples of compds. I exhibited IC50 values ranging from 4.25-0.10 μM, e.g., indolylurea II IC50 = 0.15 μM. Compds. I are said useful as anorexic agents.

IT 500013-64-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(drug candidate; preparation of indolylureas and relate compds. for the treatment of obesity and type II diabetes)

RN 500013-64-9 CAPLUS
CN Urea, N-[1-{2-(dimethylamino)ethyl}-2-phenyl-1H-benzimidazol-5-yl}-N'-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 28 Feb 2003

ED Entered STN: 28 FED 2003 ACCESSION NUMBER: 2003:154238 CAPLUS

DOCUMENT NUMBER: 138:204941

TITLE: Preparation of indol-5-ylureas and relate compounds for the treatment of obesity and type II diabetes
INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel,

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany SOURCE: PCT Int. Appl., 77 pp.

URCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	TENT	NO.			KIN		DATE				LICAT					ATE		
WO	2003	0157	69													0020	803	
											BG,							
				-	-	-	-	-	-		EE,							
											KG,							
											MW,							
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	
											AZ,							TM
	RW:										TZ,							
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	
		PT,	SE,	SK,	TŔ,	BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	
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	2005																	
	2003															0020		
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	2004							1209			2003-					0031		
	2004							0930			2004-					0040		
	2004	-						1007			2004-							
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MARPAT 138:204941

US 2002-218034

A3 20020814

L4 ANSWER 33 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 21 Feb 2003

ACCESSION NUMBER: 2003:133024 CAPLUS

DOCUMENT NUMBER: 138:163576
TITLE: Method for prevention or suppression of symptoms of

psychosis
INVENTOR(S): Richtand, Neil

PATENT ASSIGNEE(S): Richtand, Nell

Patent Assignee(S): The United States of America as Represented by

Department of Veterans Affairs, USA

SOURCE: PCT Int. Appl., 30 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

OTHER SOURCE(S):

PATE	NT INFORMATION:
	PATENT NO. KIND DATE APPLICATION NO. DATE
	WO 2003013507 A1 20030220 WO 2001-US24891 20010809
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
	RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
	UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
	US 2004176467 A1 20040909 US 2004-486593 20040209
• • • •	RITY APPLN. INFO.: WO 2001-US24891 W 20010809
AB	
	treating non-psychotic patients who are at risk of developing psychosis is
	discosed. The method includes determining whether a patient is at risk for
	developing psychosis; making a diagnosis that the patient is at risk; and
	administering to the patient a selective D3 antagonist prior to the time
	the patient is psychotic in an amount sufficient to prevent or suppress
	symptoms of psychosis.
IT	164917-23-1, PD 152255
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
	(Biological study); USES (Uses)
	(method for prevention or reducing occurrence of psychosis symptoms)
RN	164917-23-1 CAPLUS
CN	1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis[2-[4-[3-(1-

piperidinyl)propoxy]phenyl}- (9CI) (CA INDEX NAME)
Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 34 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) alkyl, cycloalkyl, etc.; R2 = R1; R3, R4, R6, R7 = H, X, alkenyl, cycloalkyl; R5 = H, alkyl, alkenyl, etc.; X = halo, CN, perfluoroalkyl, etc.) which are inhibitors of Janus protein tyrosine kinases (Jak), and as such are useful as immunosuppressants, and in the treatment of diseases including asthma, allergies, autoimmune diseases, were prepd. and formulated. E.g., a 5-step synthesis of II, starting from 2-fluoro-4-methylpyridine and Et 4-fluorobenzoate, was given.

496803-76-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of benzimidazo[4,5-f]isoquinolinones as inhibitors of Janus

protein tyrosine kinases (Jak)) 496803-76-0 CAPLUS

7H-Benz[h]imidazo[4,5-f]isoquinolin-7-one, 1-[2-(dimethylamino)ethyl]-9-CN fluoro-1,6-dihydro-2-phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 34 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 14 Feb 2003 2003:117620 CAPLUS ACCESSION NUMBER:

138:153536 DOCUMENT NUMBER: Preparation of benzimidazo[4,5-f]isoquinolinones as TITLE:

inhibitors of Janus protein tyrosine kinases (Jak) Goulet, Joung L.: Hong, Xingfang; Sinclair, Peter J.: INVENTOR (S): Thompson, James E.; Cubbon, Rose M.; Cummings, Richard

Merck & Co., Inc., USA PCT Int. Appl., 78 pp. PATENT ASSIGNEE (5): SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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•••	PATENT NO.									ICAT							
WO 20030															0020	726	
w:						AU,											
			-			DK,											
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	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	PL,	
	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TŽ,	UΑ,	
	UG,	US,	υZ,	VN,	YU,	ZA,	ZM,	Z₩,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM
RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,	
						EE,											
	PT.	SE.	SK.	TR.	BF.	BJ,	CF.	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	
	-	SN,					•	•		•		-				-	
CA 24551						2003	0213		CA 2	002-	2455	181		2	0020	726	
EP 14144																	
						ES,											
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JP 20055															0020	726	
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US 20041									05 2	004-	4857	20		2	0040	130	
US 68527	_			82		2005	0208		_					_			
PRIORITY APPI	N.	INFO	.:							001-							
									WO 2	002-	US23	876	1	₩ 2	0020	726	
OTHER SOURCE	121			MAR	ዋልዋ	138:	1535	36									

$$R^3$$
 $R^4$ 
 $R^5$ 
 $R^5$ 
 $R^7$ 
 $R^6$ 
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 $R^6$ 
 $R^7$ 
 $R^7$ 
 $R^7$ 
 $R^8$ 
 $R^8$ 

The title compds. I [Q = N, C; R1 is attached to the N atom and = H,

ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 17 Jan 2003 ACCESSION NUMBER: 2003:4 2003:42104 CAPLUS

DOCUMENT NUMBER: 138:106697

Preparation of 1-alkyl-2-arylbenzimidazole derivatives TITLE: for treatment of diseases linked to the activation of

Blume, Thorsten; Halfbrodt, Wolfgang; Kuhnke, Joachim; INVENTOR(S):

Moenning, Ursula; Elger, Bernd; Schneider, Herbert PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 87 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA'I	ENT	NO.			KIN	0	DATE	•		APPL	I CAT	ION	NO.		D	ATE	
						-	<b>-</b>								-		
WO	2003	0040	23		Al		2003	0116	,	WO 2	002-	EP75	97		2	0020	706
	W:	AE,	AG,	AL,	AM,	AT,	,UA	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GΈ,	GH,	GM,
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	PL.
							SG,										
		UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG
		CH,	CY,	CZ,	DE,	DK,	EΕ,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL
		PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR
		NE,	SN,	TD,	TĠ												
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US	2003	0550	57		Al		2003	0320		US 2	002-	1891	79		2	0020	705
บร	6855	714			B2		2005	0215									
EP	1404	321			AI		2004	0407		EP Z	002-	7623	33			0020	706
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT
							RO.										

JP 2003-510034 20020706 JP 2004530731 20041007 DE 2001-10134775 20010706 PRIORITY APPLN. INFO.:

US 2002-347242P 20020114 WO 2002-EP7597 20020706

MARPAT 138:106697 OTHER SOURCE(S):

$$\begin{array}{c|c}
R^3 \\
R - A - Y \\
R^2 \\
I
\end{array}$$
MeO

OMe I

AB Title compds. I (wherein R1 = (un) substituted (hetero) aryl, especially

### Andrew Freistein 10/630896

L4 ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) benzothienyl or indolyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, hydroxyalkyl, aminoalkyl, carbamoylalkyl, Ph, etc.; R3 = H, F, Cl, Br, OH, CN, NO2, or (un)substituted carbamoyl(oxy), sulfamoyl, amino, ureido, etc.; A = (un)substituted alkanediyl, alkenediyl, or alkynediyl, cycloalkyl ring, heterocyclyl ring, etc.; B = CO2H, carboxy ester, carbamoyl, etc.; Y = O, NH, (un)substituted ureido, sulfamoyl, etc.) were prepd. as microglia activation inhibitors. For example, a multi-step synthesis starting from 3-fluoro-4-nitrophenol, 3-methoxypropylamine, Me 6-bromohexanoate, and tri-Me orthobenzoate produced 6-[[5-(methoxycarbonyl)pentyl]oxy]-1-(3-methoxypropyl)-2-phenylbenzimidazole (II). The latter inhibited Aβ-activation of microglia in vitro with an IC50 of 0.65 μM. Thus, I are useful for the prophylaxis and treatment of diseases linked to the activation of microglia, such as inflammation, allergy, infection, autoimmune disease, and stroke (no data).

data). 486418-06-8P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4methoxyphenyl)-1-{3-(morpholin-4-yl)propyl]benzimidazole 486418-08-0P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4methoxyphenyl)-1-[3-(4-phenylpiperazin-1-yl)propyl]benzimidazole 486418-12-6P, 1-[3-(N, N-Diethylamino)propyl]-6-[[5-(methoxycarbonyl)pentyl)oxy)-2-(4-methoxyphenyl)benzimidazole 486418-14-8P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4methoxyphenyl)-1-[3-(4-(pyrid-2-yl)piperazin-1-yl]propyl]benzimidazole 486418-16-0P, 6-[[5-[Methoxycarbonyl]pentyl]oxy]-2-[4methoxyphenyl)-1-[3-{4-(pyrimid-2-yl)piperazin-1-yl]propyl]benzimidazole 486418-18-2P, 1-[3-[4-(2-Hydroxyethyl)piperazin-1-yl]propyl]-6-[[5-(methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)benzimidazole 486418-20-6P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4methoxyphenyl)-1-[3-[N-methyl-N-(methylcarbonyl)amino]propyl]benzimidazole 486418-22-8P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4methoxyphenyl)-1-[3-[N-methyl-N-(trifluoromethylcarbonyl)amino]propyl]benz imidazole 486418-26-2P, 6-[{5-(Methoxycarbonyl)pentyl]oxy}-2-(4methoxyphenyl)-1-[3-[N-methyl-N-[(2-methylthioethyl)carbonyl]amino]propyl] benzimidazole 486418-28-4P, 6-[[5-(Methoxycarbonyl)pentyl)oxy]-2-(4-methoxyphenyl)-1-{3-{N-methyl-N-{trimethylmethylcarbonyl}amino}propyl]b enzimidazole 486418-30-8P, 6-{(5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-(3-[N-methyl-N-(fur-2-ylcarbonyl)amino]propyl]benzimid azole 486418-31-9P, 6-[[5-[Methoxycarbonyl]pentyl]oxy]-2-(4methoxyphenyl)-1-[3-{N-methyl-N-(methoxymethylcarbonyl)amino]propyl]benzim idazole 486418-56-8P, 1-[(N, N-Dimethylamino)carbonylmethyl]-5-[[5-(methoxycarbonyl)pentyl]oxy]-2-phenylbenzimidazole 486418-58-0P, 1-[(N, N-Dimethylamino)carbonylmethyl]-6-[(5-(methoxycarbonyl)pentyl)oxy]-2-phenylbenzimidazole 486418-76-2P. 1-[(N, N-Diethylamino)carbonylmethyl]-5-[[5-(methoxycarbonyl)pentyl]oxy]-2phenylbenzimidazole 486418-78-4P, 1-[(N, N-Diethylamino)carbonylmethyl]-6-[[5-(methoxycarbonyl)pentyl)oxy]-2phenylbenzimidazole 486419-06-1P, 5-[(5-Carboxypentyl)oxy]-1-[[(N, N-dimethylamino) carbonyl]methyl]-2-phenylbenzimidazole 486419-08-3P, 6-[(5-Carboxypentyl)oxy}-1-[[(N, Ndimethylamino)carbonyl]methyl]-2-phenylbenzimidazole 486419-12-9P, 5-[(5-Carboxypentyl)oxy]-1-[[(N,N-diethylamino)carbonyl]methyl]-2-phenylbenzimidazole 486419-37-8P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-{3-(pyrrolidin-1yl)propyl]benzimidazole 486419-39-0P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-(piperidin-1yl)propyl]benzimidazole 486419-41-4P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-1-[3-[N, N-bis(2-methoxyethyl)amino]propyl]-2-(4-methoxyphenyl)benzimidazole 486419-43-6P,

L4 ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 486418-14-8 CAPLUS

CN Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-[4-(2-pyridinyl)-1-piperazinyl]propyl]-lH-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 486418-16-0 CAPLUS
CN Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-[4-(2-pyrimidinyl)-1-piperazinyl)propyl]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA

RN 486418-18-2 CAPLUS
CN Hexanoic acid, 6-[{1-[3-[4-(2-hydroxyethyl)-1-piperazinyl]propyl]-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX

ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN 6-((5-(Methoxycarbonyl)pentyl)oxy}-2-(4-methoxyphenyl)-1-(3-(4methylpiperazin-1-yl)propyl]benzimidazole 486419-45-8P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-((3-(imidazol-1-yl)propyl]amino]propyl]benzimidazole 486419-47-0P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-[4-(fur-2ylcarbonyl)piperazin-1-yl]propyl]benzimidazole 486419-49-2P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-[(2hydroxyethyl)amino]propyl]benzimidazole 486419-51-6P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-(imidazol-1yl)propyl]benzimidazole 486419-53-8P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-[N-methyl-N-(ethylcarbonyl)amino)propyl]benzimidazole 486419-55-0P, 6-([5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-(N-methyl-N-(dimethylmethylcarbonyl)amino)propyl)benzimidazole 486419-57-2P, 6-[[5-(Methoxycarbonyl)pentyl]oxy]-2-(4-methoxyphenyl)-1-[3-{N-methyl-N-(pyrid-3-ylcarbonyl)amino)propyl)benzimidazole RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (microglia activation inhibitor; prepn. of (alkyl)(aryl)benzimidazoles as microglia activation inhibitors for treatment of inflammation,

RN 486418-06-8 CAPLUS
CN Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-(4-morpholinyl)propyl]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

allergy, infection, autoimmune disease, and stroke)

RN 486418-08-0 CAPLUS
CN Hexanoic acid, 6-[(2-(4-methoxyphenyl)-1-[3-(4-phenyl-1-piperazinyl)propyl]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 486418-12-6 CAPLUS
CN Hexanoic acid, 6-{[1-[3-(diethylamino)propyl)-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 486418-20-6 CAPLUS
CN Hexanoic acid, 6-[[1-[3-(acetylmethylamino)propyl]-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 486418-22-8 CAPLUS
CN Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-[methyl(trifluoroacetyl)amino]
propyl]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 486418-26-2 CAPLUS
CN Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-[methyl[3-(methylthio)-1-oxopropyl]amino]propyl]-1H-benzimidazol-6-yl]oxy)-, methyl ester (9CI) (CA INDEX NAME)

RN 486418-28-4 CAPLUS
CN Hexanoic acid, 6-{[1-[3-[(2,2-dimethyl-1-oxopropyl)methylamino]propyl]-2(4-methoxyphenyl)-1H-benzimidazol-6-yl}oxy]-, methyl ester (9CI) (CA
INDEX NAME)

RN 486418-30-8 CAPLUS
CN Hexanoic acid, 6-[{1-(3-[(2-furanylcarbonyl)methylamino]propyl]-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 486418-31-9 CAPLUS
CN Hexanoic acid, 6-[[1-[3-{(methoxyacetyl)methylamino}propyl]-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl}oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 486418-56-8 CAPLUS
CN Hexanoic acid, 6-([1-[2-(dimethylamino)-2-oxoethyl]-2-phenyl-1H-benzimidazol-5-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 486418-58-0 CAPLUS
CN Hexanoic acid, 6-[{1-[2-(dimethylamino)-2-oxoethyl]-2-phenyl-1Hbenzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 486419-12-9 CAPLUS
CN Hexanoic acid, 6-[[1-(2-(diethylamino)-2-oxoethyl]-2-phenyl-1H-benzimidazol-5-yl]oxy]- (9CI) (CA INDEX NAME)

RN 486419-37-8 CAPLUS
CN Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-(1-pyrrolidinyl)propyl]-1H-benzimidazol-6-yl)oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 486419-39-0 CAPLUS
CN Hexanoic acid, 6-[(2-(4-methoxyphenyl)+1-[3-(1-piperidinyl)propyl]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 486419-41-4 CAPLUS
CN Hexanoic acid, 6-[[1-[3-[bis(2-methoxyethyl)amino]propyl]-2-[4-methoxyphenyl]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Page 46

L4 ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 486418-76-2 CAPLUS
CN Hexanoic acid, 6-[[1-[2-(diethylamino)-2-oxoethyl]-2-phenyl-lH-benzimidazol-5-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 486418-78-4 CAPLUS
CN Hexanoic acid, 6-{{1-{2-(diethylamino)-2-oxoethyl}+2-phenyl-1H-benzimidazol-6-yl]oxy}-, methyl ester (9CI) (CA INDEX NAME)

RN 486419-06-1 CAPLUS
CN Hexanoic acid, 6-[(1-[2-(dimethylamino)-2-oxoethyl)-2-phenyl-1H-benzimidazol-5-yl]oxy]- (9CI) (CA INDEX NAME)

RN 486419-08-3 CAPLUS
CN Hexanoic acid, 6-[(1-[2-(dimethylamino)-2-oxoethyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]- (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 486419-43-6 CAPLUS

CN Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-(4-methyl-1-piperazinyl)propyl]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 486419-45-8 CAPLUS
CN Hexanoic acid, 6-{[1-{3-[{3-(1H-imidazol-1-yl)propyl)amino]propyl}-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

AN 486419-47-0 CAPLUS

NAME:

486419-47-0 CAPLUS

Hexanoic acid, 6-[[1-[3-[4-(2-furanylcarbonyl)-1-piperazinyl]propyl]-2-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 486419-49-2 CAPLUS
CN Hexanoic acid, 6-[(1-[3-[(2-hydroxyethyl)amino]propyl]-2-(4-methoxyphenyl)1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

486419-51-6 CAPLUS RN Hexanoic acid, 6-[(1-[3-(1H-imidazol-1-yl)propyl]-2-(4-methoxyphenyl)-1H-CN benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Hexanoic acid, 6-[[2-(4-methoxyphenyl)-1-[3-[methyl(1oxopropyl)amino]propyl]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

486419-55-0 CAPLUS Hexanoic acid, 6-{{2-(4-methoxyphenyl)-1-[3-[methyl(2-methyl-1oxopropyl)amino|propyl}-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI)

486419-57-2 CAPLUS

Hexanoic acid, 6-{[2-(4-methoxyphenyl)-1-[3-[methyl(3pyridinylcarbonyl)amino)propyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 36 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 03 Jan 2003

2003:5494 CAPLUS ACCESSION NUMBER: 138:55965 DOCUMENT NUMBER:

TITLE:

Synthesis of diacylbenzimidazole derivatives as modulators of IgE

INVENTOR (S): Sircar, Jagadish C.; Richards, Mark L.; Campbell,

Michael G.; Major, Michael W.

PATENT ASSIGNEE (S): SOURCE:

U.S. Pat. Appl. Publ., 128 pp., Cont.-in-part of U.S. Ser. No. 422,397.

CODEN: USXXCO

DOCUMENT TYPE: Patent Language : English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. 20011016 A1 20030102 US 2001-983054 US 2003004203 US 1999-316870 19990521 US 6271390 Bl 20010807 US 6303645 19991021 20011016 US 1999-422397 US 2005075343 20050407 US 2004-951515 20040928 A1 US 1998-86494P 19980522 PRIORITY APPLN. INFO.: US 1999-316870 A2 19990521 US 1999-422397 A2 19991021 US 2001-983054 A1 20011016 OTHER SOURCE(S): MARPAT 138:55965

L4 ANSWER 35 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 36 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

any diseases where IgE is pathogenic. 479074-59-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(synthesis of diacylbenzimidazole derivs. as modulators of IgE) 479074-59-4 CAPLUS

Benzamide, N-[2-[4-(acetylamino)phenyl]-1-[2-(dimethylamino)ethyl]-1Hbenzimidazol-5-yl)- (9CI) (CA INDEX NAME)

Title compds. I [X, Y = H, alkyl, alkoxy, aryl, aryl, hydroxy, halogen, amino, alkylamino, nitro, cyano, CF3, OCF3, CONH2, CONHR, NHCOR1; R = H, CH3, C2H5, C3H7, C4H9, CH2Ph, 4-F-C6H4-CH2; R1, R2 = H, aryl, aryl, cycloaryl, multi-ring cycloaryl, benzyl, alkyl, cycloalkyl, multi-ring cycloalkyl, fused-ring aliphatic, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, bicycloheptyl, bicyclooctyl, bicyclononyl, etc. and at least one of R1 and R2 are aromatic groups] are prepared Over 20 examples are claimed, e.g., II. I are able to suppress IgE with IC50 in the range of 1 pM and are useful in the treatment of allergy, asthma or

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ANSWER 37 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
   Entered STN: 26 Dec 2002
ACCESSION NUMBER:
                        2002:973360 CAPLUS
                        138:232052
DOCUMENT NUMBER:
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Effects of the dopamine antagonist PD 152255 on TITLE: juvenile rats' responses to dorsal stimulation, the

transport response, and related behaviors Wilson, Christopher; Pulido, Marisa AUTHOR (S):

Sam Houston State University, USA CORPORATE SOURCE: Behavioral Neuroscience (2002), 116(6), 1098-1102 SOURCE: CODEN: BENEDJ; ISSN: 0735-7044

PUBLISHER: American Psychological Association

DOCUMENT TYPE: Journal LANGUAGE: English

The authors gave 23- and 40-day-old rats doses of the dopamine D3 antagonist PD 152255 and tested them on transport response intensity, vertical cling catalepsy duration, and dorsal immobility duration. Administration of PD 152255 resulted in dose-dependent increases in transport response intensity in 40-day-old rats but was without effect in 23-day-old rats. Administration of PD 152255 caused increases in dorsal immobility durations in both 23- and 40-day-old subjects. The drug was without effect on vertical cling catalepsy. Results are discussed with respect to the role of D3 receptors in the transport response and the nature of D2-D3 receptor interactions.

164917-23-1, PD 152255 ΙT RL: BSU (Biological study, unclassified): BIOL (Biological study) (dopamine antagonist PD 152255 effect on juvenile rat responses to dorsal stimulation and transport response and related behaviors)

164917-23-1 CAPLUS 1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis[2-[4-[3-(1piperidinyl)propoxy[phenyl] - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

INVENTOR(S):

GI

THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 38 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 24 Oct 2002 2002:808818 CAPLUS ACCESSION NUMBER:

138:378538 DOCUMENT NUMBER: Capped dipeptide a-ketoacid inhibitors of the TITLE:

**HCV NS3 protease** Nizi, Emanuela: Koch, Uwe: Ponzi, Simona: Matassa, AUTHOR (S): Victor G.; Gardelli, Cristina

Dept. of Chemistry, IRBM, Rome, 00040, Italy CORPORATE SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), SOURCE:

12(22), 3325-3328

CODEN: BMCLE8; ISSN: 0960-894X

Elsevier Science Ltd. PUBLISHER:

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(5): CASREACT 138:378538

The N-terminal amino acid of  $\alpha$ -ketotripeptide inhibitors of the hepatitis C virus NS3 protease can be replaced with an a-hydroxy acid, leading to capped dipeptide inhibitors such as 20 with an IC50 value of 3.0 µM. The importance of the lipophilic side chain interactions at S3 of the protease and the requirement of the capping residue with R configuration have been explained by mol. modeling studies.

525605-52-1 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(capped dipeptide a-ketoacid inhibitors of the HCV NS3 protease) 525605-52-1 CAPLUS

Pentanoic acid, 5,5-difluoro-3-([(2S)-4-methyl-1-oxo-2-[[(2-phenyl-1Hbenzimidazol-1-yl)acetyl}amino]pentyl]amino]-2-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS 11 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 18 Sep 2002

ACCESSION NUMBER: 2002:708801 CAPLUS

137:232652 DOCUMENT NUMBER:

Preparation of benzimidazole derivatives as osteoclast TITLE: differentiation induction inhibitors

Horiuchi, Yoshihiro; Nakahira, Hiroyuki

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 21 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE APPLICATION NO. PATENT NO. KIND DATE ----------------------JP 2001-64854 20020918 20010308 JP 2002265455 PRIORITY APPLN. INFO .: 20010308 JP 2001-64854 MARPAT 137:232652 OTHER SOURCE(S):

The title compds. I  $\{A = \{un\} \text{ substituted aromatic ring: ring } B = 1\}$ (un)substituted benzene ring; D = (un)substituted alkylene; E = single bond, (un) substituted alkylene; X = 0, etc.; m = 0 or 1: R1, R2 = H, alkyl, etc.; R3 = H, alkyl, nitro, etc.] are prepared The osteoclast differentiation induction inhibiting activity of 5 compds. of this invention was demonstrated. Formulations are given.

459428-42-3P 459428-43-4P 459428-44-5P 459428-45-6P 459428-46-7P 459428-47-8P 459428-48-9P 459428-49-0P 459428-50-3P 459428-51-4P 459428-52-5P 459428-53-6P 459428-54-7P 459428-55-8P 459428-56-9P

459428-57-0P 459428-58-1P 459428-59-2P 459428-60-5P 459428-61-6P 459428-62-7P 459428-63-8P 459428-64-9P 459428-65-0P 459428-66-1P 459428-67-2P 459428-68-3P 459428-69-4P 459428-70-7P 459428-71-8P

459428-72-9P 459428-73-0P 459428-76-3P 459428-77-4P 459428-78-5P 459428-79-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of benzimidazole derivs. as osteoclast differentiation induction inhibitors) 459428-42-3 CAPLUS

1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-

ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) ethoxyphenyl}-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 459428-43-4 CAPLUS

1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(2-ethoxy [(methylamino)sulfonyl]phenyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

459428-44-5- CAPLUS 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-6-chloro-2-(5-chloro-2ethoxyphenyl) - (9CI) (CA INDEX NAME)

459428-45-6 CAPLUS

1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2ethoxyphenyl) - (9CI) (CA INDEX NAME)

RN 459428-46-7 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-ethoxyphenyl)-5-fluoro- (9CI) (CA INDEX NAME)

RN 459428-47-8 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-ethoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 459428-48-9 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-ethoxyphenyl)-6-fluoro-(9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459428-52-5 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethy1)-2-(3-chloropheny1)-5(trifluoromethy1)- (9CI) (CA INDEX NAME)

RN 459428-53-6 CAPLUS CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-6-chloro-2-(5-chloro-2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 459428-54-7 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-5-chloro-2-(2-ethoxy-5-methylphenyl)- (9CI) (CA INDEX NAME)

RN 459428-55-8 CAPLUS

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459428-49-0 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-[5[(dimethylamino)sulfonyl]-2-ethoxyphenyl]-5-(trifluoromethyl)- (9CI) (CA

RN 459428-50-3 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-5-chloro-2-(5-chloro-2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 459428-51-4 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-5-chloro-2-(5-(dimethylamino)sulfonyl)-2-ethoxyphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-1-propanamide, N-(aminoiminomethyl)-5-chloro-2-(5-chloro-2-ethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 459428-56-9 CAPLUS
CN 1H-Benzimidazole-1-propanamide, N-(aminoiminomethyl)+6-chloro-2-(5-chloro-2-ethoxyphenyl)- (9CI) (CA INDEX NAME)

N 459428-57-0 CAPLUS
N 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-6-chloro-2-(2-ethoxy-5-methylphenyl)- (9CI) (CA INDEX NAME)

RN 459428-58-1 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-6-chloro-2-[5[(dimethylamino)sulfonyl)-2-ethoxyphenyl]- (9CI) (CA INDEX NAME)

RN 459428+59-2 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 459428-60-5 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(2-ethoxy-5-methylphenyl)-5-methyl~ (9CI) (CA INDEX NAME)

RN 459428-61-6 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-[5[(dimethylamino)sulfonyl)-2-ethoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459428-65-0 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(1,3-benzodioxol-5-yl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 459428-66-1 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(3-chloro-4-methoxyphenyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

F3C OME

(CH2) 3 - C - NH - C - NH2

RN 459428-67-2 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-methoxyphenyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 459428-68-3 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethy1)-2-(4-chloropheny1)-5(trifluoromethy1)- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459428-62-7 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(2+ethoxy-5methylphenyl)-5-fluoro- (9CI) (CA INDEX NAME)

RN 459428-63-8 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-methoxyphenyl)-5-fluoro- (9CI) (CA INDEX NAME)

RN 459428-64-9 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(5-chloro-2-methoxyphenyl)-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459428-69-4 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(3,4-dimethoxyphenyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 459428-70-7 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-5-(methylsulfonyl)-2[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 459428-71-8 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethy1)-2-(3-chloro-4,5-dimethoxypheny1)-5-(trifluoromethy1)- (9CI) (CA INDEX NAME)

RN 459428-72-9 CAPLUS
CN 1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-[3-chloro-5-methoxy-4-(1-methylethoxy)phenyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

459428-73-0 CAPLUS RN CN

1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-(2,5dimethoxyphenyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

459428-76-3 CAPLUS

1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-[4-methoxy-3-(1methylethoxy)phenyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

459428-77-4 CAPLUS

1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-2-[3-(cyclopentyloxy)-4-methoxyphenyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

459428-78-5 CAPLUS

1H-Benzimidazole-1-pentanamide, N-(aminoiminomethyl)-2-(5-chloro-2methoxyphenyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

459428-79-6 CAPLUS

1H-Benzimidazole-1-butanamide, N-(aminoiminomethyl)-5-chloro-2-(5-chloro-2ethoxyphenyl) - (9CI) (CA INDEX NAME)

ANSWER 40 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 05 Sep 2002

2002:668620 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 138:314313

Reevaluation of PNU-99194A discriminative stimulus TITLE:

effects. Potentiation by both a D2 antagonist and a D3/D2 agonist

AUTHOR (S): Baker, Lisa E.; Prus, Adam J. CORPORATE SOURCE:

Department of Psychology, Western Michigan University, Kalamazoo, MI, 49008, USA SOURCE:

Pharmacology, Biochemistry and Behavior (2002), 73(4),

CODEN: PBBHAU; ISSN: 0091-3057 Elsevier Science Inc.

PUBLISHER: Journal

LANGUAGE:

DOCUMENT TYPE: English the discriminative stimulus effects of the putative D3 receptor antagonist PNU-99194A. Eight male Sprague-Dawley rats were trained to discriminate PNU-99194A (10 mg/kg s.c.) from vehicle in a two-choice drug discrimination procedure under a FR 20 schedule of food reinforcement. The selective D3 antagonists PD 152255 and S14297 were examined for stimulus generalization. The D2 antagonist haloperidol and the D2/D3 receptor agonist (+)-7-OH-DPAT were also assessed for antagonism of PNU-99194A discrimination. PD 152255 (1.0-3.0 mg/kg) engendered no generalization to PNU-99194A. Due to its markedly rate-suppressive effects, PD 152255 could not be tested at higher doses. S-14297 produced partial substitution (66%) for PNU-99194A at both 3.0 and 8.0 mg/kg. Neither haloperidol nor (+)-7-OH-DPAT blocked the discrimination of PNU-99194A and, surprisingly, actually appeared to potentiate its effects. These data, along with other recent findings, suggest that the discriminative stimulus effects of PNU-99194A appear to involve complex pharmacol, actions and are not solely mediated by D3 receptor antagonism.

_164917=23=1,_PD_152255_ RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)

(reevaluation of D3 receptor antagonist PNU-99194A discriminative stimulus effects and potentiation by both a D2 antagonist and a  ${\rm D3/D2}$ agonist)

164917-23-1 CAPLUS

1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis[2-[4-[3-(1piperidinyl)propoxy]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 40 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Page 51

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 19 Jul 2002

ACCESSION NUMBER:

2002:536587 CAPLUS

DOCUMENT NUMBER:

137:232595 New Efficient Route for Solid-Phase Synthesis of

TITLE:

Benzimidazole Derivatives Akamatsu, Hisashi; Fukase, Koichi; Kusumoto, Shoichi AUTHOR (S):

CORPORATE SOURCE: Department of Chemistry Graduate School of Science, Osaka University, Osaka, 560-0043, Japan Journal of Combinatorial Chemistry (2002), 4(5),

475-483

CODEN: JCCHFF; ISSN: 1520-4766 American Chemical Society

PUBLISHER: DOCUMENT TYPE:

Journal

LANGUAGE: English CASREACT 137:232595 OTHER SOURCE(S):

SOURCE:

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Benzimidazoleacetamides such as I [R = H, Me, Cl, O2N, CO2H; Rl = H, Me, C1; R2 = H, Me; R3 = EtCH2, Me2CH, PhCH2; R3 = 2-MeC6H4, 3-MeC6H4, 4-MeC6H4, 2,4-Me2C6H3, 4-Me2CHCH2C6H4, 4-Me3CC6H4, 3-F3CC6H4, 4-PhC6H4, 4-(2-pyridyl)C6H4, 3-C1C6H4, 2-C1-6-FC6H3, 2-O2N-5-C1C6H3, 4-(ACNH)C6H4, 4-Me2NC6H4, 4-FC6H4, 3-O2NC6H4, 4-F3CC6H4, 2-C1C6H4, 2,3-C12C6H3, Ph. 4-MeOC6H4, 2,3-(MeO)2C6H3, 2-pyridyl, 3-pyridyl, 2-furyl, 2-pyrrolyl, 2-thienyl, 3-thienyl), imidazopyridineacetamides such as II and III (R4 = 3-02NC6H4, Ph, 4-MeOC6H4) (4-aza and 5-azabenzimidazoles), and purineacetamides IV (R5 = 3-02NC6H4, Ph, 4-MeOC6H4) containing peptoid linkers were prepared by a solid-phase synthesis from bromoacetic acid, primary amines, 1,2-benzenediamines, and aryl aldehydes. Deprotection of an Fmoc-amino resin with piperidine followed by acylation with bromoacetic acid and disopropyl carbodiimide, nucleophilic substitution of the bromine with propylamine, isopropylamine, and benzylamine, and acylation of the secondary amine with bromoacetic acid and disopropyl carbodiimide gives a resin-bound  $\alpha$ -bromoamide PNHCOCH2NRCOCH2Br (P = polymer support; R = EtCH2, Me2CH, PhCH2). Addition of 1,2-benzenediamines to the resin-bound  $\alpha$ -bromoamide followed by addition of aryl aldehydes and heating in toluene at 50° and cleavage from the resin with trifluoroacetic acid give I. If 2,3-pyridinediamine, 3,4-pyridinediamine, or 4,5-pyrimidinediamine are used instead of 1,2-benzenediamines, fused azabenzimidazoles II and III, and purineacetamides IV are obtained. 4-Nitro-1,2-benzenediamine and 3,4-diaminobenzoic acid undergo regioselective cyclocondensations on solid-phase to give 6-substituted benzimidazoleacetamides while 4-chloro-1, 2-benzenediamine and 4-methyl-1,2-benzenediamines both give mixts. of regioisomers. 459437-58-2P 459437-62-8P 459437-68-4P

459437-72-0P 459437-77-5P 459437-82-2P 459437-87-7P 459437-93-5P 459437-97-9P 459438-01-8P 459438-05-2P 459438-09-6P 459438-12-1P 459438-16-5P 459438-19-8P 459438-23-4P 459438-27-8P 459438-31-4P 459438-35-8P 459438-38-1P 459438-42-7P 459438-45-0P 459438-48-3P 459438-51-8P 459438-54-1P 459438-56-3P 459438-58-5P 459438-61-0P 459438-64-3P 459438-67-6P

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

459437-72-0 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3-methylphenyl)-Npropyl~ (9CI) (CA INDEX NAME)

459437-77-5 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2-(3-methylphenyl) - (9CI) (CA INDEX NAME)

459437-82-2 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3-methylphenyl)-N-(phenylmethyl) - (9CI) (CA INDEX NAME)

459437-87-7 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methylphenyl)-N-

(Continued) ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN 459438-70-1P 459438-73-4P 459438-76-7P 459438-78-9P 459438-81-4P 459438-84-7P 459438-86-9P 459438-88-1P 459438-90-5P 459438-92-7P 459438-94-9P 459438-96-1P 459438-98-3P 459439-00-0P 459439-02-2P 459439-04-4P 459439-06-6P 459439-08-8P 459439-10-2P 459439-12-4P 459439-14-6P 459439-16-8P 459439-18-0P 459439-20-4P 459439-22-6P 459439-24-8P 459439-26-0P 459439-28-2P 459439-70-4P 459439-72-6P 459439-74-8P 459439-76-0P 459440-12-1P 459440-14-3P 459440-16-5P 459440-18-7P 459440-20-1P 459440-22-3P 459440-24-5P 459440-26-7P 459440-28-9P 459440-30-3P 459440-32-5P 459440-35-8P 459440-37-0P 459440-39-2P 459440-41-6P 459440-43-8P 459440-45-0P 459440-47-2P 459440-49-4P 459440-51-8P 459440-53-0P 459440-55-2P 459440-57-4P 459440-60-9P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of substituted benzimidazoles on solid phase by the

condensation of aryl diamines with resin-bound a-bromoamides followed by cyclocondensation with aryl aldehydes and resin cleavage) 459437-58-2 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethy1)-2-(2-methylpheny1)-Npropyl- (9CI) (CA INDEX NAME)

459437-62-B CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2-(2-methylphenyl) - (9CI) (CA INDEX NAME)

459437-68-4 CAPLUS 1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-{2-methylphenyl}-N-(phenylmethyl) - (9CI) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) propyl- (9CI) (CA INDEX NAME)

459437-93-5 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2-(4-methylphenyl) - (9CI) (CA INDEX NAME)

459437-97-9 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methylphenyl)-N-(phenylmethyl) - (9CI) (CA INDEX NAME)

459438-01-8 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,4dimethylphenyl)-N-propyl- (9CI) (CA INDEX NAME)

459438-05-2 CAPLUS 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,4dimethylphenyl) -N-(1-methylethyl) - (9CI) (CA INDEX NAME)

RN 459438-09-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,4-dimethylphenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 459438-12-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-{3,4-dimethylphenyl}-N-propyl- (9CI) (CA INDEX NAME)

RN 459438-16-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3,4-dimethylphenyl)-N-(1-methylethyl)+ (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[4-(2-methylpropyl)phenyl}-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 459438-35-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-(1,1-dimethylethyl)phenyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459438-38-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-(1,1-dimethylethyl)phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 459438-42-7 CAPLUS
CN lH-Benzimidazole-l-acetamide, N-(2-amino-2-oxoethyl)-N-propyl-2-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459438-19-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3,4-dimethyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 459438-23-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[4-(2-methylpropyl)phenyl]-N-propyl- (9CI) (CA INDEX NAME)

RN 459438-27-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2[4-(2-methylpropyl)phenyl)- (9CI) (CA INDEX NAME)

RN 459438-31-4 CAPLUS

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459438-45-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 459438-48-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[1,1°-biphenyl]-4yl-N-propyl- (9CI) (CA INDEX NAME)

RN 459438-51-8 CAPLUS
CN lH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[1,1'-biphenyl]-4yl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459438-54-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[1,1*-biphenyl]-4yl-N-(phenylmethyl)- (9Cl) (CA INDEX NAME)

RN 459438-56-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-propyl-2-[4-(2-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

RN 459438-58-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2[4-(2-pyridinyl)phenyl}- (9CI) (CA INDEX NAME)

RN 459438-61-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(phenylmethyl)-2-(4-(2-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

RN 459438-64-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3-chlorophenyl)-N-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459438-76-7 CAPLUS

CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(5-chloro-2-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459438-78-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(5-chloro-2-nitrophenyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459438-81-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-{4-(acetylamino)phenyl}-N-(2-amino-2-oxoethyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459438-84-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-[4-(acetylamino)phenyl]-N-(2-amino-2-oxoethyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459438-86-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-[4-(acetylamino)phenyl]-N-(2-amino-2-

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459438-67-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3-chlorophenyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459438-70-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-(2-chloro-6-fluorophenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459438-73-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2-chloro-6-fluorophenyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) oxoethyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 459438-88-1 CAPLUS
CN lH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-(dimethylamino)phenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459438-90-5 CAPLUS
CN lH-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-{4(dimethylamino)phenyl}-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459438-92-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[4(dimethylamino)phenyl}-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 459438-94-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-2-(4-fluorophenyl}-N-propyl- (9CI) (CA INDEX NAME)

O CH2-C-NH2
CH2-C-N-Pr-n

RN 459438-96-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-fluorophenyl)-N(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459438-98-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-fluorophenyl)-N(phenylmethyl)- (9CI) (CA INDEX NAME)

CH2-C-N-CH2-C-NH2

RN 459439-00-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethyl}-N-{1-methylethyl}-2(3-nitrophenyl)- (9CI) (CA INDEX NAME)

N O NO2

CH2-C-N-CH2-C-NH2

1-Pr O

RN 459439-02-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(3-nitrophenyl)-N(phenylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2-chlorophenyl)-N(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459439-12-4 CAPLUS
CN lH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2-chlorophenyl)-N(phenylmethyl)- (9CI) (CA INDEX NAME)

C1 N O CH2- Ph CH2- C- N- CH2- C- NH2

RN 459439-14-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,3-dichlorophenyl)-N-propyl- (9CI) (CA INDEX NAME)

C1

O CH2-C-NH2

CH2-C-N-Pr-n

RN 459439-16-8 CAPLUS
CN lH-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,3-dichlorophenyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

NO2 0 | CH2-C-N-CH2-C-NH2 | O CH2-Ph

RN 459439-04-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-propyl-2-[4-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

CF3

O CH2-C-NH2

CH2-C-N-Pr-n

RN 459439-06-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2{4-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

RN 459439-08-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(phenylmethyl)-2-[4-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

CF3

O CH2- Ph

CH2- C-N- CH2- C-NH2

RN 459439-10-2 CAPLUS

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

C1

C1

CH2-C-N-CH2-C-NH2

1-Pr

O

RN 459439-18-0 CAPLUS.
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,3-dichlorophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

C1

O CH2-Ph

CH2-C-N-CH2-C-NH2

RN 459439-20-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-N-(1-methylethyl)-2-phenyl- (9CI) (CA INDEX NAME)

Ph
O Pr-i O
CH2-C-N-CH2-C-NH2

RN 459439-22-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-phenyl-N(phenylmethyl)- (9CI) (CA INDEX NAME)

O CH2-Ph

CH2-C-N-CH2-C-NH2

O

RN 459439-24-8 CAPLUS

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-1-acetamide, N-{2-amino-2-oxoethy1}-2-(4-methoxypheny1)-N{1-methylethyl}- (9CI) (CA INDEX NAME)

RN 459439-26-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methoxyphenyl)-N(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 459439-28-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(2,3-dimethoxyphenyl)-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459439-70-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5,6-dichloro-2-[4-(1,1-dimethylethyl)phenyl]-N-propyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1} & \text{Bu-t} \\ & \text{O} & \text{CH}_2\text{-}\text{C-NH}_2 \\ & \text{CH}_2\text{-}\text{C-N-Pr-n} \end{array}$$

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459440-14-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-chloro-2-[4-(1,1-dimethylethyl)phenyl]-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-16-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-chloro-2-(3-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-18-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-chloro-2-(3-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-20-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-chloro-2-phenyl-N-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459439-72-6 CAPLUS

CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5,6-dichloro-2-(3-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459439-74-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5,6-dichloro-2-phenyl-N-propyl-(9CI) (CA INDEX NAME)

RN 459439-76-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5,6-dichloro-2-(4-methoxyphenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-12-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-chloro-2-[4-(1,1-dimethylethyl)phenyl]-N-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459440-22-3 CAPLUS

N 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-chloro-2-phenyl-Npropyl- (9CI) (CA INDEX NAME)

RN 459440-24-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-chloro-2-(4-methoxyphenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-26-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-chloro-2-(4-methoxyphenyl)-N-propyl- (9Cl) (CA INDEX NAME)

RN 459440-28-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-methyl-2-(4-methylphenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-30-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-methyl-2-(4-methylphenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-32-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[4-(1,1-dimethylethyl)phenyl]-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-35-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-(1,1-dimethylethyl)phenyl]-6-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-37-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[1,1'-biphenyl]-4yl-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-39-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-{1,1'-biphenyl}-4yl-6-methyl-N-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459440-49-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-methyl-N-propyl-2[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 459440-51-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-methyl-N-propyl-2[4-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

RN 459440-53-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-methyl-2-phenyl-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-55-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-methyl-2-phenyl-N-propyl- (9C1) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 459440-41-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-[4-(acetylamino)phenyl]-N-(2-amino-2-oxoethyl)-5-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-43-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-[4-(acetylamino)phenyl]-N-(2-amino-2-oxoethyl)-6-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-45-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-5-methyl-2-(3-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-47-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-methyl-2-(3-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459440-57-4 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methoxyphenyl)-5methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-60-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methoxyphenyl)+6-methyl-N-propyl- (9CI) (CA INDEX NAME)

IT 459440-91-6P 459440-93-8P 459440-95-0P 459440-97-2P 459440-99-4P 459441-01-1P 459441-03-3P

459441-03-3P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of substituted benzimidazoles with shortened linkers on solid phase by the condensation of aryl diamines with resin-bound  $\alpha$ -bromoacetamide followed by cyclocondensation with aryl aldehydes and resin cleavage)

RN 459440-91-6 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 4-methyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 459440-93-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 6-methyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

(Continued) ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

459440-95-0 CAPLUS

1H-Benzimidazole-1-acetamide, 5-methyl-2-(4-methylphenyl)- (9CI) (CA CN INDEX NAME)

459440-97-2 CAPLUS

1H-Benzimidazole-6-carboxylic acid, 1-(2-amino-2-oxoethyl)-2-(4methylphenyl) - (9CI) (CA INDEX NAME)

459440-99-4 CAPLUS

1H-Benzimidazole-5-carboxylic acid, 1-(2-amino-2-oxoethyl)-2-(4methylphenyl) - (9CI) (CA INDEX NAME)

459441-01-1 CAPLUS

1H-Benzimidazole-1-acetamide, 6-chloro-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

459439-82-8 CAPLUS

1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[1,1'-biphenyl]-4yl-6-nitro-N-propyl- (9CI) (CA INDEX NAME)

459439-84-0 CAPLUS

1H-Benzimidazole-1-acetamide, 2-[4-(acetylamino)phenyl]-N-(2-amino-2-

oxoethyl)-6-nitro-N-propyl- (9CI) (CA INDEX NAME)

459439-86-2 CAPLUS RN

1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-nitro-2-(3-CN

nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

459439-88-4 CAPLUS

1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-nitro-N-propyl-2-[4-CN (trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

459441-03-3 CAPLUS

1H-Benzimidazole-1-acetamide, 5-chloro-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

459439-78-2P 459439-80-6P 459439-82-8P 459439-84-0P 459439-86-2P 459439-88-4P

459439-90-8P 459439-92-0P 459439-96-4P 459439-98-6P 459440-00-7P 459440-02-9P

459440-04-1P 459440-06-3P 459440-08-5P 459440-69-8P 459440-72-3P 459440-75-6P

459440-78-9P 459440-81-4P 459440-84-7P 459440-87-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(regioselective preparation of substituted benzimidazoles on solid phase by the condensation of 3-Me, 4-nitro and 4-carboxybenzenediamines with resin-bound  $\alpha$ -bromoamides followed by cyclocondensation with aryl

aldehydes and resin cleavage)

459439-78-2 CAPLUS

1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methylphenyl)-6nitro-N-propyl- (9CI) (CA INDEX NAME)

459439-80-6 CAPLUS

1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[4-(1,1dimethylethyl)phenyl)-6-nitro-N-propyl- (9CI) (CA INDEX NAME)

ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

459439-90-8 CAPLUS

1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-6-nitro-2-phenyl-Npropyl- (9CI) (CA INDEX NAME)

459439-92-0 CAPLUS

1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methoxyphenyl)-6-CN nitro-N-propyl- (9CI) (CA INDEX NAME)

459439-96-4 CAPLUS

1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-4-methyl-2-(4methylphenyl)-N-propyl- (9CI) (CA INDEX NAME)

459439-98-6 CAPLUS

1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-{1,1dimethylethyl)phenyl]-4-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-00-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-[1,1'-biphenyl]-4-y1-4-methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-02-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-4-methyl-2-(3-nitrophenyl)-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-04-1 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-4-methyl-N-propyl-2[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 459440-06-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-4-methyl-2-phenyl-N-

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 459440-78-9 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 1-[2-[(2-amino-2-oxoethyl)propylamino]2-oxoethyl)-2-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 459440-81-4 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 1-[2-[(2-amino-2-oxoethyl)propylamino]2-oxoethyl}-2-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

CF3

CH2- C- N- Pr-n

2-oxoethyl]-2-phenyl- (9CI) (CA INDEX NAME)

RN 459440-84-7 CAPLUS CN 1H-Benzimidazole-6-carboxylic acid, 1-[2-[(2-amino-2-oxoethyl)propylamino]-

RN 459440-87-0 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 1-[2-[(2-amino-2-oxoethyl)propylamino]2-oxoethyl]-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) propyl- (9CI) (CA INDEX NAME)

RN 459440-08-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-(2-amino-2-oxoethyl)-2-(4-methoxyphenyl)-4methyl-N-propyl- (9CI) (CA INDEX NAME)

RN 459440-69-8 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 1-[2-{(2-amino-2-oxoethyl)propylamino}-2-oxoethyl)-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 459440-72-3 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 1-[2-((2-amino+2-oxoethyl)propylamino)2-oxoethyl)-2-[4-(1,1-dimethylethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 459440-75-6 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 1-[2-{(2-amino-2-oxoethyl)propylamino}-2-oxoethyl]-2-[1,1'-biphenyl]-4-yl- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

#### Andrew Freistein 10/630896

ANSWER 42 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 05 Jul 2002

ACCESSION NUMBER:

2002:504618 CAPLUS

DOCUMENT NUMBER: 137:63244

Preparation of 5-[4-(2-benzimidazolyl)phenyl]methylene-TITLE: 2,4-dioxothiazolidines as telomerase inhibitors

Akama, Tsutomu; Holcomb, Ryan; Tolman, Richard L. INVENTOR (S): Geron Corporation, USA; Kyowa Hakko Kogyo Co., Ltd. PATENT ASSIGNEE(S):

PCT Int. Appl., 62 pp. SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT		NO.			KIN	D	DATE			APPL:	CAT	ION	NO.			ATE	
	WO	2002	20514	09		Al		2002	0704	,	WO 2	001-	US48	779		2	0011	217
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	ΚĢ,	KP,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	ΤŽ,	UΑ,	ŲG,	US,
			UZ,	VN,	YU,	ZA,	ZW,	AM,	A2,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM		
		RW	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
			BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩,	ML,	MR,	NE,	SN,	TD,	TG
	U\$	2002	21201	44		Al		2002	0829		US 2	000-	7486	22		2	0001	222
	US	645	2014			Bl		2002	0917									
IOR	ITY	API	PLN.	INFO	.:						US 2	-000	7486	22	1	2	0001	222
HER	SC	URC	E(S):			MAR	PAT	137:	6324	1								

GI

Title compds. were prepared Thus, 4-((MeO)2HC]C6H4CHO was condensed with 2,4-thiazolidinedione and the deprotected product cyclocondensed with 2-(H2N)C6H4NH2 to give title compound I. Data for biol. activity of title compds. were given.

439814-24-1P 439814-29-6P 439814-30-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of 5-[4-(2-benzimidazolyl)phenyl]methylene-2,4dioxothiazolidines as telomerase inhibitors)

439814-24-1 CAPLUS

2,4-Thiazolidinedione, 5-[(4-[5,6-dichloro-1-[2-(dimethylamino)ethyl]-1H-

benzimidazol-2-yl]phenyl]methylene)- (9CI) (CA INDEX NAME)

ANSWER 43 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 25 Apr 2002 ED

ACCESSION NUMBER: 2002:312035 CAPLUS

136:318381 DOCUMENT NUMBER:

TITLE: Cyclic bis-benzimidazole ligands and metal complexes

INVENTOR (S): Chan, Michael K.; Kwok, Wai H.; Zhang, Huichang; Duan, Maosheng

The Ohio State University, USA PATENT ASSIGNEE (S):

SOURCE: U.S., 33 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 20020423 US 6376664 US 2000-528273 20000317 PRIORITY APPLN. INFO.: US 1999-124906P P 19990317 CASREACT 136:318381; MARPAT 136:318381 OTHER SOURCE(S):

Cyclic bis benzimidazole ligands I are formed by contacting a (2-aminophenyl)benzimidazole-4-carboxaldehyde ethylene acetal or a (2-nitrophenyl)benzimidazole-4-carboxaldehyde with an acid optionally in the presence of a metal or a metal salt, wherein R1 and R2 may be the same or different and are selected from H, an alkyl having 1 to 10 C atoms, a benzyl group, a substituted 2-ethylphenyl group, a carbonyl group, a Ph substituent, a tosyl group, and an alkylsulfonate group: R3 and R4 may be the same or different and are selected from H, Me, and Et; and R5, R6, R7, R8, R9, R10, R11, R12, R13, R14, R15, R16, R17, and R18 may be the same or different and are selected from H, alkyl having 1 to 10 C atoms, fluoride, chloride, bromide, iodide, nitro, amino, a carboxylate, an ester, and a Ph group. For example, [MnLCl]Cl (L = I (R1 = R2 = Me; R3-18 = H)) was prepared from 4-hydroxymethy1-2,1,3-benzothiadiazole and 2-nitrophenyl-1-carboxaldehyde in a multi-step process and its crystal structure and reversible oxidation potential determined The complex exhibits antiferromagnetic coupling and Characterized by ESR and UV spectra. 412008-74-3P 412008-75-4P 412008-76-5P 412008-78-7P 412008-79-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

ANSWER 42 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

439814-29-6 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[5,6-dichloro-1-(2-quinolinylmethyl]-1Hbenzimidazol-2-yl]phenyl]methylene] - (9CI) (CA INDEX NAME)

439814-30-9 CAPLUS 2,4-Thiazolidinedione, 5-([4-[5,6-dichloro-1-(4-thiazolylmethyl)-1Hbenzimidazol-2-yl]phenyl]methylene]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 43 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (prepn. and reactant for prepn. of transition metal diminotetrabenzotetraazacyclohexadecine complexes)

412008-74-3 CAPLUS

1H-Benzimidazole, 4-methyl-1-[[(2S)-1-methyl-2-pyrrolidinyl]methyl]-2-(2·· nitrophenyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

412008-75-4 CAPLUS 1H-Benzimidazole, 4-(dibromomethyl)-1-[{(2S)-1-methyl-2pyrrolidinyl]methyl]-2-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

412008-76-5 CAPLUS 1H-Benzimidazole-4-carboxaldehyde, 1-[[(2S)-1-methyl-2pyrrolidinyl]methyl]-2-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

412008-78-7 CAPLUS 1H-Benzimidazole, 4-(1,3-dioxolan-2-yl)-1-[[(2S)-1-methyl-2pyrrolidinyl]methyl]-2-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

(Reactant or reagent)

L4 ANSWER 43 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Absolute stereochemistry. Rotation (-).

412008-79-8 CAPLUS Benzenamine, 2-[4-(1,3-dioxolan-2-yl)-1-[[(2\$)-1-methyl-2pyrrolidinyl]methyl]-lH-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 44 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) substituted benzimidazoles, effective in the inhibition of human immunodeficiency virus (HIV) RT, are provided. The claimed compds. include I and II [X = H, Me, Et, CN, OMe, NO2, NH2, NHAc, NHMe, NMe2, CHMe2, C(:CH2)Me, Br, Cl; R1 = 2,6-difluorobenzyl, CH2Ph, ethylbenzyl, 2,6-dichlorobenzyl, 2,3,4,5,6-pentafluorobenzyl, pyridylmethyl, SO2Ph, 2,6-difluorobenzoyl, 3,3-dimethylallyl: R2 = Ph, CHO, iso-Pr, H, Me, cyclopropyl, CH2OH, 2,6-difluorobenzyloxymethyl, 2,6-difluorophenyl, 2-fluoro-6-methoxyphenyl, methylphenyl, pyridyl, naphthyl, etc.]. For instance, 2-amino-3-nitrophenol underwent O-methylation (82%), N, N-diacylation with 2,6-difluorobenzoyl chloride (92%), hydrazinolysis of one acyl group (96%), redn. of the nitro group with Fe powder with concomitant cyclization to give a benzimidazole (86%), and N-alkylation with 2,6-difluoro- $\alpha$ -bromotoluene (91%), to give I [X = OMe, Rl = 2,6-difluorobenzyl]. This compd. gave 85% inhibition of RT at 1 µM in vitro; it was also 100-fold more potent than TZB and TIBO and comparable to 8-chloro-TIBO and nevirapine in potency.

199594-77-99, 1-(3-Pyridylmethyl)-2-(2,6-difluorophenyl)-4methylbenzimidazole RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of substituted benzimidazoles as non-nucleoside inhibitors of reverse transcriptase)

199594-77-9 CAPLUS

1H-Benzimidazole, 2-(2,6-difluorophenyl)-4-methyl-1-(3-pyridinylmethyl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Entered STN: 11 Apr 2002 2002:272007 CAPLUS ACCESSION NUMBER: 136:294830 DOCUMENT NUMBER: Substituted benzimidazoles as non-nucleoside TITLE: inhibitors of reverse transcriptase Michejda, Christopher J.; Morningstar, Marshall; Roth, INVENTOR(S): PATENT ASSIGNEE (5): The United States of America as Represented by the Department of Health and Human Services, USA U.S., 60 pp., Cont.-in-part of Appl. No. SOURCE: PCT/US98/03588. CODEN: USXXAM DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE US 6369235 20020409 US 2000-380171 20000201 WO 1998-US3588 WO 9837072 A1 19980827 19980224 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

ANSWER 44 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

WO 1998-US3588 A2 19980224 A1 20000201 US 2000-380171 MARPAT 136:294830 OTHER SOURCE(S): GΙ

20031009 20050517

Al

В2

US 2002-119634

US 1997-38509P

20020409

P 19970225

US 2003191160

US 6894068

PRIORITY APPLN. INFO.:

The invention provides compns. and methods for the treatment of HIV infection. In particular, the invention provides non-nucleoside inhibitors of reverse transcriptase (RT), as well as methods to treat HIV infection using them. In preferred embodiments, a novel class of

ANSWER 45 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 22 Feb 2002

ACCESSION NUMBER: 2002:143285 CAPLUS DOCUMENT NUMBER: 136:200107

Preparation of indoles and azaindoles as tachykinin TITLE:

Dinnell, Kevin; Elliott, Jason Matthew; Hollingworth, INVENTOR (S): Gregory John; Shaw, Duncan Edward

Merck Sharp & Dohme Ltd., UK PATENT ASSIGNEE(S):

U.S. Pat. Appl. Publ., 26 pp. SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 2001-903108 20010711 US 2002022624 20020221 20021105 US 6476045 PRIORITY APPLN. INFO .: GB 2000-17256 A 20000713 CASREACT 136:200107; MARPAT 136:200107 OTHER SOURCE(S):

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. [I; Het = II-VI (wherein the dotted line represents an optional double bond; A completes a fused pyridine ring; and B completes a fused benzene or pyridine ring); X = 0, S, H2, :NH, :N(alkyl); Y = alkylene, alkenylene, alkynylene; Z = CR5R6, NR7; Rla, Rlb = H, alkyl, alkoxy, etc.; R2 = H, alkyl, fluoroalkyl, etc.; R3 = (un) substituted Ph, biphenyl, naphthyl, etc.; R4 = H, alkyl, CO, etc.; R5, R6 = H, halo, alkyl, etc.; R7 = alkyl, cycloalkyl, naphthyl, etc.] which are of particular use in the treatment or prevention of depression, anxiety, pain, inflammation, migraine, emesis or postherpetic neuralgia, were prepared Thus, treating Me 5-chloro-2-(4-chlorophenyl)-1-methyl-1H-pyrrolo(2,3-b)pyridine-3-propanoate (preparation given) with LiOH in MeOH/THF/H2O followed by reaction of the resulting acid with 4-(phenylmethyl)-4-piperidinol in the presence of 1-hydroxybenzotriazole, Et3N and 1-(3-dimethylaminopropyl)-3-ethylcarbodimide. HCl in THF afforded 83% 1-[3-(5-chloro-2-(4-chlorophenyl)-1-methyl-1H-pyrrolo[2,3-b]pyridin-3y1]-1-oxopropyl]-4-(phenylmethyl)-4-piperidinol.

400776-93-42 400776-96-72 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of indoles and azaindoles as tachykinin antagonists) 400776-93-4 CAPLUS

Piperazine, 1-(2-methoxyphenyl)-4-(3-(5-methyl-2-(4-methylphenyl)-1Hbenzimidazol-1-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Page 61

## Andrew Freistein 10/630896

L4 ANSWER 45 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

400776~96-7 CAPLUS Piperazine, 1-(2-methoxyphenyl)-4-[3-[6-methyl-2-(4-methylphenyl)-1Hbenzimidazol-1-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

ANSWER 46 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN antagonists, clozapine and the D3 preferring antagonist PNU-99194A: an anal. of possible mechanisms) 164917-23-1 CAPLUS

1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis[2-[4-[3-(1-

piperidinyl)propoxylphenyl] - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

,Q (CH2)3

REFERENCE COUNT:

THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

05/24/2005

TITLE:

AUTHOR (5):

SOURCE:

ANSWER 46 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 14 Nov 2001

2001:826500 CAPLUS ACCESSION NUMBER: 137:41573 DOCUMENT NUMBER:

Common discriminative stimulus properties in rats of muscarinic antagonists, clozapine and the D3

preferring antagonist PNU-99194A: an analysis of possible mechanisms

Goudie, A. J.; Baker, L. E.; Smith, J. A.; Prus, A. J.; Svensson, K. A.; Cortes-Burgos, L. A.; Wong, E. H.

F.; Haadsma-Svensson, S.

CORPORATE SOURCE: Psychology Department, Liverpool University, Liverpool, UK

Behavioural Pharmacology (2001), 12(5), 303-315 CODEN: BPHAEL: ISSN: 0955-8810

Lippincott Williams & Wilkins PUBLISHER:

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Dopamine D3 receptors have been implicated in the etiol. of schizophrenia and the actions of antipsychotic drugs. The initial studies reported here assessed the involvement of such receptors in the in vivo actions of the atypical antipsychotic clozapine and the putative D3-preferring antagonist PNU-99194A in drug discrimination assays. Rats trained to discriminate clozapine consistently generalized to PNU-99194A in two sep. studies. However, four other putative D3-preferring antagonists (PD 152255, (+)-S14297, nafadotride and (+)-AJ 76) did not induce generalization to clozapine. In rats trained to discriminate PNU-99194A, which has been suggested to induce a stimulus mediated specifically by D3 antagonism, the D3-preferring antagonist (+)-UH 232 and clozapine both induced full generalization. However, the PNU-99194A-trained animals also generalized fully to the muscarinic antagonists scopolamine and trihexyphenidyl. A possible explanation for the sym. generalization observed between clozapine and PNU-99194A is that these drugs have common muscarinic antagonist actions, since muscarinic antagonists have been reported to substitute for clozapine in numerous prior studies. However, in vitro receptor binding studies with M1-M5 receptors indicated that (with the possible exception of the M4 receptor), no muscarinic receptor subtype had high affinity for both clozapine, PNU-99194A and scopolamine. In addition, other binding studies indicated that whereas clozapine and PNU-99194A had high affinity for the D3 receptor, scopolamine did not. It is therefore concluded that: (1) The generalization seen between clozapine, PNU-99194A and muscarinic antagonists may be mediated by common effects 'downstream' from either muscarinic or D3 receptors; (2) D3 antagonism does not play a critical role in the clozapine stimulus (since D3-preferring antagonists did not consistently induce generalization to clozapine); (3) although D3 antagonism plays a role in the PNU-91994A stimulus, since the D3-preferring antagonist (+)-UH 232 induced full generalization, in accord with results from prior studies with other D3-preferring antagonists, the PNU-99194A stimulus also has commonalities with that induced by muscarinic antagonists and clozapine. The in vivo differences observed between PNU-99194A and other D3-preferring antagonists should be borne in mind when this agent is used as a tool to study D3 receptor functioning in vivo. The similarities between the PNU-99194A and clozapine stimuli suggest tentatively that compds. with a profile like PNU-99194A may have antipsychotic actions similar to clozapine. Some preclin. data are suggestive of such effects of PNU-99194A.

ANSWER 47 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 09 Nov 2001

164917-23-1, PD 152255

ACCESSION NUMBER: 2001:816656 CAPLUS

135:357932 DOCUMENT NUMBER:

Preparation of heterocyclic pharmaceutical TITLE: compositions as muscarinic agonists

RL: PAC (Pharmacological activity); BIOL (Biological study)

(common discriminative stimulus properties in rats of muscarinic

Andersson, Carl-magnus A.; Friberg, Bo Lennart M.; INVENTOR (S): Skjaerbaek, Niels; Spalding, Tracy; Uldam, Allan K.

PATENT ASSIGNEE(S): Acadia Pharmaceuticals, Inc., USA

PCT Int. Appl., 84 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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		CU,	CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,
											LC,						
		MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,
		SI,	SΚ,	SL,	TJ,	TM,	TR,	TT,	TZ,	UΑ,	UG,	US,	UZ,	W,	YU,	ZA,	ZW,
		AM,	AZ,	BY,	KG,	KZ,	MD,	Rυ,	TJ,	TM							
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	\$2,	TZ,	ΰG,	ZW,	ΑT,	BE,	CH,	CY,
		ĎĖ,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙŤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
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	1278	_								EP 2	001-	9326	82		2	0010	427
EP	127B																
	R:											LI,	LU,	NL,	SE,	MC,	PT,
		-	-			FI,	RO,	MK,	CY,	AL,	TR						
	2001		_								001-					0010	
JP	2003										001-					0010	
	5219				A						001-				_	0010	
	2900										001-					0010	
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PRIORIT	IORITY APPLN. INFO.:										000-						
										WO 2	001-	US 13	561	1	₩ 2	0010	427

OTHER SOURCE(S):

MARPAT 135:357932

$$z^{1}$$
 $z^{2}$ 
 $w^{1}$ 
 $y^{2}$ 
 $z^{3}$ 
 $y^{3}$ 
 $z^{4}$ 
 $y^{2}$ 
 $y^{3}$ 
 $z^{4}$ 
 $y^{2}$ 
 $z^{4}$ 

Heterocyclic pharmaceutical compns. I {21-24 = N or carbon substituted with H, NH2, OH, halo, alkyl, alkenyl, heteroalkyl, haloalkyl, CN, CF3, etc. and no more than two of Z1-Z4 = N; W1 = O, S, N; W2 and W3 = N or CR6 or CG where R6 = H, alkyl, CHO, cycloalkyl, (un) substituted aryl: Y = O, S, CHOH, NHC(O), C(O)NH, C(O), OC(O), (O)CO, CH=N or absent; p=1-5; Z (un)substituted carbon or absent; n=1-3; R10 = R11 = H, straight/branched (un) substituted alkyl, alkenyl, alkynyl, alkylidene, alkoxy, alkylthio, etc.) or pharmaceutically acceptable salt, ester or prodrug were prepared for treating disease conditions where modification of cholinergic, especially muscarinic M1, M4, or both M1 and M4, receptor activity has a beneficial effect. Thus 35AKU-21 (II) was prepared from 4-butylpiperidine and 1-(3-bromopropyl)-lH-indazole and tested for ocular hypotensive effect in glaucomatous monkeys and had a -29.2% IOP change in 6 h. Data is provided for the screening of test compds. I demonstrating the selective agonist activity using muscarinic receptor subtypes M1, M2, M3, M4 and M5. 372197-15-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclic pharmaceutical compns. with agonist activity at

the M1/M4 muscarinic receptors) 372197-15-4 CAPLUS 1H-Benzimidazole, 1-[3-(4-butyl-1-piperidinyl)propyl]-2-phenyl- (9CI) (CA

REFERENCE COUNT:

INDEX NAME)

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 48 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) cycloalkyl, fused-ring aliph., cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, bicycloheptyl, bicycloctyl, bicyclononyl, etc., and at least one of R1 and R2 are arom. groups] were prepd. Over 300 examples were disclosed. E.g., 4-nitro-1,2-phenylenediamine was reacted with 4-aminobenzoic acid (POCl3, reflux, 18 h) to give 2-(4-aminophenyl)-4-nitrobenzimidazole. This intermediate was N-acylated (pyridine, acyl chloride), reduced (MeOH, H2 - 10% Pd/C) and N-acylated to give II. I were able to suppress IgE with IC50 = 100 pM to 1 nM and are useful in the treatment of allergy, asthma or any diseases where IgE is

pathogenic. 366012-44-4P 366012-45-5P 366012-50-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; synthesis of diacylbenzimidazole derivs. as modulators of IgE) 366012-44-4 CAPLUS

Benzamide, N-[4-[5-(benzoylamino)-1-[2-(dimethylamino)ethyl]-1Hbenzimidazo1-2-yl]phenyl]- (9CI) (CA INDEX NAME)

366012-45-5 CAPLUS

Benzamide, N=[4-[5-(benzoylamino)-1-[3-(dimethylamino)propyl]-1Hbenzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

(CH2) 3-NMe2

RN 366012-50-2 CAPLUS

Benzamide, N-[2-[4-(acetylamino)phenyl]-1-[3-(dimethylamino)propyl]-1H-CN benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

ANSWER 48 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 17 Oct 2001 2001:757818 CAPLUS ACCESSION NUMBER:

135:303891 DOCUMENT NUMBER: Synthesis of diacylbenzimidazole derivatives as TITLE: modulators of IgE

Sircar, Jagadish C.; Richards, Mark L.; Campbell, INVENTOR (S): Michael G.: Major, Michael W.

Avanir Pharmaceuticals, USA PATENT ASSIGNEE(S): U.S., 157 pp., Cont.-in-part of U.S. 6,271,390. SOURCE:

CODEN: USXXAM DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 6303645	Bl	20011016	US 1999-422397	19991021
	US 6271390	B1	20010807	US 1999-316870	19990521
	US 2002010343	Al	20020124	US 2001-882340	20010614
	US 6451829	B2	20020917		
	US 2003004203	A1	20030102	US 2001-983054	20011016
	US 2005075343	Al	20050407	US 2004-951515	20040928
Pi	RIORITY APPLN. INFO.:			US 1998-86494P P	19980522
				US 1999-316870 A	2 19990521
				US 1999-422397 A	2 19991021
				US 2001-983054 A	20011016

MARPAT 135:303891 OTHER SOURCE(S):

Title compds. I [X, Y = H, alkyl, alkoxy, aryl, aryl, hydroxy, halogen, amino, alkylamino, nitro, cyano, CF3, OCF3, CONH2, CONHR, NHCOR1; R = H, CH3, C2H5, C3H7, C4H9, CH2Ph, 4-F-C6H4-CH2: R1, R2 = H, aryl, aryl, cycloaryl, multi-ring cycloaryl, benzyl, alkyl, cycloalkyl, multi-ring

L4 ANSWER 48 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 13 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 49 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 14 Sep 2001

ACCESSION NUMBER: 2001:676266 CAPLUS 135:226997 DOCUMENT NUMBER:

Preparation of benzimidazolyl- or imidazopyridinyl-TITLE: substituted phenyl dimethylpropionates as elastase inhibitors

Statkow, Pierre: Straumann, Danielle: Chatterjee, INVENTOR (S): Shyam: Alvarez-builla, Gomez Julio; Sunkel, Letelier Carlos: Fau, De Casa-juana Munoz Miguel: Minguez, Ortega Jose M.; Paz, Matia Martin M.

PATENT ASSIGNEE (5): Cermol S.A., Switz.

SOURCE: Eur. Pat. Appl., 43 pp.

CODEN: EPXXDW DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S):

PATENT NO. KIND DATE APPLICATION NO. DATE 20010912 EP 2000-104916 20000308 EP 1132381 Αl R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO Al · 20010913 WO 2001-IB327 WO 2001066526 W: AE, AG, AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: EP 2000-104916 MARPAT 135:226997

The title esters [I; X, X1 = H, alkyl, halo, NO2; Y, Y1 = H, alkyl, alkoxy, halo, dialkylamino; z = H, dialkylaminoalkyl, piperidinylalkyl; V, W = CH, (un)substituted N] and their pharmacol. acceptable salts having an inhibitory activity of elastase (biol. data given), were prepared Thus, reacting 2-(4-hydroxyphenyl)benzimidazole with 2,2-dimethylpropionyl chloride in the presence of Et3N in CH2Cl2 afforded 85% I [X, X1, Y, Y1 = H; V, W = CH: Z = H; the ester function is attached to Ph ring at para-position].

359771-98-5P 359771-99-6P 359772-01-3P 359772-02-4P 359772-03-5P 359772-04-6P

ANSWER 49 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2 CRN 144-62-7 CMF C2 H2 O4

HO- C- C- OH

359772-02-4 CAPLUS Propanoic acid, 2, 2-dimethyl-, 4-[1-[2-[bis(1-methylethyl)amino]ethyl]-1H-CN benzimidazol-2-yl]phenyl ester (9CI) (CA INDEX NAME)

CH2-CH2-N(Pr-i)2

359772-03-5 CAPLUS Propanoic acid, 2,2-dimethyl-, 4-[5,6-dichloro-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-2-yl]phenyl ester (9CI) (CA INDEX NAME)

CH2-CH2-NMe2

359772-04-6 CAPLUS CN Propanoic acid, 2,2-dimethyl-, 4-{5,6-dimethyl-1-[2-{1-piperidinyl}ethyl]-1H-benzimidazol-2-yl]phenyl ester (9CI) (CA INDEX NAME)

359772-05-7 CAPLUS Propanoic acid, 2,2-dimethyl-, 4-[5,6-dimethyl-1-[2-(1-piperidinyl)ethyl]- ANSWER 49 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN 359772-05-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of benzimidazolyl- or imidazopyridinyl-substituted Ph dimethylpropionates as elastase inhibitors) 359771-98-5 CAPLUS Propanoic acid, 2,2-dimethyl-, 4-[1-[2-(dimethylamino)ethyl]-lHbenzimidazol-2-yl)phenyl ester (9CI) (CA INDEX NAME)

359771-99-6 CAPLUS Propanoic acid, 2,2-dimethyl-, 2-bromo-4-(1-[2-(dimethylamino)ethyl]-1Hbenzimidazol-2-yl]phenyl ester (9CI) (CA INDEX NAME)

359772-01-3 CAPLUS Propanoic acid, 2,2-dimethyl-, 4-[1-[3-(dimethylamino)propyl]-1Hbenzimidazol-2-yl]phenyl ester, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1 CRN 359772-00-2 CMF C23 H29 N3 O2

ANSWER 49 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1H-benzimidazol-2-yl]-2-fluorophenyl ester (9CI) (CA INDEX NAME)

359772-74-0 359772-75-1 359772-76-2 359772-77-3 359772-78-4 359772-79-5 359772-80-8

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of benzimidazolyl- or imidazopyridinyl-substituted Ph dimethylpropionates as elastase inhibitors)

359772-74-0 CAPLUS Phenol, 4-[1-[2-(dimethylamino)ethyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

359772-75-1 CAPLUS Phenol, 2-bromo-4-{1-[2-(dimethylamino)ethyl]-lH-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

359772-76-2 CAPLUS Phenol, 4-[1-[3-(dimethylamino)propyl)-1H-benzimidazol-2-yl]- (9CI) (CA

ANSWER 49 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

359772-77-3 CAPLUS

Phenol, 4-{1-[2-[bis(1-methylethyl) amino]ethyl]-lH-benzimidazol-2-yl]-(9CI) (CA INDEX NAME)

359772-78-4 CAPLUS

Phenol, 4-[5,6-dichloro-1-[2-(dimethylamino)ethyl]-IH-benzimidazol-2-yl]-CN (9CI) (CA INDEX NAME)

359772-79-5 CAPLUS

Phenol, 4-[5,6-dimethyl-1-[2-(1-piperidinyl)ethyl]-1H-benzimidazol-2-yl]-CN (9CI) (CA INDEX NAME)

359772-80-8 CAPLUS

Phenol, 4-[5,6-dimethyl-1-[2-(1-piperidinyl)ethyl]-1H-benzimidazol-2-yl]-2fluoro- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 49 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Entered STN: 11 Jul 2001

ACCESSION NUMBER:

DOCUMENT NUMBER:

ANSWER 51 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

135:344419

2001:498883 CAPLUS

ANSWER 50 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 11 Jul 2001 ED

ACCESSION NUMBER: 2001:498884 CAPLUS

DOCUMENT NUMBER: 135:331409

TITLE:

MCC/SNAr methodology. Part 1: Novel access to a range of heterocyclic cores AUTHOR (S):

Tempest, P.; Ma, V.; Kelly, M. G.; Jones, W.; Hulme,

CORPORATE SOURCE: Department of Combinatorial Chemistry, AMGEN Inc.,

Thousand Oaks, CA, 91320, USA

SOURCE: Tetrahedron Letters (2001), 42(30), 4963-4968

CODEN: TELEAY; ISSN: 0040-4039 Elsevier Science Ltd. PUBLISHER:

DOCUMENT TYPE: Journal

LANGUAGE: English OTHER SOURCE(S): CASREACT 135:331409

The novel solution-phase syntheses of arrays of biol. relevant indazolinones, benzazepines and benzoxazepines, utilizing multi-component condensation (MCC)/SNAr methodol. is reported. Reaction of com. available 2-fluoro-5-nitrobenzoic acid with an aldehyde, isonitrile and a primary amine tethered to a Boc-protected internal amino or hydroxyl nucleophile, affords the Ugi product in good yield. Subsequent acid treatment followed by proton scavenging using polymer-supported reagents promotes cyclization of internal amino nucleophiles to a variety of ring sizes. Base treatment

alone is sufficient to generate benzoxazepines. Interestingly, this method also introduces a highly efficient two-step route to

benzimidazoles. 370069-27-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(solution-phase preparation of heterocyclic compds. by multi-component condensation using polymer-supported reagents)

370069-27-5 CAPLUS

1H-Benzimidazole-1-acetamide, N-(1,1-dimethylethyl)-2-(2-fluoro-5-

nitrophenyl)-a-(2-methylpropyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Two-step solution-phase synthesis of novel TITLE: benzimidazoles utilizing a UDC (Ugi/de-Boc/cyclize) Tempest, P.; Ma, V.; Thomas, S.; Hua, Z.; Kelly, M. AUTHOR (S): G.; Hulme, C. CORPORATE SOURCE: Department of Combinatorial Chemistry, AMGEN Inc., Thousand Oaks, CA, 91320, USA Tetrahedron Letters (2001), 42(30), 4959-4962 SOURCE: CODEN: TELEAY; ISSN: 0040-4039 PUBLISHER: Elsevier Science Ltd. DOCUMENT TYPE: Journal LANGUAGE: English CASREACT 135:344419 OTHER SOURCE(S): The novel solution-phase synthesis of an array of biol. relevant benzimidazoles in a simple two-step procedure is revealed. Transformations are carried out in excellent yield by condensation of mono-Boc protected ortho-phenylenediamine and supporting Ugi reagents. Subsequent acid treatment and evaporation affords benzimidazoles in good to excellent yield. The described protocol represents a highly attractive solution-phase procedure for the rapid generation of benzimidazole libraries. 370069-27-5P 371158-13-3P 371158-19-9P 371158-27-9P 371158-32-6P 371158-33-7P 371158-35-9P 371158-36-0P 371158-41-7P 371158-43-9P 371158-44-0P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of benzimidazoles by Ugi multi-component condensationcyclization strategy) 370069-27-5 CAPLUS

1H-Benzimidazole-1-acetamide, N-(1,1-dimethylethyl)-2-(2-fluoro-5-

nitrophenyi)-α-(2-methylpropyl)- (9CI) (CA INDEX NAME)

371158-13-3 CAPLUS

1H-Benzimidazole-1-acetamide, N-(1,1-dimethylethyl)-5,6-dimethyl-2-phenylα-propyl- (9CI) (CA INDEX NAME)

RN 371158-19-9 CAPLUS CN 1H-Benzimidazole-1-acetamide, N-(1,1-dimethylethyl)- $\alpha$ -(6-methyl-2-pyridinyl)-2-phenyl- (9CI) (CA INDEX NAME)

RN 371158-27-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, α-cyclohexyl-N-[2-(4-morpholinyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)

RN 371158-32-6 CAPLUS
CN Cyclopropanecarboxylic acid, 2-[2-[(2,6-dimethylphenyl)amino]-2-oxo-1-(2-phenyl-1H-benzimidazol-1-yl)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 371158-41-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(1,3-benzodioxol-5-yl)-a-ethyl-N(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 371158-43-9 CAPLUS

CN 1H-Benzimidazole-1-acetamide, a-(6-methyl-2-pyridinyl)-2-phenyl-N(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 371158-44-0 CAPLUS
CN 1H-Benzimidazole-1-acetamide, N-cyclohexyl-α-[2-(methylthio)ethyl]-2phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 51 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 371158-33-7 CAPLUS
CN Phosphonic acid, [[[{1,1*-biphenyl]-4-yl(2-phenyl-1H-benzimidazol-1-yl)acetyl]amino]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

RN 371158-35-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(3,4-dichlorophenyl)-N-(1-methylethyl)α-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)

RN 371158-36-0 CAPLUS

Phosphonic acid, [[[1-oxo-2-(2-phenyl-1H-benzimidazol-1-yl]butyl]amino]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 52 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 11 Jul 2001

ACCESSION NUMBER: 2001:498766 CAPLUS

DOCUMENT NUMBER: 135:339147

Dependence of the antioxidant effect of imidazole TITLE: derivatives on the concentration and the scheme of

Pavlova, R. N.; Kuznetsova, O. A.; Dadali, V. A.;

AUTHOR (S): Abyshev, A. Z.; Sokolova, E. A.

Dep. Biochemistry, Mechnikov State Medical Acad., St. Petersburg, 195067, Russia

Eksperimental'naya i Klinicheskaya Farmakologiya SOURCE: (2001), 64{3}, 50-52

CODEN: EKFAE9; ISSN: 0869-2092

Izdatel'stvo Folium

PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: Russian

The exptl. study of the antioxidant properties of imidazole derivs. showed evidence of a nonlinear dose-effect relationship as manifested by chemiluminescence in liposomes. In the in vivo expts., using a thiophenol intoxication model, the antioxidant effect observed for a "large dose - short time" scheme was more favorable than that for a "small dose - long time" administration schedule.

324049-92-5

CORPORATE SOURCE:

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antioxidant effect of imidazole derivs. dependence on concentration and

administration mode) 324049-92-5 CAPLUS

1H-Benzimidazole-1-ethanol, 2-(3-chlorophenyl)- $\alpha$ -[(diethylamino)methyl] - (9CI) (CA INDEX NAME)

(Continued) ANSWER 53 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT:

THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 53 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 25 Apr 2001

2001:293416 CAPLUS ACCESSION NUMBER:

135:102451 DOCUMENT NUMBER:

Antagonism of the discriminative stimulus effects of TITLE: (+)-7-OH-DPAT by remoxipride but not PNU-99194A Christian, A. J.; Goodwin, A. K.; Baker, L. E. AUTHOR (S): Department of Psychology, Western Michigan University, CORPORATE SOURCE:

Kalamazoo, MI, 49008, USA Pharmacology, Biochemistry and Behavior (2001), 68(3), SOURCE:

CODEN: PBBHAU; ISSN: 0091-3057

Elsevier Science Inc. PUBLISHER: Journal

DOCUMENT TYPE: English LANGUAGE:

The dopamine (DA) agonist 7-hydroxy-N, N-di-n-propyl-2-amino-tetralin (7-OH-DPAT) has been used extensively as a tool to investigate the role of DA D3 receptors in the reinforcing and discriminative stimulus properties of psychostimulant drugs. The present study examined the relative importance of D3 vs. D2 receptor actions in the discriminative stimulus effects of (+)-7-OH-DPAT (0.03 mg/kg, s.c.) in 16 male Sprague-Dawley rats trained to discriminate this compound from saline in a two-lever, water-reinforced operant procedure under a FR 20 schedule. Stimulus generalization and antagonism tests were conducted with cocaine and with various selective D2 and D3 receptor ligands. In contrast to previous findings that (+)-7-OH-DPAT substitutes for cocaine, the present results demonstrated that cocaine does not produce stimulus generalization in animals trained to discriminate (+)-7-OH-DPAT. Although two D3-preferring agonists, PD-128907 and pramipexole, produced complete stimulus generalization to the training drug, two highly selective D3 antagonists

(PNU-99194A, PD 152255) failed to block the discriminative stimulus effects of (+)-7-OH-DPAT. However, the D2 antagonist remoxipride {3.0 mg/kg) produced a rightward shift in the (+)-7-OH-DPAT dose-response curve. These findings suggest that D2 receptors are critically involved in mediating the cue properties of (+)-7-OH-DPAT. However, alternative interpretations that PNU-99194A is not entirely D3 receptor selective should also be considered.

164917-23-1, PD 152255

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (antagonism of discriminative stimulus effects of (+)+7-OH-DPAT by

remoxipride but not PNU-99194A)

164917-23-1 CAPLUS

1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis[2-[4-[3-(1piperidinyl)propoxy[phenyl] - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 54 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 05 Apr 2001

2001:241749 CAPLUS ACCESSION NUMBER:

134:266310 DOCUMENT NUMBER: TITLE: Preparation of 2-aryl-benzimidazoles for treating

Sperl, Gerhard: Ixkes, Ulrich: Pamukcu, Rifat: Piazza, INVENTOR (S): Gary A.

PATENT ASSIGNEE(S): Cell Pathways, Inc., USA

SOURCE: U.S., 12 pp.

CODEN: USXXAM DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE APPLICATION NO. 19981124 US 6211177 20010403 US 1998-200378 PRIORITY APPLN. INFO.: US 1998-200378 19981124

OTHER SOURCE(S): MARPAT 134:266310

$$[R]$$
 $N$ 
 $R^2$ 
 $R^2$ 

The title compds. (I; R1 = H, alkyl, (un) substituted CH2Ph, etc.; R2 = (un) substituted Ph, CH2Ph, pyridyl, etc.; R3 = halo, alkoxy, alkyl, etc.; n = 0-2, useful for inhibiting neoplasia, particularly cancerous and precancerous lesions (no data), were prepared Thus, reacting 1,2-phenylenediamine with 3,4,5-trimethoxybenzaldehyde in the presence of 2,3-dichloro-5,6-dicyano-1,4-benzoquinone in MeCN afforded 14% I [RI, R3 = H: R2 = 3, 4, 5-(MeO) 3C6H2.

332015-21-1P 332015-24-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-aryl-benzimidazoles for treating neoplasia)

332015-21-1 CAPLUS 1H-Benzimidazole-1-acetamide, 5-methoxy-N-(phenylmethyl)-2-(3,4,5trimethoxyphenyl) - (9CI) (CA INDEX NAME)

332015-24-4 CAPLUS

1H-Benzimidazole-1-acetamide, 5-fluoro-N-(phenylmethyl)-2-(3,4,5-

L4 ANSWER 54 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) trimethoxyphenyl) - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) phenylalkyl, CO2H, amino, heterocyclyl, etc.; R6 = -D-W-E-, wherein W = bond, (un) substituted phenylene, cycloalkylene, arylene, heterocyclene, etc.; D = (un)substituted (cyclo/phenyl)alk(en/yn)ylene, phenylene, NH, etc.; E = bond, groups given for D; R7, R8 = H, resin, (un) substituted alkyl, Ph, heterocyclyl, cycloalk(en)yl, sulfonyl or carbonyl derivs.; with provisos requiring that one of R1-R4 = (un) substituted CONH2 when R6 = CH2]. The invention further relates to combinatorial libraries contg. two or more such compds., as well as methods of prepg. them. The compds. are potentially useful due to biol. activity. For instance, a library of 36,288 such benzimidazole derivs. was prepd. from 3 arrays of: 48 arom. or heteroarom, aldehydes; 27 amino acids or diamines; and 28 amines. The synthetic method involved: (1) coupling of an N-protected amino acid component to an amine resin, or a coupling of a diamine component using CDI; (2) deprotection; (3) N-arylation of the supported amine with 4-fluoro-3-nitrobenzoic acid; (4) amidation of the supported acid with an amine component; (5) SnCl2 redn. of the nitro group to an amine; (6) cyclocondensation of the supported diamine with an aldehyde component; and (7) cleavage from the support with HF. An exemplary compd. is II, derived from 4-fluoro-3-nitrobenzoic acid and: BOC-glycine, 2-methyl-1-(3methylphenyl)piperazine, and 4-pyridinecarboxaldehyde. Three bioassays useful for I are described; a melanocortin receptor assay, an antimicrobial screen, and a penile erection assay in rats (vs. HP 228 as control).

318477-78-0P, 2-(4-Chloro-2-nitrophenyl)-5-[{(1H-indazol-6yl)amino]carbonyl]-1H-benzimidazole-1-acetamide 318524-16-2P 318525-26-72, 2-(2-Bromophenyl)-5-{(cyclohexylamino)carbonyl}-1Hbenzimidazole-1-butanamide 318525-48-3P, 2-(2-Chloro-3,4dimethoxyphenyl)-5-[(cyclohexylamino)carbonyl]-1H-benzimidazole-1butanamide 331818-91-8P, 2-(2-Nitro-4,5-dimethoxyphenyl)-5-[[(4methylphenyl)amino]carbonyl]-1H-benzimidazole-1-butanamide

331818-95-2P 331819-00-2P, (R)-a-[2-(Methylthio)ethyl]-2-(2-fluorophenyl)-5-[(cyclooctylamino)carbonyl]-1H-benzimidazole-1-acetamide 331019-02-4P, (R)-a-[2-(Methylthio)ethyl]-2-(2,3-dichlorophenyl)-5-{[4-(ethoxycarbonyl)piperidin-1-yl]carbonyl]-1H-benzimidazole-1-acetamide 331819-03-5P, (R)  $-\alpha$ -[2-(Methylthio)ethyl] -2-(2, 3-dichlorophenyl) -5-[[(4methylphenyl)amino|carbonyl]-1H-benzimidazole-1-acetamide 331919-09-1P, (S)- $\alpha$ -Phenyl-2-(4-chloro-2-nitrophenyl)-5-[[4-(ethoxycarbonyl)piperidin-1-yl]carbonyl]-lH-benzimidazole-1-acetamide 331019-19-3P 331019-21-7P 331019-22-0P 331019-25-1P 331019-26-2P 331019-31-9P

331819-32-0P 331819-34-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of benzimidazole derivs. and their combinatorial libraries) 318477-78-0 CAPLUS 1H-Benzimidazole-1-acetamide, 2-(4-chloro-2-nitrophenyl)-5-[(1H-indazol-6ylamino)carbonyl]- (9CI) (CA INDEX NAME)

Page 68

ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 30 Mar 2001

2001:228903 CAPLUS ACCESSION NUMBER: 134:266308 DOCUMENT NUMBER:

Benzimidazole derivatives and combinatorial libraries TITLE:

thereof, and their biological activity Lang, Hengyuan: Pei, Yazhong INVENTOR (5):

PATENT ASSIGNEE (S): Trega Biosciences, Inc., USA

PCT Int. Appl., 135 pp. SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> DATE PATENT NO. KIND DATE APPLICATION NO. ------20010329 WO 2000-US20942 20000801 WO 2001021634 Al RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

20000801 20020619 EP 2000-950920 EP 1214330 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, FI, CY US 1999-401004 A 19990921 PRIORITY APPLN. INFO .:

WO 2000-US20942 20000801

MARPAT 134:266308 OTHER SOURCE(S):

$$R^3$$
 $R^4$ 
 $R^5$ 
 $R^7$ 
 $R^6$ 
 $R^8$ 
 $R^8$ 

The invention relates to novel benzimidazole derivs. I {R1-R4 = H, halo, (protected) OH, cyano, (un) substituted alkyl(en/yn) yl, alkoxy, aryl, heterocyclyl, carbamoyl, etc.; R5 = H, (un)substituted alkyl, Ph,

(Continued) ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

318524-16-2 CAPLUS

1H-Benzimidazole-1-propanamide, 2-(4-chloro-3-fluorophenyl)-5-([3-methyl-4-(3-methylphenyl)-1-piperazinyl)carbonyl}- (9CI) (CA INDEX NAME)

318525-26-7 CAPLUS

1H-Benzimidazole-1-butanamide, 2-(2-bromophenyl)-5-[(cyclohexylamino)carbonyl] - (9CI) (CA INDEX NAME)

318525-48-3 CAPLUS

1H-Benzimidazole-1-butanamide, 2-(2-chloro-3,4-dimethoxyphenyl)-5-

[(cyclohexylamino)carbonyl] - (9CI) (CA INDEX NAME)

331818-91-8 CAPLUS

1H-Benzimidazole-1-butanamide, 2-(4,5-dimethoxy-2-nitrophenyl)-5-({(4-

methylphenyl)amino|carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331819-00-2 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 5-[(cyclooctylamino)carbonyl]-2-{2fluorophenyl}-α-{2-(methylthio)ethyl}-, (αR)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

L4 ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 331819-19-3 CAPLUS
CN 1H-Benzimidazole-1-acetamide, α-[3-[(aminoiminomethyl)amino]propyl]2-(3-phenoxyphenyl)-5-[{(3,3,5-trimethylcyclohexyl)amino]carbonyl]-,
(αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331819-21-7 CAPLUS
CN 1H-Benzimidazole-1-acetamide, α-[3-[{aminoiminomethyl}amino]propyl]2-(3-cyanophenyl)-5-[[(3,3,5-trimethylcyclohexyl)amino]carbonyl]-,
(αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331819-22-8 CAPLUS
CN 1H-Benzimidazole-1-acetamide, α-[3-[(aminoiminomethyl)amino)propyl]5-[(cyclohexylamino)carbonyl]-2-(4-nitrophenyl)-, (αS)- (9CI) (CA

L4 ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 331819-02-4 CAPLUS

4-Piperidinecarboxylic acid, 1-{[1-{(1R}-1-{aminocarbonyl})-3-(methylthio)propyl]-2-(2,3-dichlorophenyl)-1H-benzimidazol-5-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331819-03-5 CAPLUS
CN 1H-Benzimidazole-1-acetamide, 2-(2,3-dichlorophenyl)-5-[{(4-methylphenyl)amino]carbonyl]-α-{2-{methylthio}ethyl}-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331819-09-1 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[(1-{(1S)-2-amino-2-oxo-1-phenylethyl]-2-(4-chloro-2-nitrophenyl)-1H-benzimidazol-5-yl]carbonyl}-, ethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.

RN 331819-25-1 CAPLUS
CN Benz[de]imidazo[4,5-g]isoquinoline-10(4H)-hexanamide, 9-(2-fluorophenyl)5,6-dihydro-6-oxo-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 331819-26-2 CAPLUS
CN lH-Benzimidazole-1-acetamide, 2-(2-bromophenyl)-5-[(butylamino)carbonyl]-α-[(lS)-1-methylpropyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 331819-31-9 CAPLUS
CN 1H-Benzimidazole-1-acetamide, α-(cyclohexylmethyl)-5((cyclooctylamino)carbonyl)-2-(2-fluorophenyl)-, (αR)- (9CI) (CA

L4 ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued) Absolute stereochemistry.

331819-32-0 CAPLUS 1H-Benzimidazole-1-acetamide, 2-(2,5-difluorophenyl)- $\alpha$ -(1H-indol-3ylmethyl)-5-[[(3,3,5-trimethylcyclohexyl)amino]carbonyl]-, (qR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

331819-34-2 CAPLUS 1H-Benzimidazole-1-acetamide,  $\alpha$ -[3-[(aminoiminomethyl)amino]propyl]-2-{2,3-dichlorophenyl}-5-{[[3-{4-morpholinyl}propyl]amino]carbonyl]-, (as) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PATENT INFORMATION:

PRIORITY APPLN. INFO.:

ANSWER 56 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 02 Mar 2001 2001:152653 CAPLUS ACCESSION NUMBER: 134:193435 DOCUMENT NUMBER: Preparation of substituted 2-(2,6-TITLE: difluorophenyl)benzimidazoles as non-nucleoside inhibitors of HIV-1 reverse transcriptase INVENTOR (S): Michejda, Christopher J.; Morningstar, Marshall; Roth, Thomas PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA SOURCE: PCT Int. Appl., 149 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT:

PA:	PATENT NO.				KIN	D	DATE		i	APPL	ICAT:	ION	NO.		DATE			
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WO	2001	0143	43		A1		2001	0301	1	WO 2	000-1	US23	449		2	0000	825	
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	ĒĒ,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	
		SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UΑ,	UG,	US,	UZ,	VN,	
		YU,	2A,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM					
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙĒ,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
ΑU	2000	0707	66		A 5		2001	0319		AU 2	-000	7076	6		2	0000	825	
EP	EP 1210336			A1		2002	0605	1	EP 2	000-	9594	41						
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL								

WO 2000-US23449 W 20000825 MARPAT 134:193435 OTHER SOURCE(S): AB 1-R''-2-(2,6-difluorophenyl)-4-X''-benzimidazole derivs. (I; e.g. 1-{2,6-difluorobenzy1}-2-(2,6-difluoropheny1)-4-methoxybenzimidazole) and pharmaceutical compns. containing them are HIV-1 reverse transcriptase inhibitors useful in treatment of HIV-1 infections. In I, X'' = H, Me, Et, cyano, methoxy, nitro, amino, acetamido, methylamino, dimethylamino, iso-Pr, isopropenyl, Br and Cl; and R' = 2,6-difluorobenzyl, benzyl, ethylbenzyl, 2,6-dichlorobenzyl, 2,3,4,5,6-pentafluorobenzyl, pyridylmethyl, benzenesulfonyl, 2,6-difluorobenzoyl, and 3,3-dimethylallyl. Although the methods of preparation are not claimed, >100 example prepns. are included. Biol. activity data are presented for some of the claimed compds.; the methoxy and N-methylacetamido compds. were found to possess the best overall biol. profile of the compds. tested. 199594-77-9P, 1-{3-Pyridylmethyl}-2-{2,6-Difluorophenyl}-4-Methylbenzimidazole

US 1999-380171

19990826

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 2-(2,6-difluorophenyl)benzimidazoles as non-nucleoside inhibitors of HIV-1 reverse transcriptase) 199594-77-9 CAPLUS

1H-Benzimidazole, 2-(2,6-difluorophenyl)-4-methyl-1-(3-pyridinylmethyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 55 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

IT 331819-39-7DP, 5-(Aminocarbonyl)-2-phenyl-1H-benzimidazole-1propanamide, derivs.

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of benzimidazole derivs. and their combinatorial libraries) 331819-39-7 CAPLUS

1H-Benzimidazole-1-propanamide, 5-(aminocarbonyl)-2-phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS 2 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 56 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 57 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 26 Jan 2001

ACCESSION NUMBER: 2001:63828 CAPLUS DOCUMENT NUMBER: 134:116238

TITLE: Melanocortin receptor-3 ligands to treat sexual

dysfunction

Dines, Kevin C.; Gahman, Timothy C.; Girten, Beverly E.; Hitchin, Douglas L.; Holme, Kevin R.; Lang, Hengyuan; Slivka, Sandra R.; Watson-Straughan, Karen

US 1998-83368P

US 1999-301391

P 19980428

A1 19990428 A2 19990506

J.; Tuttle, Ronald R.; Pei, Yazhong
ATENT ASSIGNEE(S): Trega Biosciences, Inc., USA

PATENT ASSIGNEE(S): Trega Biosciences, Inc., SOURCE: PCT Int. Appl., 64 pp.

PCT Int. Appl., 64 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

INVENTOR (S):

PATENT NO. KIND APPLICATION NO. DATE DATE WO 2000-US19408 WO 2001005401 Al 20010125 W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU. TJ. TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, S2, TZ, UG, ZW, AT, BE, CR, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 6284735 20010904 US 1999-356386 19990716 A 19990716 PRIORITY APPLN. INFO.: US 1999-356386 US 1999-364825 A 19990730 US 1999-401004 A 19990921

US 1999-306686 OTHER SOURCE(S): MARPAT 134:116238

Methods for treating sexual dysfunction, such as erectile dysfunction or sexual arousal disorder, with a compound having the generic formula X1-X2-D-Phe-Arg-D-Trp-X3 [X1 = R1R2NCHR3CY1Y2, Ac, H, or absent, where R1 = R2, COPh, CO2Bu-t, CO2CH2Ph, CHCO-(polyethylene glycol) or A which is N,O-(un)substituted 3-amino-4,5,6-trihydroxytetrahydro-2-pyranyl; R2 = H, Ac, Et, PhcH2; R3 = alkyl, cycloalkyl; Y1, Y2 = H or together form carbonyl or thiocarbonyl; X2 = NR1CHR4CY1Y2-His, His, Ac, or H, where R4 = (CH2)mCONH2, (CH2)mCONH1, or (CH2)CONHA (m = 1-3); X3 = NR1CHR6(CH2)nCY1Y2R5 or R5, where R5 = OH, OR3, NH2, SH, NHMe, NHCH2PH, or A; R6 = H or R3, n = 0-3}. A particularly useful compound is HP-228, which has the formula Ac-Nle-Gln-His-D-Phe-Arg-D-Trp-Gly-NH2. The invention also provides methods for selecting melanocortin receptor-3 ligands by determining whether a compound modulates the activity of MC-3 as an agonist or antagonist. These methods can be used to screen compound libraries, including benzimidazoles, for ligands to treat MC-3-associated conditions.

Such conditions include sexual dysfunction, including erectile dysfunction

and sexual arousal disorder (data given).
321180-43-2 321180-45-4 321180-47-6
321180-49-8 321180-51-2 321180-53-4

321180-55-6 321180-57-8 321180-59-0

L4 ANSWER 57 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(melanocortin receptor-3 ligands to treat sexual dysfunction)

RN 321180-43-2 CAPLUS

N 1H-Benzimidazole-1-acetamide, α-[3-[(aminoiminomethyl)amino]propyl]-2-[4-(1,1-dimethylethyl)phenyl]-5-[((2-phenylethyl)(phenylmethyl)amino]car bonyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

N 321180-45-4 CAPLUS

CN 1H-Benzimidazole-1-acetamide, α-[3-[(aminoiminomethyl)amino]propyl]2-(4-butoxyphenyl)-5-[[(1,2-diphenylethyl)amino]carbonyl]-, (αS)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

N 321180-47-6 CAPLUS

CN 1H-Benzimidazole-1-acetamide, α-[3-{(aminoiminomethyl)amino]propyl}-5-{((1,2-diphenylethyl)amino]carbonyl}-2-[4-(1-methylethyl)phenyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 57 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Ph O Pr-i
Ph NH NH2

RN 321180-49-8 CAPLUS

CN 1H-Benzimidazole-1-acetamide, α-[3-[(aminoiminomethyl)amino]propyl]-2-[4-(1-methylethyl)phenyl]-5-[[(2-phenylethyl)(phenylmethyl)amino]carbony 1]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 321180-51-2 CAPLUS

1H-Benzimidazole-1-acetamide, α-[3-[(aminoiminomethyl)amino)propyl]-2-[4-(1,1-dimethylethyl)phenyl]-5-[[(1,2-diphenylethyl)amino]carbonyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

N 321180-53-4 CAPLUS

CN 1H-Benzimidazole-1-acetamide, α-[3-((aminoiminomethyl)amino)propyl)-5-[(4-(4-chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]carbonyl)-2-[4-(1,1-dimethylethyl)phenyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 57 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 321180-55-6 CAPLUS

1H-Benzimidazole~1-acetamide, α-[3-[(aminoiminomethyl)amino)propyl}2-[4-(1,1-dimethylethyl)phenyl]-5-[[(2-phenylethyl)(3pyridinylmethyl)amino]carbonyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 321180-57-8 CAPLUS

CN 1H-Benzimidazole-1-acetamide, α-[3-[(aminoiminomethyl)amino]propyl]2-(4-butoxyphenyl)-5-[{(2-phenylethyl)(3-pyridinylmethyl)amino]carbonyl]-,
(αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

N 321180-59-0 CAPLUS

CN 1H-Benzimidazole-1-acetamide, u-[3-[(aminoiminomethyl)amino]propyl]-2-(4-pentylphenyl)-5-[[(2-phenylethyl)(phenylmethyl)amino]carbonyl]-, (as)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Andrew Freistein 10/630896

05/24/2005

ANSWER 57 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 58 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

Entered STN: 24 Nov 2000

2000:823172 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 133:367673

Organic electroluminescent devices TITLE:

Ohama, Toru; Himeshima, Yoshio; Tominaga, Takeshi INVENTOR (S): PATENT ASSIGNEE (5): Toray Industries, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF Patent

Japanese LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DOCUMENT TYPE:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 1999-133909 19990514 JP 2000323278 A2 20001124 JP 1999-133909 19990514 PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 133:367673

The devices comprise a phosphor or an electron transport layer containing an imidazole derivative I (R1 = H, alkyl, cycloalkyl, aralkyl, alkenyl, cycloalkenyl, alkynyl, OH, mercapto, alkoxy, alkylthio, arylether, arylthioether, aryl, heterocyclic, halo, haloalkane, haloalkenyl, haloalkene, cyano, aldehyde, carbonyl, ester, carbamoyl, amino, nitro, silyl, cyclothanyl; X = (substituted) aromatic, (substituted) heterocyclic, (substituted) (un) saturated aliphatic; (substituted), single bond; Y1 = single bond, (cyclo)alkyl chain, alkylene chain, aryl chain, heterocyclic chain, ether chain, thioether chain; Ar = (substituted) aromatic ring, (substituted)

heterocyclic ring, (substituted) aromatic and heterocyclic ring). 306944-29-6

RL: DEV (Device component use); USES (Uses)

(Organic electroluminescent devices) 306944-29-6 CAPLUS

1H-Benzimidazole, 1,1'-{1,2-ethanediyl}bis[2-[1,1'-biphenyl]-4-yl- (9CI)

ANSWER 58 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 59 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 11 Oct 2000

ACCESSION NUMBER: 2000:718249 CAPLUS

DOCUMENT NUMBER: 133:281781

TITLE: Preparation of benzodioxolylbenzimidazoles and related compounds as phosphodiesterase inhibitors.

Huang, Horng-Chih; Chamberlain, Timothy S.; Settle, INVENTOR(S): Steven Lynn: Joy, William Dean; Siegel, Ned R.; Bell,

Leslie D. Monsanto Co., USA

PATENT ASSIGNEE(S): SOURCE: U.S., 28 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND 19981127 20001010 US 1998-200863 US 6130333 PRIORITY APPLN. INFO.: US 1998-200863 19981127 MARPAT 133:281781

OTHER SOURCE(S):

Title compds. e.g., [I; m = 0-6; n = 1-3; Rl \Rightarrow (substituted) alkyl, alkoxyalkyl, carboxyalkyl, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, cycloalkyl, heterocyclyl, heteroaryl, etc.; T, U, V, W = N, CR3; \geq 1 of T, U, V, W = CR3; R3 = H, OH, halo, NO2, alkyl, alkylsulfonyl, alkoxy, alkenyl, alkynyl, amino; with specific exceptions], were prepared Thus, piperonal was refluxed 12 h with 1,2-phenylenediamine in PhNO2 to give 49% 2-{1,3-benzodioxol-5-yl}benzimidazole. The latter in DMF was treated with KOCMe3 and then with Et 4-bromobutanoate to give 74% Et 2-(1,3-benzodioxol-5-yl)-1H-benzimidazole-1-butanoate. Tested I inhibited

CGMP PDE with IC50 = 0.003-0.024 µM. 300546-60-5P 300546-61-6P 300546-76-3P

300546-77-4P 300553-89-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of benzodioxolylbenzimidazoles and related compds. as

phosphodiesterase inhibitors) 300546-60-5 CAPLUS

1H-Isoindole-1,3(2H)-dione, 2-(3-(2-(1,3-benzodioxol-5-yl)-1H-benzimidazol-1-yl]propyl]- (9CI) (CA INDEX NAME)

Page 72

05/24/2005

ANSWER 59 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

300546-61-6 CAPLUS

1H-Benzimidazole-1-propanamine, 2-(1,3-benzodioxol-5-yl)- (9CI) (CA INDEX CN

(CH2) 3-NH2

300546-76-3 CAPLUS

1H-Benzimidazole, 2-(1,3-benzodioxol-5-yl)-1-(4-pyridinylmethyl)~ (9CI)

1H-Benzimidazole, 2-(1,3-benzodioxol-5-yl)-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

300553-89-3 CAPLUS 1H-Benzimidazole, 2-(1,3-benzodioxol-5-yl)-1-(2-pyridinylmethyl)- (9CI)

(CA INDEX NAME)

ANSWER 60 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 27 Jul 2000

ACCESSION NUMBER: 2000:508655 CAPLUS

DOCUMENT NUMBER: 133:232366

Studies on the novel anti-staphylococcal compound TITLE:

nematophin Kennedy, G.: Viziano, M.; Winders, J. A.; Cavallini, AUTHOR (S):

P.; Gevi, M.; Micheli, F.; Rodegher, P.; Seneci, P.;

CORPORATE SOURCE: Via Fleming 4, Medicines Research Centre,

GlaxoWellcome SpA, Verona, 37100, Italy SOURCE: Bioorganic & Medicinal Chemistry Letters (2000),

10(15), 1751-1754

CODEN: BMCLE8; ISSN: 0960-894X

Elsevier Science Ltd. PUBLISHER:

DOCUMENT TYPE: Journal English

A number of analogs of the recently described compound nematophin were prepared AB and studied for antibacterial activity. The 2-Ph derivative was found to exhibit exceptional activity against methicillin resistant Staphylococcus

aureus (MRSA) whereas the isosteric benzimidazole analog was much less

active. ΙT 294210-91-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)

(novel anti-staphylococcal compound nematophin)

294210-91-6 CAPLUS Pentanamide, 3-methyl-2-oxo-N-(2-(2-phenyl-1H-benzimidazol-1-yl)ethyl)-

(9CI) (CA INDEX NAME)

CH2-CH2-NH-C-C-CH-Et

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(novel anti-staphylococcal compound nematophin)

294210-93-8 CAPLUS 1H-Benzimidazolium, 1-methyl-3-[2-[(3-methyl-1,2-dioxopentyl)amino]ethyl)-

2-phenyl-, iodide (9CI) (CA INDEX NAME)

ANSWER 59 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 60 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

294210-89-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(novel anti-staphylococcal compound nematophin)

294210-89-2 CAPLUS 1H-Isoindole-1,3(2H)-dione, 2-[2-(2-phenyl-1H-benzimidazol-1-yl)ethyl}-

(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS 14 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 61 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 22 Jun 2000 ACCESSION NUMBER: 2000:414757 CAPLUS DOCUMENT NUMBER: 133:253103 Polymers for nonlinear optical applications. Second TITLE: harmonic generation of corona-poled thin films Samyn, C.; Van Den Broeck, K.; Van Beylen, M.; AUTHOR (S): Verbiest, T.; Persoons, A. Laboratory of Macromolecular and Physical Organic CORPORATE SOURCE: Chemistry, University of Leuven, Louvain, B-3001, SOURCE: MCLC S&T, Section B: Nonlinear Optics (1999), 22(1-4), 83-86 CODEN: MCLOEB; ISSN: 1058-7268 Gordon & Breach Science Publishers PUBLISHER: DOCUMENT TYPE: Journal LANGUAGE: English AB Second harmonic generation measurements of several series of (NLO-dye methacrylate) - Me methacrylate copolymers were investigated. Heterocyclic groups incorporated in the chromophore give rise to an enhanced effect. Some of the poled films do not show a significant decay in the second harmonic signal due to relaxation. Extremely high stability was obtained for azo chromophore functionalized poly(maleimide-4-Ph styrenes), showing \$ 89% of remaining NLO-intensity, when heated at 125° for 1000 h. 296262-39-0 RL: PRP (Properties) (second harmonic generation of corona-poled thin film polymethylmethacrylate-azo dye polymers for nonlinear optical applications.) 296262-39-0 CAPLUS
1H-Pyrrole-2,5-dione, 1-[2-[2-[4-[[4-[methyl (phenylmethyl) amino] phenyl]azo]phenyl]-5-nitro-1H-benzimidazol-1-yl]ethyl]-, polymer with 4-ethenyl-1,1'-biphenyl (9CI) (CA INDEX NAME) CM 1 CRN 296262-38-9 CMF C33 H27 N7 O4 N- CH2- Ph Me

Entered STN: 06 Jun 2000 2000:374461 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 133:105452 High glass transition chromophore functionalized TITLE: poly(maleimide-styrene)s for second-order nonlinear optical applications
Samyn, C.; Verbiest, T.; Kesters, E.; Van den Broeck, AUTHOR (S): K.; Van Beylen, M.; Persoons, A. Laboratory of Macromolecular and Physical Organic CORPORATE SOURCE: Chemistry, University of Leuven, Louvain, B-3001, Belg. Polymer (2000), 41(16), 6049-6054 SOURCE: CODEN: POLMAG; ISSN: 0032-3861 PUBLISHER: Elsevier Science Ltd. DOCUMENT TYPE: Journal Nonlinear optical polymers with high glass transition temperature were polymer analogous reaction of maleic anhydride copolymers, with aminoalkyl-functionalized azo- and stilbene chromophores. The glass transition temperature of the products was 178-228°. Poled films of the polymers were characterized by second-harmonic generation and showed a nonlinear optical response that is stable at elevated temps. 284045-88-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (amine-chromophore intermediate; preparation and second-harmonic generation coefficient of high glass transition azo and stilbene chromophore functionalized poly(maleimide-styrene)s) 284045-88-1 CAPLUS 1H-Benzimidazole-1-ethanamine, 2-[4-[[4-[methyl(phenylmethyl)amino]phenyl] azo]phenyl]- (9CI) (CA INDEX NAME)

ANSWER 62 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Ph CH CH2

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 62 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 284045-8B-1DP, reaction products with maleic anhydride-substituted styrene copolymers
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and second-harmonic generation coefficient of high glass transition
azo and stilbene chromophore functionalized poly(maleimide-styrene)s)
RN 284045-88-1 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, 2-[4-[(4-[methyl (phenylmethyl) amino]phenyl] azo]phenyl]- (9CI) (CA INDEX NAME)

N-CH₂- Ph

CM 2

CRN 2350-89-2 CMF C14 H12 L4 ANSWER 63 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 15 May 2000

ACCESSION NUMBER: 2000:314677 CAPLUS

132:321860 DOCUMENT NUMBER: Preparation of 2-phenylbenzimidazoles as TITLE:

poly(ADP-ribose) polymerase inhibitors. Lubisch, Wilfried; Kock, Michael: Hoger, Thomas INVENTOR (S): PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

GI

PA	TENT	NO.					DATE										
WO	2000	0261	92		Al		2000	0511		WO	1999	-EPt	1169			19991	.028
	₩:	ΑE,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG	, BR	, ву	, CA	, CH,	CN	, CR,	CU,
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GE), GE	, GF	, GM	, HR,	HU	, ID,	IL,
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							RU,	- •									
	RW:															CY,	
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		CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE	i, sn	, TI	, TG				
CA	2349	227			AA		2000	0511		CA	1999	-234	19227			19991	.02B
BR	9915	013			A		2001	0807		BR	1999	-150	13			19991	028
EP	1127	052			A1		2001	0829		EΡ	1999	-955	894			19991	.028
EP	2349 9915 1127	052			Bl		2004	1208									
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	G.	t, IT	', L1	, LU	, NL,	SE	, MC,	PT,
							RO										
TR	2001	0123	9		T2		2001	1121		TR	2001	~200	1012	39		19991	.028
TR	2002	0097	2		T2		2002	0722		TR	2002	-200	2009	72		19991	.028
JP	2002	5285	31		T2		2002	0903		JP	2000	-579	9581			19991	.028
AU	7652	24			B2		2003	0911		ΑU	2000	-126	665			19991	.028
EP	1391																
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	ì, I1	, LI	[, LU	, NL,	SE	, MC,	PT,
		ΙE,	SI,	FI,	RO,	CY											
TA	2843	92			E		2004	1215		ΑT	1999	-955	894			19991	.028
NO	2001	0021	58		A		2001	0626		NO	2001	-21	58			20010	1502
2.A	2001	0035	58		A		2002	0503		ZA	2001	-35	8			20010	1503
BG	1055	15			A		2001	1231		BG	2001	-109	5515			20010	516
AT NO 2A BG PRIORIT	Y APP	LN.	INFO	.:						DΕ	1998	-198	35070	9	Α	19981	103
										DE	1998	-198	35280	1	Α	19981	116
										DE	1999	-199	90873	3	Α	19990	301
										ΕP	1999	-95	894		A3	19991	028
										WO	1999	-EP	3169		W	19991	028
OTHER S	OURCE	(S):			MAR	PAT	132:	3218	60								

ANSWER 63 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN 1H-Benzimidazole-4-carboxamide, 2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-1-[3-(1-pyrrolidinyl)propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 266993-22-0 CAPLUS 1H-Benzimidazole-4-carboxamide, 2-[4-[3-[methyl(phenylmethyl)amino)propoxy CN]pheny1]-1-[3-[methyl(phenylmethyl)amino]propyl]-, dihydrochloride {9CI}

●2 HCl

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 63 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$R^4$$
 NH_2
 R^4
 NH_2
 NH_2
 NR_1
 R^3
 R^3
 R^3
 R^3
 R^3
 R^3
 R^3
 R^3
 R^3

Title compds. [I, II; R1 = H, (substituted) alkyl; R2 = H, Cl, Br, iodo, F, CF3, NO2, acylamino, amino, OH, alkoxy, phenylalkoxy, (substituted) Ph, etc.; n = 0-2; R3 = D(F1)pEq(F2)rG, EDu(F2)sGv, etc.; R4 = H, C1, F, Br, iodo, alkyl, OH, NO2, CF3, cyano, amino, acylamino, alkoxy; D = S, O; E = Ph, imidazolyl, pyrrolyl, thienyl, pyridyl, isoxazolyl, etc.; Fl, F2 = (substituted) C1-8 chain; p, q, r, s, u, v = 0, 1; G = amino, (substituted) pyrrolidinyl, piperidinyl, piperazinyl, azepinyl, diazepinyl, morpholino), were prepared as drugs (no data). Thus, Et 2,3-diaminobenzoate and HOAc in MeOH were treated with 4-(N,N-diethylaminoeth-1-yloxy)benzaldehyde (preparation given) in MeOH over 30 min.; CuOAc in H2O was added and the mixture was refluxed 20 min. to to give Et 2-[4-[2-(N, N-diethylamino)eth-1-yloxy]phenyl]benzimidazole-4carboxylate. This was refluxed 10 h with N2H4 in EtOH to give the hydrazide, which was heated with Raney Ni in DMF/H2O to give 2-[4-[2-(N, N-diethylamino)eth-1-yloxy]phenyl]benzimidazole-4-carboxamide. 266993-18-4P 266993-20-8P 266993-22-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2-phenylbenzimidazoles as PARP inhibitors)

266993-18-4 CAPLUS

1H-Benzimidazole-4-carboxamide, 2-{4-{3-(diethylamino)propoxy]phenyl}-1-{3-(diethylamino)propyl}-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

266993-20-8 CAPLUS

L4 ANSWER 64 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 13 Jan 2000

ACCESSION NUMBER: 2000:30832 CAPLUS DOCUMENT NUMBER: 132:194321

TITLE: Traceless synthesis of benzimidazoles on solid support

AUTHOR (S): Mazurov, Anatoly

NanoSyn, Inc., Tucson, AZ, 85747, USA CORPORATE SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), SOURCE:

10(1), 67-70

CODEN: BMCLE8: ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English OTHER SOURCE(S): CASREACT 132:194321

Traceless solid-phase syntheses of benzimidazoles and 5-(benzimidazol-2yl)benzimidazoles on 2-(4-formyl-3-methoxyphenoxy)ethyl polystyrene are described. No auxiliary functional groups are left in the products after

ultimate cleavage and cyclization. 259734-89-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (traceless solid-phase synthesis of benzimidazoles and

benzimidazolylbenzimidazoles) 259734-89-9 CAPLUS

1H-Benzimidazole, 2-(3-chlorophenyl)-1-{3-(4-methyl-1-piperazinyl)propyl}-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 65 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 23 Aug 1999 ED

ACCESSION NUMBER:

1999:523277 CAPLUS

131:286447 DOCUMENT NUMBER:

Solid-phase synthesis of substituted benzimidazoles TITLE: Tumelty, David: Schwarz, Matthias K.; Cao, Kathy: AUTHOR (S):

Needels, Michael C. CORPORATE SOURCE:

Affymax Research Institute, Palo Alto, CA, 94304, USA Tetrahedron Letters (1999), 40(34), 6185-6188 SOURCE: CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

Journal DOCUMENT TYPE: LANGUAGE: English

CASREACT 131:286447 OTHER SOURCE(S):

AB A solid-phase synthesis of benzimidazoles, substituted on the aromatic ring by a variety of groups or atoms, is described. An intermediate derived from the acylation of a resin-bound secondary amine with Fmoc-glycine was elaborated via nucleophilic displacement with substituted

o-halonitroarenes. Careful optimization of the subsequent nitro-group reduction and cyclization with aldehydes, followed by acidolysis gave the

title compds. in good yields and purities. 246019-91-0P 246019-92-1P 246019-93-2P

246019-97-69 246019-98-7P 246019-99-8P 246020-01-97

RL: SPN (Synthetic preparation): PREP (Preparation)

(solid-phase synthesis of substituted benzimidazoles)

246019-91-0 CAPLUS

1H-Benzimidazole-1-acetamide, 2-phenyl-N-propyl- (9CI) (CA INDEX NAME)

246019-92-1 CAPLUS 1H-Benzimidazole-1-acetamide, 5-bromo-2-(3-chlorophenyl)-N-propyl- (9CI) CN (CA INDEX NAME)

246019-93-2 CAPLUS 1H-Benzimidazole-1-acetamide, N-cyclohexyl-6-fluoro-2-(4-hydroxyphenyl)-CN (9CI) (CA INDEX NAME)

ANSWER 65 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 65 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

246019-97-6 CAPLUS 1H-Benzimidazole-1-acetamide, 5-fluoro-2-(4-methoxyphenyl)-N-(3phenylpropyl) - (9CI) (CA INDEX NAME)

246019-98-7 CAPLUS 1H-Benzimidazole-1-acetamide, 5,6-dichloro-2-phenyl-N-(3-phenylpropyl)-CN (9CI) (CA INDEX NAME)

246019-99-8 CAPLUS 1H-Benzimidazole-1-acetamide, N-[(2,5-difluorophenyl)methyl]-5-(methylsulfonyl)-2-phenyl- (9CI) (CA INDEX NAME)

246020-01-9 CAPLUS 1H-Benzimidazole-1-acetamide, N-(1,3-benzodioxol-5-ylmethyl)-2-phenyl-CN

ANSWER 66 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

Entered STN: 22 Mar 1999 ACCESSION NUMBER:

1999:184240 CAPLUS

DOCUMENT NUMBER: TITLE:

130:209707 Preparation of 2-substituted phenyl-benzimidazole

antibacterial agents INVENTOR (S):

Ohemeng, Kwasi Adomako; Nguyen, Van Nhatton

PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

					KIN	D	DATE				ICAT:				D	ATE	
	9911				A1	-	1999	0311			998-1		_		1	9980	904
											BY,						
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		PT,	RO,	RU,	SD,	SE,	5G,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	UΆ,	υG,	UZ,
		VN,	Yυ,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM				
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
											PT,						
							MR,										
บร	5942	532			A		1999	0824		US 1	997-	9245	58		1	9970	905
AU	9893	054			Al		1999	0322		AU 1	998-	9305	4		1	9980	904
PRIORITY	APP	LN.	INFO	. :						US 1	997-	9245	58	1	A 1	9970	905
									,	WO 1	1998-	US18	586	1	W 1	9980	904
OTHER SO	URCE	(5):			MAR	PAT	130:	2097	07								

$$R^5$$
 R^7
 R^1
 R^2
 R^3
 R^4

Benzimidazoles I [R1 = H, OH, alkoxy; R2, R3, R4 = H, OH, alkyl, CF3, halo, etc.; R5 = H, amino, amidino; R6 = nitro, C(NHR9):NR10; R7 = H, amino, nitro; R8 = H, Me}, antibacterial compds., were prepared These compds. are effective in inhibiting the action of a bacterial histidine protein kinase and are useful as anti-infective agents against a variety of bacterial organisms, including organisms which are resistant to other known antibiotics. E.g., 3,4-diaminobenzimidate, prepared from 3,4-diaminobenzonitrile, was treated with NH3/EtOH, then with 4-Me3Cc6H4CHO to give 2-[4-(1,1-dimethylethyl)phenyl]-2H-benzimidazole-5carboximidamide.

220955-59-9P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study): PREP (Preparation): USES (Uses) (preparation of phenylbenzimidazoles as antibacterial agents)

220955-59-9 CAPLUS

1H-Benzimidazole-1-ethanimidamide, 6-(aminoiminomethyl)-2-[4-(diphenylamino)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 66 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 67 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN Entered STN: 24 Sep 1998 1998:604910 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 129:216616 Substituted benzimidazoles as non-nucleoside TITLE: inhibitors of reverse transcriptase INVENTOR (S): Michejda, Christopher J.: Morningstar, Marshall; Roth, Thomas PATENT ASSIGNEE (S): United States Dept. of Health and Human Services, USA PCT Int. Appl., 116 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE 19980827 WO 1998-US358B 19980224 WO 9837072 A1

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, C2, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG AU 9863371 A1 19980909 AU 1998-63371 AU 741772 20011206 **B2** 19980224 19991215 EP 1998-907608 EP 963371 A1 EP 963371 B1 20030502 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO 20010828 19980224 JP 2001513084 T2 JP 1998-536983 AT 238998 AT 1998-907608 19980224 20030515 CA 1998-2281927 19980224 CA 2281927 20040127 CA 2281927 19980827 US 6369235 Bl 20020409 US 2000-380171 20000201 20020409 US 2003191160 20031009 US 2002-119634 A1 20050517 US 6894068 B2 P 19970225 PRIORITY APPLN. INFO.: US 1997-38509P WO 1998-US3588 19980224 US 2000-380171 A1 20000201

OTHER SOURCE(S): MARPAT 129:216616

$$\begin{array}{c|c} X & F \\ \hline \\ N & F \\ \hline \\ R^1 & F \end{array}$$

ANSWER 67 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

The invention provides compns. and methods for the treatment of HIV infection. In particular, the invention provides non-nucleoside inhibitors of reverse transcriptase (RT), as well as methods to treat HIV infection using them. In preferred embodiments, a novel class of substituted benzimidazoles, effective in the inhibition of human immunodeficiency virus (HIV) RT, are provided. The claimed compds. include I and II (X = H, Me, Et, cyano, OMe, NO2, NH2, NHAc, NHMe, NMe2, CMe2OH, C(:CH2)Me, Br, Cl; R1 = 2,6-difluorobenzyl, CH2Ph, 2,6-dichlorobenzyl, pyridylmethyl, SO2Ph, CH2CH:CMe2, etc.; R2 = Ph, CHO, iso-Pr, H, Me, cyclopropyl, CH2OH, 2,6-difluorophenyl, methylphenyl, pyridyl, naphthyl, etc.]. For instance, 2-amino-3-nitrophenol underwent O-methylation (82%), N,N-diacylation with 2,6-difluorobenzoyl chloride (92%), hydrazinolysis of one acyl group (96%), reduction of the nitro group with Fe powder with concomitant cyclization to give a benzimidazole (86%), and N-alkylation with 2,6-difluoro-q-bromotoluene (91%), to give I [X = OMe, R1 = 2,6-difluorobenzyl]. This compound gave 85% inhibition of RT at 1 μM in vitro; it was also 100-fold more potent than TZB and TIBO and comparable to 8-chloro-TIBO and nevirapine in potency. 199594-77-9P, 1-(3-Pyridylmethyl)-2-(2,6-difluorophenyl)-4-

methylbenzimidazole RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted benzimidazoles as non-nucleoside inhibitors of

reverse transcriptase) 199594-77-9 CAPLUS

1H-Benzimidazole, 2-(2,6-difluorophenyl)-4-methyl-1-(3-pyridinylmethyl)-

(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 68 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 03 Aug 1998

1998:480082 CAPLUS ACCESSION NUMBER:

129:136518 DOCUMENT NUMBER:

Synthesis and nonlinear optical properties of high glass transition poly(maleimide-4-phenylstyrene)s AUTHOR (S): Verbiest, Thierry; Samyn, Celest; Van Beylen, Marcel; Persoons, Andre CORPORATE SOURCE: Laboratory Chemical Biological Dynamics, University

Leuven, Louvain, B-3001, Belg. SOURCE: Macromolecular Rapid Communications (1998), 19(7),

CODEN: MRCOE3; ISSN: 1022-1336 Huethig & Wepf Verlag

PUBLISHER: DOCUMENT TYPE: Journal

LANGUAGE: English

reaction of maleic anhydride copolymers with aminoalkyl-functionalized azo chromophores. Poled films of the polymers show a good nonlinear optical response that is stable at ≤125°.

210528-79-3P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(chromophore; preparation of aminoalkyl azo chromophores and functionalization of poly(maleimide-phenylstyrene)s)

210528-79-3 CAPLUS

1H-Benzimidazole-1-ethanamine, 2-[4-[4-[methyl(phenylmethyl)amino]phenyl] azo|phenyl]-5-nitro- (9CI) (CA INDEX NAME)

210528-78-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminoalkyl azo chromophores and functionalization of

poly(maleimide-phenylstyrene)s) 210528-78-2 CAPLUS

1H-Isoindole-1,3(2H)-dione, 2-[2-[4-[4-[methyl(phenylmethyl)amino]phen yl]azo]phenyl]-5-nitro-1H-benzimidazol-1-yl]ethyl]- (9CI) (CA INDEX NAME)

ANSWER 68 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

210528-79-3DP, reaction products with poly(maleimidephenylstyrene)

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation of aminoalkyl azo chromophores and nonlinear optical properties of functionalized poly(maleimide-phenylstyrene))

1H-Benzimidazole-1-ethanamine, 2-[4-[[4-[methyl(phenylmethyl)amino]phenyl] azo]phenyl]-5-nitro- (9CI) (CA INDEX NAME)

ANSWER 69 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

Entered STN: 07 May 1998

ACCESSION NUMBER: 1998:258521 CAPLUS 129:12650 DOCUMENT NUMBER:

Comparison of D2 and D3 dopamine receptor affinity of TITLE:

dopaminergic compounds in rat brain Flietstra, Rebecca J.; Levant, Beth AUTHOR (S):

CORPORATE SOURCE: Department of Pharmacology, Toxicology, and Therapeutics, University of Kansas Medical Center,

Kansas City, KS, 66160-7417, USA Life Sciences (1998), 62(20), 1825-1831

SOURCE: CODEN: LIFSAK; ISSN: 0024-3205

Elsevier Science Inc. PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

This study used quant. autoradiog. to simultaneously evaluate the relative affinities of dopaminergic compds. for dopamine D2 and D3 receptors in rat brain. PD 152255, PD 128907, and L-nafadotride exhibited significantly higher affinity for cerebellar dopamine D3 sites than {3H}quinpirolelabeled sites in caudate/putamen (6.3-, 6.0-, and 2.3-fold, resp.). In contrast, chlorpromazine, risperidone, and domperidone were more potent at striatal dopamine D2 receptors (3.8-, 31-, and 40-fold, resp.). Dopamine, quinelorane, (+)-UH 232, and RS-trans-7-OH-PIPAT exhibited relatively

little D2/D3 selectivity. 164917-23-1, PD 152255

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(comparison of D2 and D3 dopamine receptor affinity of dopaminergic compds. in rat brain)

164917-23-1 CAPLUS

1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis[2-[4-[3-(1piperidinyl)propoxy[phenyl] - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 69 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) ANSWER 70 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 28 Feb 1998

1998:122843 CAPLUS ACCESSION NUMBER:

128:252832 DOCUMENT NUMBER:

Synthesis and activities of 5-substituted-2-(p-TITLE: substituted phenyl)-1-dialkylaminomethylbenzimidazole

derivatives

Uzunoglu, S.; Tosun, A. U.; Ozden, T.; Yesilada, E.; AUTHOR (S):

Berkem, R.

Department of Pharmaceutical Chemistry, Faculty of CORPORATE SOURCE: Pharmacy, Gazi University, Ankara, 06330, Turk.

SOURCE: Farmaco (1997), 52(10), 619-623 CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Societa Chimica Italiana

DOCUMENT TYPE: Journal LANGUAGE: English

zimidazole derivs. were prepared and their structure were elucidated by IR, NMR spectral data and elemental analyses. Analgesic activity of the compds. prepared was studied in mice by modified KOSTER test. Antiinflammatory activity of these compds. was studied by a carrageenan-induced hind paw edema model in mice. Their antibacterial

activities were examined against S. aureus, E. faecalis, E. coli, P. aeruginosa, and antifungal activity against three kinds of yeast-like

fungi (C. albicans, C. parapsilosis, C. stellatoidea).

IT 194604-66-5P 205245-25-6P 205245-26-7P 205245-27-8P 205245-28-9P 205245-29-0P

205245-30-3P 205245-31-4P 205245-32-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and pharmacol. and antimicrobial activity of)

194604-66-5 CAPLUS

1H-Benzimidazole, 2-(4-methoxyphenyl)-5-methyl-1-(4-morpholinylmethyl)-,

monohydrochloride (9CI) (CA INDEX NAME)

● HCl

205245-25-6 CAPLUS

1H-Benzimidazole, 2-(4-chlorophenyl)-5-methyl-1-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

05/24/2005

ANSWER 70 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HCl

205245-26-7 CAPLUS 1H-Benzimidazole, 5-methyl-2-(4-methylphenyl)-1-(4-morpholinylmethyl)-, CN monohydrochloride (9CI) (CA INDEX NAME)

● HCl

205245-27-8 CAPLUS 1H-Benzimida2ole, 5-methyl-2-(4-mitrophenyl)-1-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

1H-Benzimidazole, 5-chloro-2-(4-chlorophenyl)-1-(4-morpholinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 70 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HCl

205245-32-5 CAPLUS 1H-Benzimidazole, 5-chloro-2-(4-nitrophenyl)-1-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 70 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

● HCl

205245-29-0 CAPLUS 1H-Benzimidazole-1-methanamine, 5-chloro-N, N-diethyl-2-(4-methoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

#C1

205245-30-3 CAPLUS 1H-Benzimidazole-1-methanamine, 5-chloro-N, N-diethyl-2-(4-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

205245-31-4 CAPLUS 1H-Benzimidazole-1-methanamine, 5-chloro-N, N-diethyl-2-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 71 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 04 Feb 1998

1998:64815 CAPLUS ACCESSION NUMBER: 128:213195

DOCUMENT NUMBER:

Pharmacological characterization of PD 152255, a novel TITLE: dimeric benzimidazole dopamine D3 antagonist AUTHOR (S):

Corbin, Ann E.: Pugsley, Thomas A.; Akunne, Hyacinth C.; Whetzel, Steven Z.; Zoski, Kim T.; Georgic, Lynn M.; Nelson, Carrie B.; Wright, Jon L.; Wise, Lawrence

D.; Heffner, Thomas G.

Psychiatric Disorders Therapeutics, Division of CORPORATE SOURCE:

Warner-Lambert Company, Ann Arbor, MI, 48105, USA SOURCE: Pharmacology, Biochemistry and Behavior (1998), 59(2),

CODEN: PBBHAU; ISSN: 0091-3057

Elsevier Science Inc. PUBLISHER:

DOCUMENT TYPE: Journal

LANGUAGE: English

PD 152255 (E-1,1'-(2-butene-1,4-diyl)bis[2-(4-[3-(1-piperidinyl)propoxy]phenyl]-1H-benzimidazole)) exhibited high affinity (Ki = 12.7 nM) for human dopamine (DA) D3 receptors expressed in CHO K1 cells but not for DA D2L receptors (Ki = 565 nM), DA D4.2 or DA D1 receptors (Ki > 3 μ M) and a number of other neurotransmitter receptors. Affinity for human muscarinic receptors was seen in vitro but no functional muscarinic agonist and/or antagonist action was observed in vivo. Antagonist activity at DA D3 receptors was demonstrated by blockade of quinpirole-stimulated [3H]-thymidine uptake in D3 transfected cells, an effect that was 28-fold more potent than in D2-transfected cells. Unlike classical DA D2 antagonists, PD 152255 did not increase rat brain DA synthesis and it increased locomotion in habituated rats. However, like antipsychotics, PD 152255 reduced locomotor activity in mice and reduced spontaneous and amphetamine-stimulated locomotion in nonhabituated rats. These results

demonstrate that PD 152255 is a DA D3 antagonist that may have antipsychotic activity.

164917-23-1 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(pharmacol. characterization of PD 152255, a novel dimeric benzimidazole dopamine D3 antagonist)

164917-23-1 CAPLUS

1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis(2-[4-[3-(1piperidinyl)propoxy)phenyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

AUTHOR (S):

SOURCE:

ANSWER 71 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 72 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 72 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 20 Jan 1998

ACCESSION NUMBER: 1998:31649 CAPLUS

128:30038 DOCUMENT NUMBER: Synthesis and Biological Activity of Novel TITLE:

Nonnucleoside Inhibitors of HIV-1 Reverse Transcriptase. 2-Aryl-Substituted Benzimidazoles. 1

> Roth, Thomas: Morningstar, Marshall L.: Boyer, Paul L.; Hughes, Stephen H.; Buckheit, Robert W., Jr.;

Michejda, Christopher J.

Molecular Aspects of Drug Design Section ABL-Basic CORPORATE SOURCE: Research and Development Program, National Cancer

Institute-Frederick Cancer Research and Development

Center, Frederick, MD, 21702, USA

Journal of Medicinal Chemistry (1997), 40(26), 4199-4207

CODEN: JMCMAR; ISSN: 0022-2623

American Chemical Society PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

The development of new nonnucleoside inhibitors of human immunodeficiency virus type-1 (HIV-1) reverse transcriptase (RT) active against the drug-induced mutations in RT continues to be a very important goal of AIDS research. We used the known inhibitor of HIV-1 RT, 1-(2,6-difluorophenyl)-1H, 3H-thiazolo[3,4-a]benzimidazole (TZB), as the lead structure for drug design with the objective of making more potent inhibitors against both wild-type and variant RTs. A series of structurally related 1,2-substituted benzimidazoles was synthesized and evaluated for their ability to inhibit in vitro polymerization by HIV-1 RT. A structure-activity study was carried out for the series of compds. to determine the optimum groups for substitution of the benzimidazole ring at the N1 and C2 positions. The best inhibitor, 1-(2,6-difluorobenzyl)-2-(2,6-difluorophenyl)-4methylbenzimidazole, has an IC50 = 200 nM against HIV-1 RT in an in vitro enzyme assay. Cyto-protection assays utilizing HIV-infected MT-4 cells revealed that 35 had strong antiviral activity (EC50 = 440 nM) against wild-type virus while retaining broad activity against many clin. observed HIV-1 strains resistant to nonnucleoside inhibitors.

199594-77-9P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation) (preparation and biol. activity of novel aryl-substituted benzimidazole

nonnucleoside inhibitors of HIV reverse transcriptase) 199594-77-9 CAPLUS

1H-Benzimidazole, 2-(2,6-difluorophenyl)-4-methyl-1-(3-pyridinylmethyl)-(9CI) (CA INDEX NAME)

ANSWER 73 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 24 Nov 1997

1997:736648 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 128:70650 TITLE:

Effects of the dopamine D3 antagonist PD 58491 and its interaction with the dopamine D3 agonist PD 128907 on

brain dopamine synthesis in rat

AUTHOR (S): Whetzel, S. Z.; Shih, Y. H.; Georgic, L. M.; Akunne, H. C.; Pugsley, T. A.

Psychiatric Disorders, Therapeutics, Parke-Davis CORPORATE SOURCE: Pharnnaceutical Research Division, Warner-Lambert Co.,

Ann Arbor, MI, USA

Journal of Neurochemistry (1997), 69(6), 2363-2368 SOURCE: CODEN: JONRA9; ISSN: 0022-3042

Lippincott-Raven Publishers PUBLISHER:

DOCUMENT TYPE: Journal

ANGUAGE The dopamine (DA) D3 receptor antagonist PD 58491 (3-[4-[1-[4-[2-[4-[3diethylaminopropoxy)phenyl}-benzoimidazol-1-yl-butyl}-1H-benzoimidazol-2yl]-phenoxy)propyl]diethylamine) bound with high affinity and selectivity to recombinant human DA D3 vs. D2L and D4.2 receptors transfected into Chinese hamster ovary cells: Ki values of 19.5 nM vs. 2,362 and >3,000 nM, resp. In contrast, the putative DA D3 receptor antagonist (+)-AJ76 displayed low affinity and selectivity for D3 vs. D2L and D4.2 receptors (91 nM vs. 253 and 193 nM, resp.). In vitro, PD 58491 (1 nM-1 μM) exhibited D3 receptor antagonist activity, reversing the quinpirole (10 nM)-induced stimulation of [3H]thymidine uptake in D3 CHOpro-5 cells, but did not have any significant intrinsic activity by itself in this assay. PD 58491 did not decrease the y-butyrolactone-induced increase in DA synthesis (L-3,4-dihydroxyphenylalanine accumulation) in rat striatum, indicating that the compound possessed no in vivo DA D2/D3 receptor agonist

action at DA autoreceptors. PD 58491 (3-30 mg/kg, i.p.) generally did not alter DA or serotonin synthesis in either the striatum or mesolimbic region of rat brain. The D3-preferring agonist PD-128907 decreased DA synthesis in striatum and mesolimbic regions, and this effect was attenuated by pretreatment with PD 58491. These findings support the hypothesis that DA D3 autoreceptors may in part modulate the synthesis and

release of DA in striatum and mesolimbic regions. 164917-29-7, PD 58491

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(effects of dopamine D3 antagonist PD 58491 and its interaction with dopamine D3 agonist PD 128907 on brain dopamine synthesis)

RN 164917-29-7 CAPLUS

1-Propanamine, 3,3'-{1,4-butanediylbis(1H-benzimidazole-1,2-diyl-4,1phenyleneoxy) | bis [N, N-diethyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS

05/24/2005

L4 ANSWER 73 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 74 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 29 Sep 1997 ACCESSION NUMBER: 1997:623154 CAPLUS

127:293221 DOCUMENT NUMBER: Methods of treating or preventing interstitial TITLE:

cystitis using substituted benzimidazoles Iyengar, Smriti; Muhlhauser, Mark A.; Thor, Karl B. INVENTOR(S): PATENT ASSIGNEE(S):

Eli Lilly and Company, USA; Iyengar, Smriti; Muhlhauser, Mark A.; Thor, Karl B.

SOURCE: PCT Int. Appl., 121 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

US 6025379

PRIORITY APPLN. INFO .:

PATENT NO. APPLICATION NO. KIND DATE 19970918 WO 1997-US3895 19970307 WO 9733873 A1 W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG CA 1997-2248013 19970918 CA 2248013 AΑ AU 9722078 19971001 AU 1997-22078 19970307 JP 2000506529 20000530 JP 1997-532805 19970307 T2

20000215

US 1998-125956

US 1996-13129P

19980825

19960311

W 19970307

WO 1997-US3895 MARPAT 127:293221 OTHER SOURCE(S):

$$R^3$$
 R^2
 R^2

The invention provides methods for the treatment or prevention of interstitial cystitis or urethral syndrome using substituted benzimidazoles I [R1, R2 = H, alkyl, alkoxy, (un) substituted Ph, cycloalkyl, naphthyl, heterocyclyl, phenylalkyl, heterocyclylalkoxy, etc.;

ANSWER 74 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN R3 = H, NO2, CF3, halo, alkanoyl, amino, alkyl, alkoxy, alkylthio, cycloalkyl, (un) substituted heterocyclyl, amino, aminoalkoxy, aminoalkyl, heterocyclylalkyl, heterocyclylalkoxy, etc.; only 1 or R1 and R2 may be H] or their pharmaceutically acceptable salts or solvates. Approx. 170 synthetic examples of I are given, with the products serving as target compds. and/or intermediates. Use of specific preferred compds. contg. cyclic or acyclic amine sidechains is also claimed. For instance, etherification of 1-benzyl-2-(3,4,5-trimethoxyphenyl)-6hydroxybenzimidazole-HCl (prepn. given) with 4-(2-chloroethyl)morpholine-HCl in acetone in the presence of K2CO3 gave preferred title compd. II.

14339-08-3P, 1-{2-(Piperidin-1-yl)ethyl}-2-phenylbenzimidazole dihydrochloride 14339-09-4P, 1-[2-(Piperidin-1-yl)ethyl]-2phenylbenzimidazole 14339-10-7P, 1-[2-(Morpholin-4-yl)ethyl)-2lbenzimidazole dihydrochloride 175712-01-9P, 1-(2-(Dimethylamino)ethyl)-2-phenylbenzimidazole dihydrochloride 175714-49-5P, 1-{2-(Dimethylamino)ethyl]-2-phenylbenzimidazole RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

Methods for the bioassay and clin. evaluation of I are described (no

(Reactant or reagent); USES (Uses) (product and/or intermediate; preparation of benzimidazole derivs. for

treatment of interstitial cystitis)

14339-08-3 CAPLUS 1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

data).

●2 HC1

14339-09-4 CAPLUS

1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX

14339-10-7 CAPLUS 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

ANSWER 74 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● 2 HC1

175712-81-9 CAPLUS

1H-Benzimidazole-1-ethanamine, N, N-dimethyl-2-phenyl-, dihydrochloride

●2 HCl

175714-49-5 CAPLUS 1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-phenyl- (9CI) (CA INDEX

L4 ANSWER 75 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

Entered STN: 18 Sep 1997

ACCESSION NUMBER: 1997:595035 CAPLUS DOCUMENT NUMBER: 127:191188

TITLE: Derivatives of 5-amino-2-(p-aminophenyl)benzimidazole as monomers for synthesis of high-strength thermally

stable anion exchangers INVENTOR (S):

Gitis, Semen S.; Atroshchenko, Yurij M.; Shakhkeldyan, Irina V.; Gradov, Viktor A.; Subbotin, Vladimir A.; Fedotov, Yurij A.; Kirsh, Yurij E.; Timashov, Sergej

PATENT ASSIGNEE (S): USSR

Russ. From: Izobreteniya 1997, (6), 161. SOURCE:

CODEN: RUXXE7 DOCUMENT TYPE: Patent

LANGUAGE: Russian FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE RU 2074182 19970227 RU 1992-8969 19921127 19921127 PRIORITY APPLN. INFO.: RU 1992-8969 Title only translated.

194298-85-6P 194298-86-7P 194298-87-8P

194298-88-9P

RL: SPN (Synthetic preparation): TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(monomers for synthesis of high-strength thermally stable anion exchangers)

194298-85-6 CAPLUS

1H-Benzimidazole-1-ethanamine, 5-amino-2-(4-aminophenyl)-N, N-dimethyl-(9CI) (CA INDEX NAME)

194298-86-7 CAPLUS

1H-Benzimidazole-1-ethanamine, 5-amino-2-(4-aminophenyl)-N,N-diethyl-(9CI) (CA INDEX NAME)

194298-87-8 CAPLUS

ANSWER 76 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 17 Sep 1997 ĒĐ

ACCESSION NUMBER: 1997:594631 CAPLUS 127:262677

DOCUMENT NUMBER: TITLE:

Methods of treating or preventing sleep apnea using di- and trisubstituted benzimidazoles

INVENTOR(S): Gitter, Bruce D.; Iyengar, Smriti

PATENT ASSIGNEE (S): Eli Lilly and Co., USA; Gitter, Bruce D.; Iyengar, Smriti

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

1	PA7	ENT	NO	٠			KIN	D	DATE			APP	LICAT	ION	NO.		D	ATE	
•								-									-		
1	VO.	9731	163	5			A1		1997	0904	,	WO	1997-	US 3 1	13		1	9970	226
		W:	А	L,	AM,	AT,	ΑU,	AZ,	BA,	₿₿,	BG,	BR	, BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			D	ĸ,	EE,	ĒS,	FI,	GB,	GE,	GH,	HU,	ΙL	, IS,	JP,	KE,	KG,	KP,	KR,	KZ,
			L	c,	LK,	LR,	LS,	LU,	LV,	MD,	MG,	MX	, MN,	MW,	MX,	NO,	NZ,	PL,	PT,
			R	٥,	RU,	SD,	SE,	SG,	SI,	SK,	TJ,	TM	, TR,	TT,	UA,	υG,	US,	υz,	YU,
			A	М,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM	!						
		RW:	: G	H,	KE,	LS,	MW,	SD,	SZ,	υG,	AT,	BE	, CH,	DE,	DK,	ES,	FI,	FR,	GB,
			G	R,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF	, BJ,	CF,	ÇG,	CI,	CM,	GΑ,	GN,
			M	L,	MR,	NE,	SN,	TD,	TG										
1	Ųβ	972	L39	0			A1		1997	0916		AU	1997-	2139	0		1	9970	226
(JS.	6030	99	2			A		2000	0229		US	1998-	1420	26		1	9980	827
RIOR	IT	API	PLN		INFO	.:						US	1996-	1266	5 P		P 1	9960	301
											1	WO	1997-	US31	13	1	W 1	9970	226
THER	50	URCE	E (S):		•	MAR	PAT	127:	2626	77								

This invention provides methods for the treatment or prevention of sleep apnea (no data) using substituted benzimidazoles I [R1, R2 = H, alkyl, alkoxy, (un)substituted heterocyclyl, phenylalkoxy, phenylalkylidenyl, heterocyclylalkoxy, etc.; R3 = H, NO2, alkanoyl, alkyl, alkoxy, halo, (un) substituted amino, heterocyclyl, heterocyclylalkoxy, hydroxyalkyl, etc.; provided that both of R1 and R2 cannot be H] and their pharmaceutically acceptable salts or solvates. Examples include 174 syntheses of I, including both the preferred amine-containing target compds., ANSWER 75 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 1H-Benzimidazole-1-ethanaminium, 5-amino-2-(4-aminophenyl)-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)

194298-88-9 CAPLUS

1H-Benzimidazole-1-ethanaminium, 5-amino-2-(4-aminophenyl)-N, N-diethyl-Nmethyl-, iodide (9CI) (CA INDEX NAME)

ANSWER 76 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) and other compds. I serving primarily as intermediates. Eleven pharmaceutical formulations are also given. For instance, the intermediate compd. I.HCl [R1 = 3,4,5-trimethoxyphenyl; R2 = CH2Ph; R3 = 6-OH] (prepd. in 3 steps from 4-amino-3-nitrophenol) was etherified with 4-(2-chloroethyl)morpholine-HCl using K2CO3 in acetone to give a preferred title compd., II.

5322-96-3P 14339-08-3P 14339-09-4P 14339-10-7P 175712-81-9P 175714-49-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug and/or intermediate; preparation of benzimidazoles for treatment or

prevention of sleep apnea)

5322-96-3 CAPLUS 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl)-2-phenyl- (9CI) (CA INDEX NAME)

14339-08-3 CAPLUS 1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

14339-09-4 CAPLUS

1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX

14339-10-7 CAPLUS

1H-Benzimidazole, 1-{2-(4-morpholinyl)ethyl}-2-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

GI

ANSWER 76 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 HCl

175712-81-9 CAPLUS 1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

175714-49-5 CAPLUS 1H-Benzimidazole-1-ethanamine, N, N-dimethyl-2-phenyl- (9CI) (CA INDEX

ANSWER 77 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

This invention provides a series of benzimidazoles, substituted in the 1-position by a variety of groups, substituted in the 2-position by certain carbocycle-containing groups, and optionally substituted in positions 4-7. The compds. are useful in treating or preventing conditions associated with an excess of neuropeptide Y. The invention also provides methods employing the compds., as well as pharmaceutical formulations comprising one or more of them as active ingredients. Many of the compds. are said to show significant activity as neuropeptide Y receptor antagonists, with Ki of 10 μM to 0.1 nM (no addnl. data). Over 360 synthetic examples are given, in which the invention compds. serve as both intermediates and/or final products. Addnl. prepns. of non-invention compds. are also provided. For instance, 2-[(4-chlorophenoxy)methyl]-4-methylbenzimidazole underwent N-alkylation by BrCH2CH2CHMeCO2Et using NaH in DMF (98%), and the product underwent a sequence of saponification (94%), amidation with 4-phenylpiperidine using DCC and HOBt (56%), and amide reduction using BH3.THF (72%), to give title compound I. 193626-40-3P 193627-03-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(invention compound; preparation of benzimidazole derivs. as neuropeptide Y

receptor antagonists) 193626-40-3 CAPLUS

1-Piperidinecarboxylic acid, 3-(3-(2-(4-chlorophenyl)-1H-benzimidazol-1yl)propyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

193627-03-1 CAPLUS 1H-Benzimidazole, 2-(4-chlorophenyl)-1-[3-(3-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

ANSWER 77 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 01 Sep 1997

ACCESSION NUMBER: 1997:556107 CAPLUS 127:161824 DOCUMENT NUMBER:

Benzimidazolyl neuropeptide Y receptor antagonists TITLE: Arnold, Macklin B.; Britton, Thomas C.; Bruns, Robert INVENTOR (S): F., Jr.; Cantrell, Buddy E.; Happ, Anne M.; Hipskind, Philip A.; Howbert, James J.; Lobb, Karen L.; Nixon,

James A.; Ornstein, Paul L.; Smith, Edward C.; Zarrinmayeh, Hamideh; Zimmerman, Dennis M.

Eli Lilly and Co., USA PATENT ASSIGNEE (S):

SOURCE: PCT Int. Appl., 369 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

		TENT																	
							-									-			
	WO	9725	041			A1		1997	0717		WO 1	997-	US 5 1	1		1	9970	109	
		W:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
			DK,	EE,	ES,	FI,	GB,	GE,	ΗU,	IL,	IS,	JP,	KE,	KG,	KP,	KR,	KŻ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	
			RO,	RU,	SD,	SE,	SG,	SI,	sĸ,	TJ,	TM,	TR,	TT,	UA,	UG,	ŲΖ,	VN,	AM,	
			AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM									
		RW:	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	
			IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	
						TD,													
		2242																	
	ΑU	9722	421			Al		1997	0801		AU 1	997-	2242	1		1	9970	109	
	EΡ	8714																	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,	FI
		2000																	
	US	6255	494			В1		2001	0703		US 1	997-	7755	38		1	9970	109	
		9704																	
	US	2002	0070	71		A1		2002	0117										
PRIO	RIT	Y APP	LN.	info	. :							996-							
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												997-							
											WO 1	997-	U\$51	1		W 1	9970	109	
OTHE	R S	OURCE	(5):			MAR	PAT	127:	1618	24									

Entered STN: 07 Aug 1997

1997:499703 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 127:199623 Studies on analgesic and anti-inflammatory activities TITLE:

of 1-dialkylaminomethyl-2-(p-substituted phenyl)-5-substituted benzimidazole derivatives

Ersan, Seyhan; Nacak, Sultan; Noyanalplan, Ningur; AUTHOR (S):

Yesilada, Erdem

CORPORATE SOURCE: Fac. Pharmacy, Gazi University, Ankara, 06330, Turk.

SOURCE: Arzneimittel-Forschung (1997), 47(7), 834-836 CODEN: ARZNAD: ISSN: 0004-4172

PUBLISHER: Cantor

DOCUMENT TYPE: Journal

LANGUAGE: English

The analgesic and anti-inflammatory activity of 1,2,5-trisubstituted benzimidazole derivs. have been examined Analgesic activities of these compds. were investigated by using the modified Koster test. Among the compds. synthesized especially compound

1-(diethylaminomethyl)-2-(p-chlorophenyl)-

5-nitro benzimidazole hydrochloride (I) showed higher activity than acetylsalicylic acid (ASA) and indomethacin. Compds. 1-(diethylaminomethyl)-2-(p-methoxyphenyl)-5-nitro benzimidazole hydrochloride, 1-(diethylaminomethyl)-2-(p-tolyl)-5-nitro benzimidazole hydrochloride, and 1-(pipenridinomethyl)-2-(p-methoxyphenyl)-5-nitro benzimidazole hydrochloride proved as potent as the standard ASA. The above compds. were screened for their antiinflammatory activities using the carrageenan-induced hind paw edema test. Except I all compds. were almost inactive against this model of inflammation compared to indomethacin.

190439-23-7P 190439-25-9P 190439-26-0P 190439-27-1P 190439-28-2P 190439-31-7P

190439-32-BP 190439-34-0P 194604-66-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(analgesic and antiinflammatory activities of dialkylaminomethyl

(substituted phenyl) benzimidazole derivs.) 190439-23-7 CAPLUS

1H-Benzimidazole, 5-methyl-2-(4-methylphenyl)-1-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

190439-25-9 CAPLUS

1H-Benzimidazole, 5-methoxy-2-(4-methylphenyl)-1-(4-morpholinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

05/24/2005

ANSWER 78 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HCl

190439-26-0 CAPLUS 1H-Benzimidazole, 5-methoxy-2-(4-nitrophenyl)-1-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

190439-27-1 CAPLUS 1H-Benzimidazole-1-methanamine, N, N-diethyl-2-(4-methoxyphenyl)-5-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

190439-28-2 CAPLUS 1H-Benzimidazole-1-methanamine, N,N-diethyl-2-(4-methylphenyl)-5-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 78 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HCl

194604-66-5 CAPLUS 1H-Benzimidazole, 2-(4-methoxyphenyl)-5-methyl-1-(4-morpholinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

ANSWER 78 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

HC1

190439-31-7 CAPLUS lH-Benzimidazole-1-methanamine, 2-(4-chlorophenyl)-N, N-diethyl-5-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

190439-32-8 CAPLUS 1H-Benzimidazole-1-methanamine, N,N-diethyl-5-nitro-2-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

190439-34-0 CAPLUS 1H-Benzimidazole, 2-(4-methoxyphenyl)-5-nitro-1-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 79 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 04 Aug 1997

1997:484079 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 127:205518

Rapid in-plate generation of benzimidazole libraries TITLE:

and amide formation using EEDQ

AUTHOR(S): Thomas, James B.; Fall, Michael J.; Cooper, Julie B.;

Burgess, Jason P.; Carroll, F. Ivy Chem. and Life Sciences, Research Triangle Inst., CORPORATE SOURCE:

Research Trianlge Park, NC, 27709, USA Tetrahedron Letters (1997), 38(29), 5099-5102

SOURCE: CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier DOCUMENT TYPE: Journal

LANGUAGE: English CASREACT 127:205518 OTHER SOURCE(S):

AB A solution phase method for the preparation of etonitazene-related

and a general method for the preparation of amide derivs. in 96-well format

have been developed for the generation of libraries of compds. in parallel. 194537-83-2P

(Reactant or reagent)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(preparation of etonitazene-related benzimidazoles and amide derivs.) 194537-83-2 CAPLUS

1H-Benzimidazole-1-ethanamine, N, N-diethyl-5-nitro-2-phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS 12 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 80 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 02 May 1997

1997:281512 CAPLUS ACCESSION NUMBER: 127:17624

DOCUMENT NUMBER: Synthesis and antimicrobial activity of 5-substituted TITLE:

1-dialkylaminomethyl-2-arylbenzimidazole derivatives Ersan, Seyhan; Nacak, Sultan; Acar, Nilgun;

AUTHOR (S): Noyanalpan, Ningur

Faculty Pharmacy, Gazi University, Ankara, TR-06330, CORPORATE SOURCE:

Arzneimittel-Forschung (1997), 47(4), 410-412 CODEN: ARZNAD; ISSN: 0004-4172 SOURCE:

PUBLISHER: DOCUMENT TYPE: Journal English

LANGUAGE:

The title compds. I.HCl (R = Me, MeO, NO2; R1 = Me, MeO, NO2, Cl; R2 = piperidino, morpholino, NEt2) were prepared by reaction of appropriate 2-phenylbenzimidazoles with H2CO and a secondary amine. Microdilution susceptibility tests in Mueller-Hinton and Sabouraud dextrose broth were used for the determination of antibacterial and antifungal activities of

against Staphylococcus, Enterococcus, Escherichia, Pseudomonas, and Candida. Compds. I (R = R1 = Me, R2 = piperidino; R = MeO, R1 = MeO or Me, R2 = morpholino; R = NO2, R1 = MeO, R2 = NEt2 or piperidino) showed slight to moderate activity against all microorganisms. Compound I (R =

NO2, R1 = C1, R2 = NEt2) showed the highest activity. It was found more potent than streptomycin against Enterococcus faecalis and Pseudomonas aeruginosa.

190439-23-7P 190439-24-8P 190439-25-9P 190439-26-0P 190439-27-1P 190439-28-2P 190439-31-7P 190439-32-8P 190439-34-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antimicrobial activity of (dialkylaminomethyl) arylbenzimida zoles)

190439-23-7 CAPLUS

1H-Benzimidazole, 5-methyl-2-(4-methylphenyl)-1-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 80 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

#C1

190439-24-8 CAPLUS 1H-Benzimidazole, 5-methoxy-2-(4-methoxyphenyl)-1-(4-morpholinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

190439-25-9 CAPLUS 1H-Benzimidazole, 5-methoxy-2-(4-methylphenyl)-1-(4-morpholinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

O HCl

190439-26-0 CAPLUS 1H-Benzimidazole, 5-methoxy-2-(4-nitrophenyl)-1-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 80 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HCl

190439-27-1 CAPLUS 1H-Benzimidazole-1-methanamine, N,N-diethyl-2-(4-methoxyphenyl)-5-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

(Continued) ANSWER 80 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

● HC1

190439-32-8 CAPLUS 1H-Benzimidazole-1-methanamine, N,N-diethyl-5-nitro-2-(4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

-190439-28-2--- CAPLUS 1H-Benzimidazole-1-methanamine, N, N-diethyl-2-(4-methylphenyl)-5-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

190439-31-7 CAPLUS 1H-Benzimidazole-1-methanamine, 2-(4-chlorophenyl)-N,N-diethyl-5-nitro-, monohydrochloride (9CI) (CA INDEX NAME)

190439-34-0 CAPLUS 1H-Benzimidazole, 2-(4-methoxyphenyl)-5-nitro-1-(1-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

ANSWER 81 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

Entered STN: 21 Sep 1996 ED

ACCESSION NUMBER: 1996:563632 CAPLUS

125:300996 DOCUMENT NUMBER:

Preparation of benzimidazoles useful for treating TITLE: physiological disorders associated with β-amyloid

Lunn, William H. W.: Monn, James A.: Zimmerman, Dennis INVENTOR (S):

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

U.S., 30 pp. SOURCE: CODEN: USXXAM DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE US 5552426 A 19960903 US 1994-235400 19940429 US 1994-235400 19940429 PRIORITY APPLN. INFO.: MARPAT 125:300996

OTHER SOURCE(S):

The title compds. [I; R1 = H, alkoxy, (un) substituted alkyl, (un) substituted Ph, (un) substituted naphthyl, (un) substituted cycloalkyl; R2 = H, alkyl, alkoxy, (un) substituted Ph, (un) substituted naphthyl, etc.; R3 = H, alkanoyl, amino, alkyl, cycloalkyl, halogen, alkylthio, Cf3, etc.) [e.g., 1-phenyl-2-[3,4-dimethylphenyl]-6-[2-[1piperidinyl)ethoxy|benzimidazole|, which are useful in treating or preventing conditions associated with β -amyloid peptide (e.g., Alzheimer's disease, Down's syndrome, etc.), are prepared and I-containing

formulations presented. 14339-08-3P 14339-10-7P 175712-81-9P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of benzimidazoles useful for treating physiol. disorders associated with β-amyloid peptide)

14339-08-3 CAPLUS RN

1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]-, dihydrochloride

(9CI) (CA INDEX NAME)

ANSWER 81 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX

175714-49-5 CAPLUS 1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 81 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 HCl

14339-10-7 CAPLUS 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl-, dihydrochloride CN (9CI) (CA INDEX NAME)

●2 HC1

175712-81-9 CAPLUS 1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-phenyl-, dihydrochloride CN (9CI) (CA INDEX NAME)

●2 HC1

5322-96-3P 14339-09-4P 175714-49-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazoles useful for treating physiol. disorders associated with β-amyloid peptide}

5322-96-3 CAPLUS

1H-Benzimidazole, 1-[2-(4-morpholiny1)ethy1]-2-phenyl- (9CI) (CA INDEX

ANSWER 82 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 30 Apr 1996

ACCESSION NUMBER: 1996:252224 CAPLUS

DOCUMENT NUMBER: 124:289536 TITLE:

Preparation of benzimidazole derivatives as non-peptide tachykinin receptor antagonists INVENTOR (S): Burns, Robert Frederick, Jr.; Gitter, Bruce Donald;

Monn, James Allen; Zimmerman, Dennis Michael PATENT ASSIGNEE (S): Eli Lilly and Co., USA

SOURCE: Can. Pat. Appl., 143 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2148053	AA	19951030	CA 1995-2148053	19950427
EP 694535	A1	19960131	EP 1995-302707	19950424
R: AT, BE, CH,	DE, DK	, ES, FR, C	GB, GR, IE, IT, LI, L	U, NL, PT, SE
ZA 9503311	A	19961024	ZA 1995-3311	19950424
BR 9501770	A	19951121	BR 1995-1770	19950425
AU 9517656	A1	19951109	AU 1995-17656	19950426
CN 1113236	A	19951213	CN 1995-104725	19950426
NO 9501613	A	19951030	NO 1995-1613	19950427
FI 9502064	A	19951030	FI 1995-2064	19950428
HU 70637	A2	19951030	ни 1995-1249	19950428
JP 08109169	A2	19960430	JP 1995-105297	19950428
PRIORITY APPLN. INFO.:			US 1994-235401	A 19940429
OTHER SOURCE(S):	CASREA	CT 124:289	536; MARPAT 124:28953	6

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. [I; R1, R2 = H, C1-C12 alkyl, C1-C6 alkoxy, etc.; R3 = H, NO2, C1-C6 alkanoyl, etc.], useful in treatment of CNS disorders, acute and chronic obstructive airway diseases, inflammatory diseases, allergies, cutaneous diseases, etc., were prepared and formulated. Condensation of 4,3-H2N(O2N)C6H3OH with 3,4,5-(MeO)3C6H2COCl in PhNMe2/PhMe followed by reaction of the intermediate II with PhCHO under H2 in the presence of Pd/C in DMF, cyclization of the intermediate III using POCl3/CHCl3, deprotection of the 6-OH group with 1N NaOH/THF and acidification with 1N HC1 afforded I.HC1 [R1 = 3,4,5-(MeO) 3C6H2; R2 = PhCH2; R3 = 6-OH] which showed IC50 of 1.130 µM against binding to human NK-1 receptor in cultured cell assays.

14339-08-3P 14339-10-7P 175712-81-9P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of benzimidazole derivs. as non-peptide tachykinin receptor

antagonists) 14339-08-3 CAPLUS

1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]-, dihydrochloride

(9CI) (CA INDEX NAME)

ANSWER 82 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 HCl

14339-10-7 CAPLUS 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

175712-81-9 CAPLUS RN 1H-Benzimidazole-1-ethanamine, N, N-dimethyl-2-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

5322-96-3P 14339-09-4P 175714-49-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of benzimidazole derivs. as non-peptide tachykinin receptor antagonists)

5322-96-3 CAPLUS 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl- (9CI) (CA INDEX L4 ANSWER 82 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

14339-09-4 CAPLUS 1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl)- (9CI) (CA INDEX

175714-49-5 CAPLUS CN 1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 83 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 08 Mar 1996

ACCESSION NUMBER: 1996:137359 CAPLUS

124:276799 DOCUMENT NUMBER:

Synthesis and characterization of iron(III) complexes TITLE:

with N, N'-bis(2-phenylbenzimidazoly1) methane

Prasad, Magan; Mathur, Pavan AUTHOR (S):

Department Chemistry, University Delhi, Delhi, 110 CORPORATE SOURCE:

SOURCE:

Indian Journal of Chemistry, Section A: Inorganic, Bio-inorganic, Physical, Theoretical & Analytical

Chemistry (1996), 35A(1), 55-6

CODEN: ICACEC; ISSN: 0376-4710 Publications & Information Directorate, CSIR

PUBLISHER: DOCUMENT TYPE: Journal LANGUAGE: English

The potentially bidentate ligand, N, N'-bis(2-phenylbenzimidazolyl) methane (BPBM) was used to synthesize iron(III) complexes, [FeCl3(BPBM)].3H2O and [Fe(NO3)3(BPBM)].H2O. 1H NMR spectra showed both upfield and downfield shifted peaks for ligand protons. The Moessbauer spectral data reveal high spin ferric ion and lower value of isomer shift indicates substantial covalency in Fe(III) ligand bond. The present Fe(III) complexes appear to

activate the oxidation of tetramethylphenylenediamine by mol. oxygen. 94154-68-4P, N, N'-Bis (2-phenylbenzimidazolyl) methane RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (preparation and complexation with iron(III))

94154-68-4 CAPLUS 1H-Benzimidazole, 1,1'-methylenebis(2-phenyl- (9CI) (CA INDEX NAME) ANSWER 83 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●3 H2O

175292-27-0 CAPLUS Iron, [1,1'-methylenebis[2-phenyl-1H-benzimidazole]-N3]tris(nitrato-0)-, monohydrate (9CI) (CA INDEX NAME)

175292-26-9P 175292-27-0P

RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation);

USES (Uses)

(preparation and oxidation of tetramethylphenylenediamine by mol. oxygen in

presence of) 175292-26-9 CAPLUS

Iron, trichloro[1,1'-methylenebis[2-phenyl-1H-benzimidazole]-N3]-,

trihydrate (9CI) (CA INDEX NAME)

H20

ANSWER 84 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN Entered STN: 08 Dec 1995

ED ACCESSION NUMBER:

1995:972519 CAPLUS

DOCUMENT NUMBER: TITLE:

124:145995 Synthesis and biological activity of some new

AUTHOR (S):

2-alkyl-1-(l'-dihydropyridylmethyl)benzimidazoles Mane, D. V.; Shinde, D. B.; Thore, S. N.; Shingare, M.

CORPORATE SOURCE:

Dep. Chem., Dr. Babasaheb Ambedkar Marathawada Univ., Aurangabad, 431 004, India

SOURCE:

Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1995),

34B(10), 917-19 CODEN: IJSBDB: ISSN: 0376-4699

PUBLISHER:

DOCUMENT TYPE:

Publications & Information Directorate, CSIR Journal

LANGUAGE:

English

CASREACT 124:145995 OTHER SOURCE (S):

The synthesis and biol. evaluation of 2-alkyl-1-(1'dihydropyridylmethyl)benzimidazoles I (R = H, Me, Et, Ph; R1 = Me, OMe, OEt; R2 = H, 4-Me, 4-OMe, 2-Me, 3-OMe, 4-Cl, 4-Br, 4-NO2, etc.) are described. The compds. were prepared by condensing benzimidazoles II with HCHO and dihydropyridines III. These compds. have been found to possess

promising antibacterial and antifungal activities. 173470-34-3P 173470-35-4P 173470-36-5P 173470-37-6P 173470-38-7P 173470-39-8P

173470-40-1P 173470-41-2P 173470-42-3P 173470-43-4P 173470-44-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, bactericidal, and fungicidal activity of

(pyridylmethyl)benzimidazoles)

173470-34-3 CAPLUS Ethanone, 1,1'-[1,4-dihydro-2,6-dimethyl-4-phenyl-1-[(2-phenyl-1H-

benzimidazol-1-yl)methyl]-3,5-pyridinediyl]bis- (9CI) (CA INDEX NAME)

ANSWER 84 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

173470-35-4 CAPLUS

Ethanone, 1,1'-[1,4-dihydro-4-(4-methoxyphenyl)-2,6-dimethyl-1-{(2-phenyl-1H-benzimidazol-1-yl)methyl]-3,5-pyridinediyl]bis- (9CI) (CA INDEX NAME)

173470-36-5 CAPLUS

Ethanone, 1,1'-[1,4-dihydro-2,6-dimethyl-4-(4-methylphenyl)-1-[(2-phenyl-1H-benzimidazol-1-yl)methyl)-3,5-pyridinediyl)bis- (9CI) (CA INDEX NAME)

173470-37-6 CAPLUS

3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-phenyl-1-[(2phenyl-1H-benzimidazol-1-yl)methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

ANSWER 84 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

173470-38-7 CAPLUS RN 5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(4-methylphenyl)-1-[(2-phenyl-1H-benzimidazol-1-yl)methyl)-, dimethyl ester (9CI) (CA INDEX NAME)

RN __ 173470-39-8 __CAPLUS-3,5-Pyridinedicarboxylic acid, 4-(4-chlorophenyl)-1,4-dihydro-2,6-dimethyl-1-((2-phenyl-1H-benzimidazol-1-yl)methyl)-, dimethyl ester (9CI) (CA

173470-40-1 CAPLUS 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-(4-nitrophenyl)-CN 1-[(2-phenyl-1H-benzimidazol-1-yl)methyl]-, dimethyl ester (9CI) (CA

ANSWER 84 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

173470-41-2 CAPLUS 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-2,6-dimethyl-4-phenyl-1-((2phenyl-1H-benzimidazol-1-yl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)

RN 173470-42-3 CAPLUS

3.5-Pyridinedicarboxylic acid. 1.4-dihydro-2.6-dimethyl-4-(4-methylphenyl)-1-[(2-phenyl-1H-benzimidazol-1-yl)methyl]-, diethyl ester (9CI) (CA INDEX

173470-43-4 CAPLUS 3,5-Pyridinedicarboxylic acid, 1,4-dihydro-4-(4-methoxyphenyl)-2,6dimethyl-1-[(2-phenyl-1H-benzimidazol-1-yl)methyl)-, diethyl ester (9CI)

05/24/2005

L4 ANSWER 84 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

173470-44-5 CAPLUS 3,5-Pyridinedicarboxylic acid, 4-(4-chlorophenyl)-1,4-dihydro-2,6-dimethyl-1-[(2-phenyl-1H-benzimidazol-1-yl)methyl]-, diethyl ester (9CI) (CA INDEX

ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 14 Nov 1995

1995:915314 CAPLUS ACCESSION NUMBER: 124:75520 DOCUMENT NUMBER:

Discovery of selective dopamine D3 ligands. I. Dimeric TITLE: 2-[4-(3-aminopropoxy)phenyl]benzimidazole antagonists

Wright, Jon: Downing, Dennis: Heffner, Thomas: AUTHOR (S): Pugsley, Thomas: MacKenzie, Robert: Wise, Lawrence Dep. Chemistry and Therapeutics, Div. Warner-Lambert CORPORATE SOURCE:

Company, Ann Arbor, MI, 48105, USA Bioorganic & Medicinal Chemistry Letters (1995), SOURCE:

5(21), 2541-6

CODEN: BMCLE8; ISSN: 0960-894X

Elsevier PUBLISHER: Journal DOCUMENT TYPE: LANGUAGE: English

AB A novel series of dimeric 2-[4-(3-aminopropoxy)phenyl]benzimidazole dopamine (DA) D3 receptor antagonists has been discovered. Most of the dimeric structure is needed for DA binding activity; however, a second basic nitrogen atom is not required. A representative compound had no effects on DA synthesis in rat brain but inhibited spontaneous locomotor activity in mice and stimulated locomotor activity in habituated rats.

164917-18-4P 164917-19-5P 164917-22-0P 164917-23-1P 164917-25-3P 164917-27-5P 164917-29-7P 172753-60-5P 172753-61-6P 172753-62-7P 172753-63-8P 172753-64-9P 172753-65-0P 172753-66-1P 172753-67-2P

172753-68-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(dopaminergic D3 antagonists - dimeric [(aminopropoxy)phenyl]benzimidaz oles)

164917-18-4 CAPLUS

1H-Benzimidazole, 1,1'-(2-butene-1,4-diyl)bis[2-(4-[3-(1pyrrolidinyl)propoxy)phenyl}-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

(Continued) ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

164917-19-5 CAPLUS RN

1-Propanamine, 3,3'-[2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-4,1phenyleneoxy) | bis [N, N-dipropyl-, (E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

164917-22-0 CAPLUS

1-Propanamine, 3,3'-[2-butene+1,4-diylbis(1H-benzimidazole-1,2-diyl-4,1-

phenyleneoxy) | bis [N, N-dimethyl-, (E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

164917-23-1 CAPLUS

1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis[2-[4-[3-(1piperidinyl)propoxy)phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-25-3 CAPLUS

1-Butanamine, N,N'-[2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-4,1phenyleneoxy-3,1-propanediyl) bis (N-butyl-, (E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

164917-27-5 CAPLUS

1-Propanamine, 3,3'-{2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-4,1-

phenyleneoxy) | bis [N, N-diethyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

(Continued) ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

164917-29-7 CAPLUS

1-Propanamine, 3,3'-[1,4-butanediylbis(1H-benzimidazole-1,2-diyl-4,1-phenyleneoxy)]bis[N,N-diethyl- (9CI) (CA INDEX NAME)

172753-60-5 CAPLUS

1-Propanamine, 3,3'-(2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-4,1phenyleneoxy) | bis[N, N-diethyl-, (2) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

172753-63-8 CAPLUS

1H-Benzimidazole, 1,1'-{2-butene-1,4-diyl}bis[2-[4-[3-(4-phenyl-1-

piperazinyl)propoxy)phenyl}-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

172753-64-9 CAPLUS

1-Propanamine, N, N-diethyl-3-[4-[1-[4-(2-methyl-1H-benzimidazol-1-yl)-2butenyl]-1H-benzimidazol-2-yl]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

172753-61-6 CAPLUS

1-Propanamine, 3,3'-(1,6-hexanediylbis{1H-benzimidazole-1,2-diyl-4,1phenyleneoxy) | bis [N, N-diethyl- (9CI) (CA INDEX NAME)

172753-62-7 CAPLUS

1H-Benzimidazole, 1,1'-(2-butene-1,4-diyl)bis[2-[4-[3-(4morpholinyl)propoxy]phenyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

172753-65-0 CAPLUS

1-Propanamine, N, N-diethyl-3-{4-[1-[4-{2-phenyl-1H-benzimidazol-1-yl}-2butenyl]-1H-benzimidazol-2-yl]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

172753-66-1 CAPLUS

1-Propanamine, N,N-diethyl-3-[4-[1-[4-[2-(4-methoxyphenyl)-1H-benzimidazol-1-y1]-2-butenyl]-1H-benzimidazol-2-yl]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

172753-67-2 CAPLUS

1-Propanamine, 3-[4-[1-(4-[2-[4-(3-cyclohexylpropoxy)phenyl]-1Hbenzimidazol-1-yl]-2-butenyl]-1H-benzimidazol-2-yl]phenoxy]-N, N-diethyl-, (E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 85 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

172753-68-3 CAPLUS 1-Propanamine, N,N-diethyl-3-[4-[1-[4-[2-[4-[3-[4-phenyl-1piperazinyl)propoxy[phenyl]-1H-benzimidazol-1-yl]-2-butenyl]-1Hbenzimidazol-2-yl]phenoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 86 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN polymer with 2-[[4-[4-[1-(2-aminoethyl)-5-nitro-lH-benzimidazol-2yl]phenyl]azo]phenyl]ethylamino]ethanol (9CI) (CA INDEX NAME)

CM 1

CRN 159633-55-3 CMF C25 H27 N7 O3

CM

CRN 3779-63-3 CMF C24 H36 N6 O6

ANSWER 86 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 14 Sep 1995

1995:791933 CAPLUS ACCESSION NUMBER: 123:200232 DOCUMENT NUMBER:

Bifunctional dyes for cross-linked nonlinear optical TITLE:

polymers White, Kenneth M.; Cross, Elisa M.; Francis, Cecil V.; AUTHOR (5):

Moshrefzadeh, Robert S. Photonics Res. Lab., 3M Company, St. Paul, MN, CORPORATE SOURCE:

55144-1000, USA ACS Symposium Series (1995), 601 (Polymers for

Second-Order Nonlinear Optics), 401-11

CODEN: ACSMC8; ISSN: 0097-6156 PUBLISHER:

American Chemical Society

DOCUMENT TYPE: English LANGUAGE:

The incorporation of bifunctional (amino alc.), nonlinear optical dyes into Tolonate HDT-based, crosslinked polyurea-polyurethanes via a two-step pole and cure process has produced materials that have significant potential for use in thin film electrooptic devices. Exptl. results for two dyes that have been designed and synthesized for these polymer systems are presented and compared. Second-harmonic generation, electrooptical, and thermally stimulated current measurements have been employed to determine the magnitude of the nonlinear optical response and its temporal stability in these materials. Thermal stability of the response was also

investigated. 159633-59-7 159633-60-0

RL: PRP (Properties) (optical nonlinear polyurea-polyurethanes)

159633-59-7 CAPLUS

Ethanol, 2-[[4-[4-[1-(2-aminoethyl)-5-nitro-1H-benzimidazol-2yl]phenyl]azo]phenyl]ethylamino]-, polymer with Tolonate HDT (9CI) (CA INDEX NAME)

CM 1

SOURCE:

CRN 159633-55-3 CMF C25 H27 N7 O3

CRN 118550-50-8 CMF Unspecified CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 159633-60-0 CAPLUS

1,3,5-Triazine-2,4,6(1H,3H,5H)-trione, 1,3,5-tris(6-isocyanatohexyl)-,

L4 ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 09 Jun 1995

ACCESSION NUMBER: 1995:602401 CAPLUS

123:55882 DOCUMENT NUMBER:

Dimeric benzimidazoles as selective dopamine D3 TITLE: receptor antagonists

INVENTOR (S): Downing, Dennis M.; Wise, Lawrence D.; Wright,

Jonathan L. Warner-Lambert Co., USA PATENT ASSIGNEE(S):

U.S., 11 pp. SOURCE:

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE US 1994-240354 19940510 US 5414010 19950509 19950327 WO 1995-US3814 WO 9530658 19951116 W: AM, AU, BG, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, UA, UZ RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE 9521976 A1 19951129 AU 1995-21976 19950327 AU 9521976 ZA 1995-3751 19950509 19960111 ZA 9503751 US 1994-240354 A 19940510 PRIORITY APPLN. INFO.: W 19950327 WO 1995-US3814

MARPAT 123:55882 OTHER SOURCE(S):

Dimeric benzimidazoles I [wherein R is NR1R2 wherein R1 and R2 are each the same or different and each is alkyl of from 1 to 6 carbon atoms, alkenyl of from 2 to 6 carbon atoms, alkynyl of from 2 to 6 carbon atoms, arylalkyl wherein alkyl is from 1 to 6 carbon atoms, 2-thienylalkyl wherein alkyl is from 1 to 6 carbon atoms or R1 and R2 together with the nitrogen which they substitute form a 1-piperidinyl, or 1-pyrrolidinyl ring or R is II; X is alkyl of from 2 to 6 carbon atoms, alkenyl of from 2 to 6 carbon atoms, or alkynyl of from 2 to 6 carbon atoms; Y is O(CH2)n wherein n is an integer of from 2 to 6, or CONH(CH2)p wherein p is zero or an integer of from 1 to 6; and 2 is hydrogen, hydroxyl, alkyl of from 1 to 6 carbon atoms, alkoxy of from 1 to 6 carbon atoms, or Y--R wherein Y and R are as defined above; and corresponding isomers thereof; or a pharmaceutically acceptable acid addition salt thereof) are described, as well as methods for the preparation and pharmaceutical composition of same,

are useful as central nervous system agents and are particularly useful as antipsychotic agents and for the treatment of disorders which respond to dopaminergic blockade including psychotic depression, substance abuse, and

L4 ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Contincompulsive disorders. Thus, e.g., alkenylation of 2-[4-[3-(1+ (Continued) pyrrolidinyl)propoxy)phenyl]-lH-benzimidazole (prepn. given) with trans-1,4-dichloro-2-butene afforded (E)-1,1'-(2-butene-1,4-diyl)bis(2-[4-(3-(1-pyrrolidinyl)propoxy)phenyl)-lH-benzimidazole) which inhibited [3H]spiperone binding to human D3 receptors with IC50 = 9 nM vs. 56 nM for human D2 receptors.

164917-19-5P RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES

(dimeric benzimidazoles as selective dopamine D3 receptor antagonists)

1-Propanamine, 3,3'-[2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-4,1phenyleneoxy) | bis(N, N-dipropyl-, (E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

164917-18-4P 164917-20-8P 164917-22-0P 164917-23-1P 164917-24-2P 164917-25-3P 164917-26-4P 164917-27-5P 164917-28-6P 164917-29-7P 164917-30-0P 164917-31-1P 164917-32-2P 164917-33-3P 164917-34-4P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (dimeric benzimidazoles as selective dopamine D3 receptor antagonists)

164917-18-4 CAPLUS 1H-Benzimidazole, 1,1'-(2-butene-1,4-diyl)bis[2-[4-[3-(1-

pyrrolidinyl)propoxy[phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-23-1 CAPLUS

1H-Benzimidazole, 1,1'-(2E)-2-butene-1,4-diylbis[2-[4-[3-(1piperidinyl)propoxy)phenyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

164917-24-2 CAPLUS

1-Propanamine, 3,3'-[2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-3,1phenyleneoxy))bis(N,N-diethyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-20-8 CAPLUS

1-Propanamine, 3,3'-[2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-4,1phenyleneoxy) | bis[N, N-dipropyl-, {Z}- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

164917-22-0 CAPLUS

1-Propanamine, 3,3'-[2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-4,1phenyleneoxy) | bis(N, N-dimethyl-, (E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

(Continued) L4 ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

164917-25-3 CAPLUS
1-Butanamine, N,N'-[2-butene-1,4-diylbis(lH-benzimidazole-1,2-diyl-4,1phenyleneoxy-3,1-propanediyl)]bis[N-butyl-, (E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

164917-26-4 CAPLUS

1-Propanamine, 3,3'-[2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-4,1phenyleneoxy) | bis [N-methyl-N-(1-methylethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-27-5 CAPLUS 1-Propanamine, 3,3'-[2-butene-1,4-diylbis(1H-benzimidazole-1,2-diyl-4,1phenyleneoxy)|bis(N,N-diethyl-, (E)- (9C1) (CA INDEX NAME)

Double bond geometry as shown.

164917-28-6 CAPLUS 1-Propanamine, 3,3'-[1,4-butanediylbis(1H-benzimidazole-1,2-diyl-4,1phenyleneoxy)}bis(N,N-dipropyl- (9CI) (CA INDEX NAME)

ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-31-1 CAPLUS

1-Propanamine, 3-[4-[1-[4-[2-(4-methoxyphenyl)-1H-benzimidazol-1-yl]-2butenyl]-1H-benzimidazol-2-yl]phenoxy]-N,N-dipropyl- (9CI) (CA INDEX NAME)

164917-32-2 CAPLUS

1-Propanamine, 3-{4-{1-{4-{2-{4-{3-{4-phenyl-1-piperazinyl)propoxy}phenyl}-1H-benzimidazol-1-yl]-2-butenyl]-1H-benzimidazol-2-yl]phenoxy]-, (E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

164917-33-3 CAPLUS

Benzamide, 4,4'-[1,4-butanediylbis(1H-benzimidazole-1,2-diyl)]bis[N-[(1ethyl-2-pyrrolidinyl)methyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-29-7 CAPLUS

1-Propanamine, 3,3'+[1,4-butanediylbis(1H-benzimidazole-1,2-diyl-4,1-phenyleneoxy)]bis[N,N-diethyl- (9CI) (CA INDEX NAME)

164917-30-0 CAPLUS

1H-Benzimidazole, 1,1'-(2-butene-1,4-diyl)bis[2-phenyl- (9CI) (CA INDEX

ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

164917-34-4 CAPLUS RN

Benzamide, 4,4'-[1,4-butanediylbis(1H-benzimidazole-1,2-diyl)]bis[N-[2-CN (diethylamino)ethyl] - (9CI) (CA INDEX NAME)

Et2N-CH2-CH2-NH-C

IT 164917-38-8P, 4,4'-(1,4-Butanediyldi-1H-benzimidazol-1,2diyl)benzoic acid, dimethyl ester 164917-39-9P, 4,4'-(1,4-Butanediyldi-1H-benzimidazol-1,2-diyl)benzoic acid RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (dimeric benzimidazoles as selective dopamine D3 receptor antagonists)

164917-38-8 CAPLUS Benzoic acid, 4,4'-[1,4-butanediylbis(1H-benzimidazole-1,2-diyl)]bis-,

dimethyl ester (9CI) (CA INDEX NAME)

TITLE:

.4 ANSWER 87 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 164917-39-9 CAPLUS
CN Benzoic acid, 4,4'-[1,4-butanediylbis(lH-benzimidazole-1,2-diyl)]bis(9CI) (CA INDEX NAME)

L4 ANSWER 88 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

benzimidazole]-2,2'-diyl]-1,3-phenylene] (9CI) (CA INDEX NAME)

L4 ANSWER 88 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 11 Apr 1995 ACCESSION NUMBER: 1995:479084 CAPLUS DOCUMENT NUMBER: 123:256451

Alkene epoxidations catalyzed by Mo(VI) supported on imidazole-containing polymers. II. Recycling of polybenzimidazole-supported Mo(VI) in the epoxidation

of cyclohexene
AUTHOR(S): Miller, Matthew H.; Sherrington, David C.

CORPORATE SOURCE: Department of Pure and Applied Chemistry, University of Strathclyde, Scotland, G1 1 XL, UK

SOURCE: Journal of Catalysis (1995), 152(2), 377-83
CODEN: JCTLA5; ISSN: 0021-9517

PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Mo(VI) has been supported on a polybenzimidazole resin and used as an epoxidn. catalyst in the reaction of tert-Bu hydroperoxide (TBHP) with cyclohexene. A preliminary kinetic study has suggested that mass transfer of TBHP might be rate-limiting. The activation energy is higher than that of an analogous homogeneous reaction catalyzed by MoO2(acac)2. Nevertheless, the supported catalyst is highly active and has been recycled nine times with no detectable loss of Mo from the support, but with a decline in activity. Activation of the polymer catalyst by pretreatment with TBHP for periods up to 48 h does not influence the activity of the catalyst on first use; however, higher activity is retained on recycling. The imidazole ligand on the polymer appears to bind the Mo centers very effectively and Mo leaching is not responsible for the decay in activity on recycling. The most likely explanation for this is the blockage of access to catalytic sites in the polymer by accumulation of side-products (oligomer) from cyclohexene or its epoxide.

accumulation of side-products (oligomer) from cyclohexene or its epoxide.

IT 168784-20-1D, reaction product with epichlorohydrin and

(aminomethy1)pyridine, molybdenum complex RL: CAT (Catalyst use); USES (Uses)

(alkene epoxidn. catalyzed by Mo(VI) supported on modified

polybenzimidazole) 168784-20-1 CAPLUS

CN Poly[[1-[2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl][5,5'-bi-lH-benzimidazole]-2,2'-diyl]-1,3-phenylene] (9CI) (CA INDEX NAME)

N 159633-56-4 CAPLUS
N Acetamide, N-{4-(1-(2-(acetylamino)ethyl)-5-nitro-1H-benzimidazol-2-yl}phenyl]- (9CI) (CA INDEX NAME)

RN 159633-57-5 CAPLUS CN 1H-Benzimidazole-1-ethanamine, 2-(4-aminophenyl)-5-nitro- (9CI) (CA INDEX NAME)

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L4 ANSWER 89 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
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IT 159633-59-7P
RL: DEV (Device component use); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)

(preparation and nonlinear optical properties and waveguide applications of azobenzimidazole containing polymers)

RN 159633-59-7 CAPLUS
CN Ethanol, 2-[[4-[[4-[1-(2-aminoethyl)-5-nitro-lH-benzimida2ol-2yl)phenyl]azo]phenyl]ethylamino]-, polymer with Tolonate HDT (9CI) (CA
INDEX NAME)

CM 1

CRN 159633-55-3 CMF C25 H27 N7 O3

CM 2

CRN 118550-50-8 CMF Unspecified

CMF Unspecified CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L4 ANSWER 90 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CM 1

CRN 159633-55-3 CMF C25 H27 N7 O3

CM 2

CRN 3779-63-3 CMF C24 H36 N6 O6

L4 ANSWER 90 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 19 Jan 1995

ACCESSION NUMBER: 1995:300940 CAPLUS

DOCUMENT NUMBER: 1333.300340 CAPAGE

TITLE: Orientational relaxation in cross-linked nonlinear

optical polymers
AUTHOR(S): White, K. M.; Cross, E. M.

CORPORATE SOURCE: Photon. Res. Lab., 3M Co., St. Paul, MN, 55144~1000, USA

Journal of Applied Physics (1995), 77(2), 833-6

CODEN: JAPIAU: ISSN: 0021-8979
PUBLISHER: American Institute of Physics

DOCUMENT TYPE: Journal LANGUAGE: English

AB Stability of the electro-optic coefficient of a poled and crosslinked nonlinear optical azobenzimidazole-containing polymer is reported at 85, 100, and 110 °C. The observed decay, which is due to orientational relaxation of the nonlinear optical dyes in the films, is discussed in terms of several proposed models. The introduction of a continuously varying relaxation time, which occurs when considering phys. aging during the stability tests, is observed to account for orientational relaxation over a long time

period. T 159633-59-7 159633-60-0

RL: PRP (Properties)
(orientational relaxation in crosslinked nonlinear optical

azobenzimidazole-containing polymers)

RN 159633-59-7 CAPLUS

CN Ethanol, 2-[{4-[{4-(1-(2-aminoethyl)-5-nitro-1H-benzimidazol-2yl]phenyl}azo]phenyl]ethylamino]-, polymer with Tolonate HDT (9CI) (CA INDEX NAME)

CM 1

SOURCE:

CRN 159633-55-3 CMF C25 H27 N7 O3

CM 2

CRN 118550-50-8

CMF Unspecified CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 159633-60-0 CAPLUS

1,3,5-Triazine-2,4,6(1H,3H,5H)-trione, 1,3,5-tris(6-isocyanatohexyl)-,
polymer with 2-[[4-[[4-{1-(2-aminoethyl)-5-nitro-1H-benzimidazol-2y1]phenyl]azo]phenyl]ethylamino]ethanol (9CI) (CA INDEX NAME)

L4 ANSWER 91 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 15 Dec 1994

ACCESSION NUMBER: 1995:246557 CAPLUS DOCUMENT NUMBER: 122:134163

TITLE: Benzimidazole-derivatized azo compounds and polymers derived therefrom for nonlinear optics

INVENTOR(S): Cross, Elisa M.; Francis, Cecil V.

PATENT ASSIGNEE(S): Minnesota Mining and Manufacturing Co., USA

SOURCE: U.S., 11 pp CODEN: USXXAM DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
US 5321084	A 19940614	US 1993-89936	19930712
CA 2164508	AA 19950126	CA 1994-2164508	19940421
WO 9502581	A1 19950126	WO 1994-US4358	19940421
W: CA, JP			
RW: AT, BE, CH,	DE, DK, ES, FR, GB	, GR, IE, IT, LU, MC,	NL, PT, SE
EP 708757	A1 19960501	EP 1994-915401	19940421
R: DE, FR, GB,	IT, NL		
JP 09500159	T2 19970107	JP 1994-504526	19940421
PRIORITY APPLN. INFO.:		US 1993-89936	A 19930712
		WO 1994-US4358	W 19940421
OTHER SOURCE(S):	MARPAT 122:134163		

O₂N N=N NEt

N=N NET

N=N NET

AB Second order nonlinear optically-active azo monomer containing a benzimidazole group are manufactured and polymerized to prepare linear and crosslinked polymers

having a large μβ product and good solubility, which operate for long periods of time at -40 to 80° without significant relaxation. Thus, reaction of 2-(N-ethylanilino)ethanol with 2-isocyanatoethyl methacrylate, and coupling of the product with diazotized 1-{2-hydroxyethyl}-2-(4-aminophenyl)-5-nitrobenzimidazole gave a monomer I, which was free-radically polymerized to give a polymer with weight- and number-average mol. weight 354,000, and 54,645, resp., and glass temperature

138°. IT 159633-59-7P 159633-60-0P

RL: IMF (Industrial manufacture); PREP (Preparation)

(benzimidazole-derivatized azo compds. and polymers derived therefrom for nonlinear optics)

RN 159633-59-7 CAPLUS

CN Ethanol, 2-[[4-[4-[1-(2-aminoethyl)-5-nitro-1H-benzimidazol-2-yl]phenyl]azo]phenyl]ethylamino]-, polymer with Tolonate HDT (9CI) (CA INDEX NAME)

ANSWER 91 OF 142 CAPLUS COPYRIGHT 2005 ACS OR STN (Continued) CM 1

CRN 159633-55-3 CMF C25 H27 N7 O3

CM

CRN 118550-50-8

CMF Unspecified

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

159633-60-0 CAPLUS 1,3,5-Triazine-2,4,6(1H,3H,5H)-trione, 1,3,5-tris(6-isocyanatohexyl)-, polymer with 2-[(4-[(4-[1-(2-aminoethyl)-5-nitro-1H-benzimidazol-2yl]phenyl]azo]phenyl]ethylamino]ethanol (9CI) (CA INDEX NAME)

CM 1

CRN 159633-55-3 CMF C25 H27 N7 O3

CM

CRN 3779-63-3 CMF C24 H36 N6 O6

ANSWER 91 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 91 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

159633-55-3P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(benzimidazole-derivatized azo compds. and polymers derived therefrom

for nonlinear optics) 159633-55-3 CAPLUS

Ethanol, 2-[[4-[[4-[1-(2-aminoethyl)-5-nitro-lH-benzimidazol-2yl]phenyl]azo]phenyl]ethylamino]- (9CI) (CA INDEX NAME)

159633-57-5P IT

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(manufacture and coupling of diazotized)

159633-57-5 CAPLUS

1H-Benzimidazole-1-ethanamine, 2-{4-aminophenyl}-5-nitro- (9CI) (CA INDEX

IT 159633~56-4P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

Acetamide, N-(4-[1-[2-(acetylamino)ethyl]-5-nitro-1H-benzimidazol-2-

(manufacture and hydrolysis of) 159633-56-4 CAPLUS

yl]phenyl]- (9CI) (CA INDEX NAME)

ANSWER 92 OF 142 CAPLUS COPYRIGHT 2005 ACS OR STN

Entered STN: 15 Oct 1994 ACCESSION NUMBER:

1994:579485 CAPLUS

DOCUMENT NUMBER: 121:179485

Preparation of labeled fibrinogen receptor TITLE: antagonists.

Weisenberger, Johannes; Schubert, Hans Dieter; Switek,

INVENTOR (S): Karl Heinz; Linz, Guenter; Himmelsbach, Frank

Thomae, Dr. Karl, G.m.b.H., Germany PATENT ASSIGNEE(S): SOURCE: Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

German LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 567967	Al	19931103	EP 1993-106725	19930426
EP 567967	B1	19960710		
R: AT, BE, CH,			GB, GR, IE, IT, LI, LU,	NL, PT, SE
DE 4214245	Al	19931104	DE 1992-4214245	19920430
AT 140225	E	19960715	AT 1993-106725	19930426
ES 2092170	T3	19961116	ES 1993-106725	19930426
CA 2094963	AA	19931029	CA 1993-2094963	19930427
NO 9301528	A	19931029	NO 1993-1528	19930427
NO 180046	В	19961028		
NO 180046	С	19970205		
AU 9337153	A1	19931104	AU 1993-37153	19930427
AU 670778	B2	19960801		
JP 06050977	A2	19940225	JP 1993-100789	19930427
us 5677466	A	19971014	US 1995-477667	19950523
RIORITY APPLN. INFO.:	••		DE 1992-4213930	A 19920428
		•	DE 1992-4214245	A 19920430

OTHER SOURCE(S): MARPAT 121:179485 Fibrinogen receptor antagonists having binding affinity ≥ that of 1251-fibrinogen, having in the presence of foreign protein an affinity (Kp) of < 500 nM with respect to the receptor, and having ≥ 1 detectable atom, were prepared Thus, (3S,5S)-5-((4'-amidino-3-bromo-4biphenylyl)oxymethyl]-3-[(methoxycarbonyl)methyl]-2-pyrrolidinone hydrochloride (preparation given) in DMF was treated with tritium gas in the presence of Pd/C to give (35,55)-5-[(4'-amidino-3-tritio-4biphenylyl)oxymethyl]-3-[(methoxycarbonyl)methyl]-2-pyrrolidinone hydrochloride of 98.8% radiochem. purity. This was saponified with aqueous NaOH/MeOH to give (35,58)-5-[(4'-amidino-3-tritio-4-biphenylyl)oxymethyl)-3-(carboxymethyl)-2-pyrrolidinone (3H-BIBU 52). A curve showing displacement of 3H-BIBU 52 by unlabeled BIBU 52 from human thrombocytes in

US 1993-55176

B1 19930428

157446-29-2P

the presence of plasma is given.

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as fibrinogen receptor antagonist)

157446-29-2 CAPLUS

 β -Alanine, N-[[2-[4-(aminoiminomethyl)phenyl]-1-[2-[1piperazinyl)ethyl]-1H-benzimidazol-5-yl]carbonyl]-, labeled with tritium (9CI) (CA INDEX NAME)

Page 96

ANSWER 92 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

157578-10-4P 157578-11-5P

(9CI) (CA INDEX NAME)

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as intermediate for labeled fibrinogen receptor antagonist)

157578-10-4 CAPLUS

 β -Alanine, N-{[2-[4-(aminoiminomethyl)phenyl]-1-[2-(1piperazinyl)ethyl]-lH-benzimidazol-5-yl)carbonyl)-, methyl ester (9CI)

(CA INDEX NAME)

157578-11-5 CAPLUS β -Alanine, N-[{2-[4-(aminoiminomethyl)phenyl]-1-[3-(4thiomorpholinyl)propyl]-1H-benzimidazol-5-yl[carbonyl]-, methyl ester ANSWER 92 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

ANSWER 93 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 19 Mar 1994 1994:134533 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 120:134533 Substituted benzimidazolyl derivatives, therapeutic agents containing them and process for their preparation INVENTOR (S): Hauel, Norbert; Ries, Uwe; Narr, Berthold; Van Meel, Jacques; Wienen, Wolfgang; Entzeroth, Michael PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany SOURCE: Eur. Pat. Appl., 31 pp. CODEN: EPXXDW DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. DATE APPLICATION NO. DATE 19930915 EP 560330 EP 1993-103854 19930310 A2 EP 560330 А3 19940427 DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE R: AT, BE, CH, DE 4207904 19930916 DE 1992-4207904 19920312 A1 CA 2091415 19930913 CA 1993-2091415 19930310 JP 06049038 19940222 JP 1993-49766 19930311 US 5459147 19951017 US 1994-237710 19940503 PRIORITY APPLN. INFO.: DE 1992-4207904 19920312 US 1993-25303 B1 19930302 OTHER SOURCE(S): MARPAT 120:134533

ANSWER 93 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

152893-33-9 CAPLUS 1H-Benzimidazole, 6-{(2-butyl-1H-benzimidazol-1-yl)methyl}-2-phenyl-1-(1Htetrazol-5-ylmethyl)- (9CI) (CA INDEX NAME)

152893-40-8 CAPLUS

3H-Imidazo[4,5-b]pyridine, 2-ethyl-5,7-dimethyl-3-[[2-phenyl-1-(1Htetrazol-5-ylmethyl)-1H-benzimidazol-5-yl]methyl)- (9CI) (CA INDEX NAME)

152893-41-9 CAPLUS 3H-Imidazo(4,5-b)pyridine, 2-ethyl-5,7-dimethyl-3-[[2-phenyl-1-(1Htetrazol-5-ylmethyl)-1H-benzimidazol-6-yl]methyl]- (9CI) (CA INDEX NAME)

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds., { (benzimidazolyl) methyl | benzimidazoles I (R = alkyl, cycloalkyl, etc.; R1 = carboxy, cyano, tetrazolyl, etc.; R2 = alkyl, cycloalkyl, etc.) and their uses for the preparation of angiotensin II antagonist-containing pharmaceuticals are claimed. For example, 1-[(hydroxycarbonyl)methyl]-2-phenyl-5-[(2-ethyl-4,6-dimethylimidazo[4,5b]pyridin-1-yl)methyl]benzimidazole (II) was prepared by saponification of the corresponding ester. Also prepared was 1-[(hydroxycarbonyl)methyl]-2-phenyl-6-([(2-propyl-4-methyl-6-(1-methyl-2-benzimidazolyl)-1benzimidazolyl]methyl]benzimidazole (III).

152893-32-8 152893-33-9 152893-40-8

152893-41-9 152893-43-1 152893-45-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation as angiotensin II antagonist) 152893-32-8 CAPLUS

1H-Benzimidazole, 5-{(2-butyl-1H-benzimidazol-1-y1)methyl}-2-phenyl-1-(1H-

tetrazol+5-ylmethyl) - (9CI) (CA INDEX NAME)

ANSWER 93 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

152893-43-1 CAPLUS 2,6'-Bi-1H-benzimidazole, 1,4'-dimethyl-1'-({2-phenyl-1-(lH-tetrazol-5ylmethyl)-1H-benzimidazol-5-yl}methyl]-2'-propyl- (9CI) (CA INDEX NAME)

152893-45-3 CAPLUS 2,6'-Bi-1H-benzimidazole, 1,4'-dimethyl-1'-[(2-phenyl-1-(1H-tetrazol-5ylmethyl)-1H-benzimidazol-6-yl]methyl]-2'-propyl- (9CI) (CA INDEX NAME)

ANSWER 94 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

137756-17-3 CAPLUS 2H-Quinolizine, octahydro-1-{[2-(4-nitrophenyl)-5-(trifluoromethyl)-1Hbenzimidazol-1-yl]methyl)-, (1S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

137756-18-4 CAPLUS 2H-Quinolizine, 1-{[2-(4-chlorophenyl}-5-(trifluoromethyl)-1H-benzimidazol-1-y1]methyl]octahydro-, (1S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 94 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 11 Jan 1992

ACCESSION NUMBER: 1992:186 CAPLUS DOCUMENT NUMBER: 116:186

Preparation and pharmacological activity of some TITLE: 1-lupinylbenzimidazoles and 1-lupinylbenzotriazoles Boido, Alessandro; Vazzana, Iana; Sparatore, Fabio; AUTHOR (S):

Cenicola, Maria Luigia; Donnoli, Donato; Marmo, Emilio Ist. Sci. Farm., Univ. Genova, Genoa, 16132, Italy Farmaco (1991), 46(6), 775-88 CORPORATE SOURCE: SOURCE:

CODEN: FRMCE8: ISSN: 0014-827X

DOCUMENT TYPE:

LANGUAGE: English

AB Twelve new 1-lupinylbenzimidazole and 1-lupinylbenzotriazole derivs. were prepared and, together with some previously described analogs, were tested for analgesic (hot-plate test), anti-inflammatory (against carrageenan edema), diuretic, and antihypertensive (in spontaneously hypertensive rats) activities. Several compds. exhibited a good degree of activity in one or in more than one areas.

137739-78-7F 137739-79-8F 137756-17-3F

137756-18-4P RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation and pharmacol. of, structure in relation to)

137739-78-7 CAPLUS

2H-Quinolizine, octahydro-1-[[2-phenyl-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]-, (15-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

137739-79-8 CAPLUS

2H-Quinolizine, octahydro-1-[[2-(4-methoxyphenyl)-5-(trifluoromethyl)-1Hbenzimidazol-1-yl)methyl]-, (1S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

(Continued) L4 ANSWER 94 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

L4 ANSWER 95 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 01 Nov 1991

ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: 1991:583176 CAPLUS

115:183176
2-Phenyl-3H-imidazo[4,5-b]pyridine-3-acetamides as nonbenzodiazepine anticonvulsants and anxiolytics Tomczuk, Bruce E.; Taylor, C. R., Jr.; Moses, L.

AUTHOR(S):

Tomczuk, Bruce E.; Taylor, C. R., Jr.; Moses, L.
Meredith; Sutherland, Deborah B.; Lo, Young S.;
Johnson, David N.; Kinnier, William B.; Kilpatrick,
Brian F.
CORPORATE SOURCE:
Dep. Chem. Res., A. H. Robbins Co., Richmond, VA,

23261-6609, USA SOURCE: Journal of Medicinal Chemistry (1991), 34(10),

2993-3006 CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:183176

A series of 2-phenyl-3H-imidazo[4,5-b]pyridine-3-acetamides e.g. I [R = H, R1 = 4-ClC6H4, R2 = H (II); R = Me, R1 = 4-MeC6H4, R2 = Cl (III)] were designed and synthesized as nonbenzodiazepine anxiolytics based on a mol. disconnection of a typical 1,4-benzodiazepine (BZD). A number of these compds. showed submicromolar potency in a [3H]benzodiazepine binding assay in vitro and good potency in protecting rodents against pentylenetetrazole-induced seizures. II appears to be a selective anticonvulsant (pentylenetetrazole) agent when tested against a profile of chemical and elec. induced seizures in mice. In addition, III appears to be a selective anxiolytic/hypnotic agent on the basis of biochem. and pharmacol. characterization. It appears to be a full BZD agonist as assessed by GABA shift ratio and to be effective in punishment and nonpunishment animal models of anxiety. In addition, it shows a lower side-effect profile than diazepam as assessed by rotored neurotoxicity and potentiation of ethanol-induced sleep time in mice. The chemical and structure-activity relationships of this series is discussed.

RL: SPN (Synthetic preparation): PREP (Preparation)
(preparation and anticonvulsant and anxiolytic activity of)
RN 135429-04-8 CAPLUS

CN 1H-Benzimidazole-1-acetamide, 2-(4-chlorophenyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)

L4 ANSWER 95 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

L4 ANSWER 96 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 19 Apr 1991 ACCESSION NUMBER: 1991:143242 CAPLUS DOCUMENT NUMBER: 114:143242

TITLE: Synthesis and antiviral activity of Mannich bases of 2-[(2-oxo-4-methyl-7-hydroxyquinolinyl)-1-(4-aryl)]benzimidazole

AUTHOR(S): Srivastava, Archana Jyoti; Saxena, V. K.: Chowdhury, B. L.

CORPORATE SOURCE: Chem. Dep., Lucknow Univ., Lucknow, 226 007, India

SOURCE: Indian Drugs (1990), 28(2), 75-7

CODEN: INDRBA; ISSN: 0019-462X DOCUMENT TYPE: Journal

LANGUAGE: English

HO NO Me

HO NO ME

RR¹NCH2N

RR¹NCH2N

AB Title compds. I (R = CH2CH2OH, Et, Me, Ph, Rl = CH2CH2OH, Ph, Et; RR1N = morpholino, piperidino) were prepared by reacting o-phenylenediamine with quinolinylbenzoic acid II followed by a Mannich reaction with RR1NH and aqueous HCHO. I were tested against Ranikhet Disease Virus and only I (R = Me, Rl = Ph) had virucidal activity.

Me, R1 = Ph) had virucidal activity.

132765-61-8P 132765-62-9P 132765-63-0P 132765-64-1P 132765-65-2P 132765-66-3P

132765-67-4P 132765-68-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and virucidal activity of)

RN 132765-61-8 CAPLUS
CN 2(1H)-Quinolinone, 7-hydroxy-4-methyl-1-[4-[1-(4-morpholinylmethyl)-1H-benzimidazol-2-yl)phenyl]- (9CI) (CA INDEX NAME)

4 ANSWER 96 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 132765-62-9 CAPLUS
CN 2(1H)-Quinolinone, 1-{4-[1-[[bis(2-hydroxyethyl)amino]methyl]-1H-benzimidazol-2-yl]phenyl]-7-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

N 132765-63-0 CAPLUS
N 2(1H)-Quinolinone, 1-[4-(1-[(ethylphenylamino)methyl]-1H-benzimidazol-2-yl]phenyl]-7-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

RN 132765-64-1 CAPLUS

L4 ANSWER 96 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN 2(1H)-Quinolinone, 7-hydroxy-4-methyl-1-[4-[1-[(methylphenylamino)methyl]-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

132765-65-2 CAPLUS

2(1H)-Quinolinone, 7-hydroxy-4-methyl-1-[4-[1-[(4-methyl-1piperazinyl)methyl]-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

132765-66-3 CAPLUS

2(1H)-Quinolinone, 1-[4-[1-[(diethylamino)methyl]-1H-benzimidazol-2yl]phenyl]-7-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 96 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

132765-67-4 CAPLUS

2(1H)-Quinolinone, 1-[4-{1-[(diphenylamino)methyl]-1H-benzimidazol-2yl]phenyl]-7-hydroxy-4-methyl- (9CI) (CA INDEX NAME)

132765-68-5 CAPLUS

2(1H)-Quinolinone, 7-hydroxy-4-methyl-1-[4+[1-(1-piperidinylmethyl)-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

ANSWER 97 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN Entered STN: 21 Jan 1990 ΕD 1990:20944 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 112:20944

Chemistry of benzotriazole. Preparation, lithiation TITLE: and transformation of N-(benzotriazol-1-ylmethyl)

heterocycles

AUTHOR (S):

Katritzky, Alan R.; Drewniak-Deyrup, Malgorzata; Lan, Xiangfu; Brunner, Frederic

Dep. Chem., Univ. Florida, Gainesville, FL, 32611, USA CORPORATE SOURCE: Journal of Heterocyclic Chemistry (1989), 26(3), SOURCE:

829-36

CODEN: JHTCAD: ISSN: 0022-152X DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOUR

Indole, carbazole, pyrrole, imidazole, benzimidazole, 2-methyl- and 2-phenylbenzimidazole, and 1,2,4-triazole have each been converted into their N-(benzotriazol-1-ylmethyl) derivs. The pyrrole, indole, and carbazole adducts undergo smooth lithiation at the inter-ring methylene group and subsequent reaction with electrophiles. For the imidazole, benzimidazole, and triazole systems, lithiations at other mol. positions

124337-66-2P 124375-76-4P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 124337-66-2 CAPLUS

RN 1H-Benzotriazole-1-ethanol, α,α-diphenyl-β-(2-phenyl-1H-

benzimidazol-1-yl)- (9CI) (CA INDEX NAME)

ANSWER 97 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

124375-76-4 CAPLUS

1H-Benzotriazole, 1-[2-phenyl-1-(2-phenyl-1H-benzimidazol-1-yl)ethyl]-(9C1) (CA INDEX NAME)

124337-38-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, lithiation, and reaction of, with benzoate)

124337-38-8 CAPLUS

1H-Benzotriazole, 1-((2-phenyl-1H-benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 98 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 02 Sep 1988

1988:473437 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 109:73437

Preparation of (1H-imidazol-1-ylmethyl)benzimidazoles TITLE: as inhibitors of androgen biosynthesis Raeymaekers, Alfons Herman M.; Freyne, Eddy Jean E.; INVENTOR(S):

Sanz, Gerard Charles Janssen Pharmaceutica N. V., Belg. PATENT ASSIGNEE(S):

SOURCE: Eur. Pat. Appl., 59 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.			APPLICATION NO.	DATE
		10000333	EP 1987-201702	19870909
EP 260744			EP 1987-201702	13010303
EP 260744				
EP 260744	B1	19921216	u. an	
			, IT, LI, LU, NL, SE	10030303
US 4859684	A.	19890822	US 1987-78435	19870727
AT 83478	E	19930115	AT 1987-201702 ES 1987-201702	19870909
ES 2053524	T3	19940801	ES 1987-201702	19870909
DK 8704794	A	19880316	DK 1987-4794	19870914
		20031006		
FI 8703977			FI 1987-3977	19870914
FI 87781	В	19921113		
FI 87781	С	19930225		
NO 8703840	A	19880316	NO 1987-3840	19870914
NO 167202	В	19910708		
NO 167202	С	19911016		
AU 8778385	A1	19880414	AU 1987-78385	19870914
AU 595064	B2	19900322		
HU 45051		19880530	HU 1987-4071	19870914
HU 198039	В	19890728		
JP 01085975	A2	19890330	JP 1987-228679	19870914
JP 05087071		19931215		
	A	19890426	ZA 1987-6881	19870914
SV 1662350			SU 1987-4203300	19870914
IL 83892		19911121	IL 1987-83892	19870914
			CA 1987-546763	19870914
CN 87106423			CN 1987-106423	19870915
CN 1020903		19930526		
PRIORITY APPLN. INFO.:	-		US 1986-907903 A	19860915
International Actions and Con-			EP 1987-201702 A	

CASREACT 109:73437; MARPAT 109:73437 OTHER SOURCE(S):

For diagram(s), see printed CA Issue. The title compds. [I; A = N:CR2, NR3C(:X); R = H, C1-10 alkyl, R4, R4Z; R1= H, C1-10 alkyl, C3-7 cycloalkyl(alkyl), C1-10 alkoxy, OH, C3-6 alkenyloxy, C3-6 alkynyloxy, R4, R40, R4Z, R4Z1, R5Z2, R6Z3; R2 = H, C3-7 cycloalkyl, halo, CO2H, alkoxycarbonyl, (hetero)aroyl, alkanoyl, quinolinyl, indolinyl, R4, R4Z, R4CH(OH), R5Z2, (un)substituted alkyl, alkenyl, PhO; R3 = H, C1-6 alkyl, R6Z; R4 = (amino)pyridinyl, imidazolyl, thiazolyl, (halo)thienyl, (halo)furanyl, (un)substituted Ph; R5 = R4, R6; R6 = (un) substituted Ph; Z = C1-6 alkylene; Z1 = alkenyleneoxy, alkynyleneoxy; Z2 = alkyleneoxy; Z3 = alkynyleneoxy) and their stereoisomers and pharmaceutically acceptable salts were prepared, useful in L4 ANSWER 98 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN treatment of androgenic hormone-dependent disorders in mammals. 4-{1-(1H-Imidazol-1-yl)propyl]-1,2-benzenediamine (prepn. given) and F3CCO2H were stirred 15 min. at 80° to give 221 (imidazolylpropyl)benzimidazole II. In rats II reduced plasma testosterone levels with an ED50 of <2.5 mg/kg orally.

115575-92-3P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as androgen inhibitor)

115575-92-3 CAPLUS 1H-Benzimidazole, 5-(1H-imidazol-1-ylmethyl)-2-phenyl-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 99 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN Entered STN: 09 Feb 1985 ED

1985:45842 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 102:45842

Improved synthesis of polyazolylmethanes under TITLE: solid-liquid phase-transfer catalysis

AUTHOR (S): Julia, Sebastian: Del Mazo, Jose Maria; Avila, Luis;

Elguero, Jose Dep. Quim. Org., Inst. Quim. Sarria, Barcelona, Spain CORPORATE SOURCE:

Organic Preparations and Procedures International SOURCE:

(1984), 16(5), 299-307 CODEN: OPPIAK; ISSN: 0030-4948

DOCUMENT TYPE: Journal English LANGUAGE:

GI

Di(azolyl)methanes, Tri(azolyl)methanes, and tetra(azolyl)methanes were prepared by treating the azole with H2CCl2, HCCl3, or CCl4 in presence of phase transfer catalysts. Thus, 24 mmol pyrazole was treated with 120 mmol K2CO3 and and 1.2 mmol Bu4N+HSO4- in refluxing HCCl3 (25 mL) overnight to give the tripyrazolylmethane I.

94154-68-4P 94154-72-0P RL: PRP (Properties): SPN (Synthetic preparation): PREP (Preparation)

(preparation and spectra of)

94154-68-4 CAPLUS

1H-Benzimidazole, 1,1'-methylenebis(2-phenyl- (9CI) (CA INDEX NAME)

1H-Benzimidazole, 1,1',1''-methylidynetris[2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 99 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

Entered STN: 18 Aug 1984 ED

1984:455025 CAPLUS

ACCESSION NUMBER:

TITLE:

101:55025

DOCUMENT NUMBER:

Possible anthelmintic compounds. Part-II: Mannich bases from 2-aryl/alkyl-3-

(aryl/alkylbenzimidazolyl)quinazolin-4(3H)-ones

Kulkarni, Y. D.; Kumar, Basant; Abdi, S. H. R. AUTHOR (5): Dep. Chem., Lucknow Univ., Lucknow, 226 007, India CORPORATE SOURCE: Journal of the Indian Chemical Society (1983), 60(9), SOURCE:

906-7 CODEN: JICSAH; ISSN: 0019-4522

Journal DOCUMENT TYPE: LANGUAGE: English

OTHER SOURCE(S): CASREACT 101:55025

The title compds. I [R1 = Ph, o-O2NC6H4O, Me; R2 = iodo, Br, H; R3 = piperidino, morpholino, methylpiperidino, pyrrolidinyl, Me2N; X = o-phenylene, PhCH2CHCO2H (sic)), useful as anthelmintics, were prepared by Mannich reaction of I (CH2R3 = H) with the corresponding amines and CH2O. I (R1 = Ph, R2 = iodo, R3 = piperidino, X = o-C6H4) inhibited Helminthosporium nana 39% in rats (no dosage data).

IT 91045-22-6P 91045-23-7P 91045-24-8P 91045-25-9P 91045-26-0P 91045-27-1P 91045-28-2P 91045-29-3P 91045-30-6P

91045-31-7P 91045-32-BP 91045-35-1P 91045-36-2P 91045-37-3P 91045-38-4P 91045-39-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and anthelmintic activity of)

91045-22-6 CAPLUS

4(3H)-Quinazolinone, 6-iodo-2-phenyl-3-[2-[1-(1-piperidinylmethyl)-1H-

benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

91045-25-9 CAPLUS 4(3H)-Quinazolinone, 6-iodo-3-[2-[1-[(1-methyl-4-piperidinyl)methyl]-1Hbenzimidazol-2-yl]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)

91045-26-0 CAPLUS 4(3H)-Quinazolinone, 6-bromo-2-phenyl-3-(2-(1-(1-piperidinylmethyl)-1Hbenzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

91045-23-7 CAPLUS 4(3H)-Quinazolinone, 6-iodo-3-{2-[1-(4-morpholinylmethyl)-1H-benzimidazol-2-y1}pheny1}-2-pheny1- (9CI) (CA INDEX NAME)

91045-24-8 CAPLUS 4(3H)-Quinazolinone, 6-iodo-3-[2-[1-[(4-methyl-1-piperidinyl)methyl]-1Hbenzimidazol-2-yl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

91045-27-1 CAPLUS 4(3H)-Quinazolinone, 6-bromo-3-[2-[1-(4-morpholinylmethyl)-1H-benzimidazol-2-y1)phenyl]-2-phenyl- (9CI) (CA INDEX NAME)

91045-28-2 CAPLUS 4(3H)-Quinazolinone, 6-bromo-3-[2-[1-[(1-methyl-4-piperidinyl)methyl]-1Hbenzimidazol-2-yl]phenyl}-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

91045-29-3 CAPLUS RN

4(3H)-Quinazolinone, 6-bromo-3-[2-[1-((4-methyl-1-piperidinyl)methyl]-1H-benzimidazol-2-yl)phenyl]-2-phenyl- (9CI) (CA INDEX NAME) CN

91045-30-6 CAPLUS

4(3H)-Quinazolinone, 2-phenyl-3-{2-(1-(1-piperidinylmethyl)-1H-benzimidazol-2-yl)phenyl}- (9CI) (CA INDEX NAME)

91045-31-7 CAPLUS

4(3H)-Quinazolinone, 3-{2-(1-(4-morpholinylmethyl)-1H-benzimidazol-2-

yl]phenyl]-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 91045-37-3 CAPLUS

4(3H)-Quinazolinone, 2-methyl-3-[2-[1-(4-morpholinylmethyl)-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

91045-38-4 CAPLUS

4(3H)-Quinazolinone, 2-methyl-3-[2-(1-(1-piperidinylmethyl)-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

RN 91045-39-5 CAPLUS

4(3H)-Quinazolinone, 3-[2-[1-[(dimethylamino)methyl]-1H-benzimidazol-2-yl]phenyl}-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

91045-32-8 CAPLUS

4(3H)-Quinazolinone, 2-phenyl-3-[2-[1-(1-pyrrolidinylmethyl)-1H-benzimidazol-2-yl]phenyl]- (9CI) (CA INDEX NAME)

91045-35-1 CAPLUS

4(3H)-Quinazolinone, 2-(2-nitrophenoxy)-3-[2-[1-(1-piperidinylmethyl)-1H-benzimidazol-2-yl]phenyl}- (9CI) (CA INDEX NAME)

4(3H)-Quinazolinone, 3-[2-[1-(4-morpholinylmethyl)-1H-benzimidazol-2-

yl)phenyl)-2-(2-nitrophenoxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 100 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

L4 ANSWER 101 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 12 May 1984 ACCESSION NUMBER: 1982:52231 CAPLUS

DOCUMENT NUMBER: 96:52231 Acidic properties of benzimidazoles and substituent TITLE:

effects. V. Protection of benzimidazoles by N-alkyl

bond formation using vinylpyridines Ichikawa, Masataka: Yamamoto, Chiyuki: Hisano, Takuzo AUTHOR (5):

Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, 862, Japan CORPORATE SOURCE: Chemical & Pharmaceutical Bulletin (1981), 29(10), SOURCE:

3042-7 CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 96:52231

AB Vinylpyridines were utilized for protection of the benzimidazole N-H bond to give 1-(2-pyridyethyl)benzimidazoles. The reaction progressed smoothly when HOAc was used as a catalyst. In the alkylation of 5- or 7-substituted 2-arylbenzimidazoles with vinylpyridines, the yield decreased with increasing electron-attracting effect of the substituent groups. The removal of pyridylethyl groups by AlCl3 was used for decomposition of the intermediate AlCl3 adduct. The rate increased somewhat when electron-releasing substituent groups were present in the benzimidazole ring. 1-[2-(2-Pyridyl)ethyl]-2-arylbenzimidazoles were resistant to removal of their (2-pyridyl) ethyl groups. 4-Vinylpyridine can be used

more efficiently as a protecting agent.

80144-55-4P RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(preparation and kinetics of dealkylation of)

80144-55-4 CAPLUS

1H-Benzimidazole, 2-phenyl-1-[2-(2-pyridinyl)ethyl]+ (9CI) (CA INDEX ÇN NAME)

80144-57-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 80144-57-6 CAPLUS

1H-Benzimidazole, 2-phenyl-1-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX

ANSWER 102 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 12 May 1984

1982:6651 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 96:6651

Dealkylation of N-pyridylethyl-2-arylbenzimidazoles by TITLE:

aluminum chloride

Ichikawa, Masataka; Yamamoto, Chiyuki; Hisano, Takuzo AUTHOR (S): Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, 862, Japan CORPORATE SOURCE: Organic Preparations and Procedures International SOURCE:

(1981), 13(5), 353-6 CODEN: OPPIAK; ISSN: 0030-4948

DOCUMENT TYPE: Journal

LANGUAGE: English CASREACT 96:6651 OTHER SOURCE(S):

Dealkylation of I (R = 2- or 4-pyridyl, R1 = Ph, 2- or 4-pyridyl), prepared by alkylation of the corresponding benzimidazole with 2- or 4-vinylpyridine, with AlCl3 in CCl4 5 h at 150° gave II (R1 as above) in 30-40% yields when R = 2-pyridyl and 85-90% yields when R = 4-pyridyl.

80144-55-4P 80144-57-6P

RL: SPN (Synthetic preparation): PREP (Preparation) (preparation and dealkylation by aluminum chloride)

80144-55-4 CAPLUS

1H-Benzimidazole, 2-phenyl-1-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

80144-57-6 CAPLUS 1H-Benzimidazole, 2-phenyl-1-(2-(4-pyridinyl)ethyl)- (9CI) (CA INDEX

ANSWER 101 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

ANSWER 103 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 12 May 1984

ACCESSION NUMBER: 1980:639314 CAPLUS DOCUMENT NUMBER:

93:239314 TITLE:

Synthesis of some new naphthalene-carbamate and benzimidazole derivatives of potential pesticidal

activity

El-Bayouki, Khairy; Hammad, Mahmoud AUTHOR (S):

CORPORATE SOURCE: Natl. Res. Cent., Cairo, Egypt

Egyptian Journal of Chemistry (1980), Volume Date SOURCE:

1977, 20(5), 529-36 CODEN: EGJCA3: ISSN: 0367-0422

Journal DOCUMENT TYPE:

LANGUAGE: English CASREACT 93:239314 OTHER SOURCE(S):

$$R^1$$
 CH= CRCN R^2 R^2 R^1

The naphthalenes I (R = cyano, CO2Et, R1 = OH, O2CNHPh) were obtained in 68-86% yield by treating the naphthaldehydes with RCH2CN. I (RI = O2CNHPh) were also obtained by treating I (R1 = OH) with PhNCO. II (R1 = OH, O2CNHPh, R2 = H) were obtained in 65% yield by condensing I with o-(H2N)2C6H4 and were treated with PhNCO to give II (R = OZCNHPh, RZ = CONHPh).

75825-36-4P ΙT

GI

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 75825-36-4 CAPLUS

1H-Benzimidazole-1-carboxamide, N-phenyl-2-[4-[[(phenylamino)carbonyl]oxy]-1-naphthalenyl) - (9CI) (CA INDEX NAME)

L4 ANSWER 104 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984

1980:620657 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 93:220657

Benzimidazole derivatives with antiinflammatory TITLE:

activity

AUTHOR (S): Boido, A.; Vazzana, I.; Sparatore, F. Ist. Policattedra Sci. Farm., Univ. Genova, Genoa, CORPORATE SOURCE:

Studi Sassaresi, Sezione 2: Archivio Bimestrale di SOURCE: Scienze Mediche e Naturali (1979), 57(5-6), 801-10

CODEN: SSSEAK; ISSN: 0371-3172

Journal

Italian

DOCUMENT TYPE: LANGUAGE:

GI

The o-phenylenediamine derivative I reacted with acid chlorides and imidate esters to yield benzimidazoles II $\{R = 4-02NC6H4CH2, Ph, 4-R1C6H4 (R1 = 64-64)\}$ cl, OMe, NO2), cyclopentylmethyl, 1-cyclopentenylmethyl, Pr, CHMe2, CF3], useful as antiinflammatory agents and sedatives (no data). A mixture of I, PhCOC1, and dioxane was refluxed 4 h to give II (R = Ph). 75584-67-7P 75584-69-9P 75584-70-2P

75584-71-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

75584-67-7 CAPLUS

2H-Quinolizine, octahydro-1-[[2-phenyl-5-(trifluoromethyl)-lH-benzimidazol-1-yl]methyl]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 75584-66-6

CMF C24 H26 F3 N3

ANSWER 104 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2

CRN 88-89-1 CMF C6 H3 N3 O7

75584-69-9 CAPLUS 2H-Quinolizine, 1-([2-(4-chlorophenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]methyl]octahydro-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 75584-68-8 CMF C24 H25 C1 F3 N3

CRN 88-89-1 CMF C6 H3 N3 O7

ANSWER 104 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

02N

75584-70-2 CAPLUS

2H-Quinolizine, octahydro-1-[[2-(4-methoxyphenyl)-5-(trifluoromethyl)-1H-

benzimidazol-1-yl)methyl)- (9CI) (CA INDEX NAME)

75584-71-3 CAPLUS

2H-Quinolizine, octahydro-1-[[2-(4-nitrophenyl)-5-(trifluoromethyl)-1H-CN

benzimidazol-1-yl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

ANSWER 105 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984 ACCESSION NUMBER: 1980:514558 CAPLUS

DOCUMENT NUMBER: 93:114558

N-Substituted heterocyclics TITLE:

INVENTOR (S): Schromm, Kurt; Mentrup, Anton; Renth, Ernst Otto; Fuegner, Armin; Streller, Ilse

Boehringer, C. H., Sohn, Fed. Rep. Ger. PATENT ASSIGNEE (S):

SOURCE: Ger. Offen., 45 pp...

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

	German		
FAMILY ACC. NUM. COUNT:	1		
PATENT INFORMATION:			
	WIND DAME	APPLICATION NO.	DATÉ
PATENT NO.	KIND DATE	APPLICATION NO.	DAIE
DE 2833140	A1 19800207	DE 1978-2833140	19780728
DE 2833140 DE 2833140	C2 19910627		13/00/20
			19790721
EP 8653	A1 19800319 B1 19820616		13/30/24
EP 8653 EP 8653	B2 19880504		
	DE, FR, GB, IT,		
AT 1193	E 19820715		19790721
JP 55020783	A2 19800214		19790726
JP 01044704	B4 19890929		13/30/20
DK 7903176	A 19800129		19790727
DK 155737	B 19890508		13/30.2
DK 155737	c 19891030		
FI 7902356	A 19800129		19790727
FI 75562	В 19880331	. =	15/50/4
FI 75562	c 19880711		
NO 7902485	A 19800129		19790727
No 151364	B 19841217		
NO 151364	c 19850327		
AU 7949303	A1 19800131		19790727
AU 528003	B2 19830331		<u>-</u>
ES 482888	A1 19800416		19790727
ES 482897	A1 19800416	ES 1979-482897	19790727
ES 482898	A1 19800416		19790727
ZA 7903861	A 19810325		19790727
CA 1132550	A1 19820928	CA 1979-332719	19790727
IL 57916	A1 19831031	IL 1979-57916	19790727
US 4378361	A 19830329	US 1981-285713	19810722
US 4581367	A 19860408		19821123
us 4647563	A 19870303	US 1985-806692	19851209
PRIORITY APPLN. INFO.:		DE 1978-2833140	A 19780728
		EP 1979-102580	A 19790721
		US 1979-60389	Al 19790725
		US 1980-156928	Al 19800606
		US 1981-285713	A3 19810722
		1000 443010	

US 1982-443912

A3 19821123

GI

05/24/2005

4 ANSWER 105 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Ethanolamines I [R1 = H, acyl; R2 = H, R10, NHSO2R7, NHCOR8, NHCONHR8, NHCH2C6H4R9, CH2OH, CH2SO2R7, CONHR8, halo, cyano; R3 = H, halo, R7, OR7; R2R3 = NHCOCH2O, NHC(O)O, NHCOCH:CH; R4 = H, Me, Et; R5, R6 independently = H, Me; R7 = C1-4 alkyl; R8 = H, C1-4 alkyl; R9 = H, C1-4 alkyl, C1-4 alkoxy, oxaalkoxy; R10 = H, C1-4 alkyl, Ph, pyridyl; R11, R12 independently = H, Me, C1, OMe, R11R12 = OCH2O; Q = Q1, Q2, Q3, Q4; X = CR10, N; X1 = CH2, CO], their racemates, enantiomers, diastereoisomeric antipodal pairs, and their acid addition salts, useful as bronchodilators, spasmolytics, vasodilators, antihypertensives, and for treatment of allergy (no data), were prepared by 3 methods. Thus, 4-PhCH2OC6H4COCH2Br and 1-(aminopropyl)benzimidazole stirred 1 h in MeCN at 30-40° gave a precipitate of hydrobromide and mother liquor from which was isolated benzimidazole II (R13 = PhCH2, R14R15 = O) as the maleate. This was successively converted into the free base (NH4OH), reduced (NaBH4-EtOH), and hydrogenolyzed (over Pd/C in MeOH) to give 83% ethanolamine II (R13 = R14 = H, R15 = OH).

7 3865-67-5P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) RN 73865-67-5 CAPLUS

CN Benzenemethanol, α -[[[1,1-dimethyl-3-(2-phenyl-1H-benzimidazol-1-yl)propyl]amino]methyl]-2-fluoro-4-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 106 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 73265-46-0 CAPLUS
CN 1H-Benzimidazole, 1,1'-(1,4-piperazinediylbis(methylene))bis(2-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 106 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

D Entered STN: 12 May 1984

ACCESSION NUMBER: 1980:146718 CAPLUS DOCUMENT NUMBER: 92:146718

TITLE: Search for new anthelmintics: Part I. Synthesis of

piperazine derivatives
AUTHOR(S): Tiwari, S. S.; Pandey, M. P.

CORPORATE SOURCE: Chem. Dep., Lucknow Univ., Lucknow, 226007, India SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1979),

18B(4), 379-81

CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 92:146718

AB 1,4-Disubstituted piperazines I (R = optionally substituted Ph, PhCH:CH, 2-ClC6H4S, PhOCH2) and II (R1 = H, Me, Et, Ph, 2-ClC6H4) were prepared. The compds. had amebicidal activity and some I also had anthelmintic activity.

IT 73265-45-9P 73265-46-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and amebicidal activity of)

RN 73265-45-9 CAPLUS
CN 1H-Benzimidazole, 1,1'-{1,4-piperazinediylbis(methylene)]bis{2-phenyl-

(9CI) (CA INDEX NAME)

ANSWER 107 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984 ED 1979:492439 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 91:92439 Linear and crosslinked polybenzimidazoles TITLE: INVENTOR(S): Sheratte, Martin B. PATENT ASSIGNEE(S): Acurex Corp., USA U.S., 10 pp. SOURCE: CODEN: USXXAM DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 4154919 A 19790515 US 1976-719264 19760831

PRIORITY APPLN. INFO.: US 1976-719264 A 19760831

Polybenziomidazoles (I, R = arylene, alkylene, cycloalkylene,
methylenediphenylene, sulfonyldiphenylene, carbonyldiphenylene,
oxydiphenylene; R1 = arylene or cycloalkylene; R3 = lower alkyl, alkoxy,
halo; x = 0-4), having good thermal properties, were prepared Thus, 0.01
mol 4,4'-bis(o-aminoanilino)biphenyl [40850-43-9] and 0.01 mol phthalic
anhydride were mixed in 10 mL phenol and heated 4 h at 50° to give
a foamed prepolymer [63100-69-6] having inherent viscosity 0.26 (0.5% in
m-cresol). The prepolymer was further heated 1 h at 400° to give a
tough polymer foam with inherent viscosity 0.79.

IT 71170-13-3P

RL: PREP (Preparation)

(preparation of heat-resistance)

RN 71170-13-3 CAPLUS

CN Poly(1H-benzimidazole-1,2-diyl-1,2-phenylene-1H-benzimidazole-2,1-diyl-1,6-hexanediyl) (9CI) (CA INDEX NAME)

L4 ANSWER 107 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 108 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984 1979:7597 CAPLUS ACCESSION NUMBER: 90:7597 DOCUMENT NUMBER: Phenylbenzimidazolylfurans TITLE: Meyer, Hans Rudolf; Weber, Kurt INVENTOR (S): PATENT ASSIGNEE (S): Ciba-Geigy A.-G., Switz. Ger. Offen., 124 pp. SOURCE: CODEN: GWXXBX DOCUMENT TYPE: Patent German

LANGUAGE: GG FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2807008	Al	19780824	DE 1978-2807008	19780218
CH 619337	A3	19800930	CH 1977-16179	19771229
CH 619337	В	19810331		
US 4189589	A	19800219	US 1978-876587	19780210
NL 7801882	A	19780824	NL 1978-1882	19780220
CA 1111044	A1	19811020	CA 1978-297283	19780220
SU 1075988	A3	19840223	SU 1978-2581900	19780220
BE 864138	A1	19780821	BE 1978-185320	19780221
SE 7801991	A	19780823	SE 1978-1991	19780221
SE 444318	В	19860407		
SE 444318	C	19860717		
BR 7801032	A	19781219	BR 1978-1032	19780221
FR 2392989	Al	19781229	FR 1978-4948	19780221
FR 2392989	Bl	19800613		
ES 467154	Al	19790116	ES 1978-467154	19780221
AU 7833479	A1	19790830	AU 1978-33479	19780221
AU 513775	B2	19801218		
DD 137939	С	19791003	DD 1978-203763	19780221
AT 356053	В	19800410	AT 1978-1244	19780221
GB 1574891	A	19800910	GB 1978-6886	19780221
JP 53105529	A2	19780913	JP 1978-18655	19780222
JP 01041161	B4	19890904		
US 4264325	A	19810428	US 1979-54043	19790702
CH 638805	A	19831014	CH 1980-2158	19800319
PRIORITY APPLN. INFO.:			LU 1977-76819	A 19770222
			CH 1977-16179	A 19771229
			US 1978-876587	A3 19780210

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ED

TITLE:

ACCESSION NUMBER:

DOCUMENT NUMBER:

INVENTOR (5):

Entered STN: 12 May 1984

ANSWER 109 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

88:50920

1978:50920 CAPLUS

Piperazine and piperidine derivatives

Vandenberk, Jan; Kennis, Ludo E. J.; Van der Aa,

19850612

A 19760402

A 19761221

A 19770331

A3 19780206

Al 19791026

JP 1985-126384

US 1976-672919 US 1976-753062

JP 1977-35560

US 1979-88703

US 1978-875342

ANSWER 108 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Numerous 2-phenyl-5-(2-benzimidazolyl) furans and their quaternary derivs., e.g. I [68502-55-6] and II [68502-57-8], were prepared for use as fluorescent whiteners for cellulosic and synthetic fibers or plastics. The compds. were obtained by condensing 2-phenyl-5-furancarbonyl halides with o-phenylenediamines, or by cyclizing o-amino azomethines prepared by condensing 2-phenyl-5-furanaldehydes with o-phenylenediamines, and optionally quaternizing the products. Thus, condensation of 2-(4-chlorophenyl)-5-furanaldehyde [34035-03-5] with 2,4-MeNH (MeSO2) C6H3NH2 [68502-54-5] in refluxing EtOH, addition of PhNO2, of the EtOH, and heating the mixture at reflux gave colorless crystalline I. Quaternization of I with Me2SO4 gave II, a flourescent whitener for acrylic, acid-modified polyester, and polyamide fibers and for paper. 68504-03-0P 68528-30-3P RL: PREP (Preparation) (manufacture of, as fluorescent brightener) 68504-03-0 CAPLUS 1H-Benzimidazolium, 3-(2-amino-2-oxoethyl)-2-(5-(4-chlorophenyl)-2furanyl)-1-methyl-5-(phenoxysulfonyl)-, chloride (9CI) (CA INDEX NAME) ● c1-ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE 68528-30-3 CAPLUS 1H-Benzimidazolium, 3-{2-amino-2-oxoethyl}-2-{5-(4-chlorophenyl}-2furanyl]-1-methyl-5-(methylsulfonyl)-, chloride (9CI) (CA INDEX NAME) сн₂- с- ин₂

Marcel J. M. C.; Van Heertum, Albert H. M. T. PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg. Ger. Offen., 94 pp. SOURCE: CODEN: GWXXBX DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT: PATENT INFORMATION: DATE APPLICATION NO. PATENT NO. KIND DATE 19771020 19770331 DE 2714437 Al DE 1977-2714437 DE 2714437 C2 19890511 19770309 19780716 ES 456690 Al ES 1977~456690 19770310 19771028 FR 1977-7106 FR 2346350 Al B1 19801017 FR 2346350 BE 1977-175736 19770314 19770914 A2 BE 852405 19770318 CA 1977-274240 CA 1097646 A1 19810317 19770324 CS 1977-1972 CS 191337 Ъ 19790629 GB 1579365 A 19801119 GB 1977-12754 19770325 A2 19771014 JP 1977-35560 19770331 JP 52122380 JP 62031707 В4 19870709 19770331 AU 1977-23824 19781005 A1 AU 7723824 **B2** 19810319 AU 515173 19770331 IL 1977-51797 IL 51797 A1 19810913 19771003 19770401 DK 7701459 DK 1977-1459 DK 153477 19880718 19881121 С DK 153477 19770401 19771003 FI 1977-1020 FI 7701020 А 19840531 FI 66178 В FI 66178 19840910 19771003 SE 1977-3842 19770401 SE 7703842 A 19840130 SE 431333 В SE 431333 С 19840510 19771004 19770401 NL 1977-3564 NL 7703564 A В NL 190522 19931101 NL 190522 С 19940405 19770401 19771004 NO 1977-1168 NO 7701168 В 19820830 NO 146774 С 19821208 NO 146774 19770401 19781129 ZA 1977-2000 ZA 7702000 19770401 SU 683621 D 19790830 SU 1977-2468056 19770401 19791215 AT 1977-2304 AT 7702304 В 19800710 AT 357541 19770401 0 19820227 HU 1977-JA782 HU 21854 В 19821028 HU 179491 19770401 CH 1977-4154 CH 634317 A 19830131 19780206 19800429 US 1978-875342 US 4200641 19810210 US 1979-49779 19790618 US 4250176 А US 1981-286438 19810724 19830322 US 4377578

A2

JP 61005068

JP 62030990

PRIORITY APPLN. INFO.:

19860110

19870706

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

(Continued) L4 ANSWER 109 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN CASREACT 88:50920 OTHER SOURCE(S):

Piperazines I and II (X = NH, NCMe: CH2, NCH2CH2CO2Et, NCH2Ph, NAc, NCONHMe, NMe, NCH2OH, NPh, NCH2CO2H, O, S; R = H, C1, CF3, Me; R1 = H, 6-C1, 6-Me, 7-C1; R2 = Ph, 4-FC6H4, 4-C1C6H4, 3-C1C3H4, 4-FC6H4, 2-C1C6H4; R3 = Ph, 4-FC6H4, 4-BrC6H4, 4-MeC6H4, 4-O2NC6H4, 2-pyridyl, 3-pyridyl, 2,5-Me2C6H3, 4-pyridyl; R4 = H, Et, SMe, Me, Ph, SH, cyclohexyl, CH2Ph, NHCO2Me, NH2, NHAc; n = 2-6) (more than 85 compds.) were prepared I (X = NH, R = R1 = H, R2 = R3 = Ph, n = 3, III) was prepared by treating chloropropylbenzimidazolone with N-diphenylmethylpiperazine. III was antihistaminic in guinea pig ileum test at 0.005 mg/L.

II

65215-49-8P 65215-50-1P 65215-51-2P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 65215-49-8 CAPLUS

1H-Benzimidazole, 1-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl}-2-phenyl-(9CI) (CA INDEX NAME)

65215-50-1 CAPLUS 1H-Benzimidazole, 5-chloro-1-[3-(4-(diphenylmethyl)-1-piperazinyl)propyl]-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 110 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984 1975:140010 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 82:140010 Reactions of cyanomethylbenzimidazoles. I. Synthesis TITLE:

of 1- and 2-cyanomethylbenzimidazoles and some of

their derivatives

Sawlewicz, Jozef; Milczarska, Barbara AUTHOR (S):

Inst. Technol. Drug Anal., Med. Acad., Gdansk, Pol. CORPORATE SOURCE:

SOURCE: Polish Journal of Pharmacology and Pharmacy (1974),

26(6), 639-46 CODEN: PJPPAA; ISSN: 0301-0244

DOCUMENT TYPE: Journal English LANGUAGE:

For diagram(s), see printed CA Issue. Cyanomethylbenzimidazoles I (R,R1 = H, Me) were prepared by treating the o-phenylenediamines with NCCH2CO2Et. I were converted to their amidoximes and thioamides. II (R2 = H, Me, Et, Pr, Ph) were prepared by treating the benzimidazoles with ClCH2CN and were hydrolyzed to their amides and acids.

54980-93-7P RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 54980-93-7 CAPLUS

1H-Benzimidazole-1-acetamide, 2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 109 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 65215-51-2 CAPLUS 1H-Benzimidazole, 1-[2-[4-(diphenylmethyl)-1-piperazinyl]ethyl]-2-phenyl-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HC1

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ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
     Entered STN: 12 May 1984
                         1971:476797 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         75:76797
                         Benzimidazole compounds
TITLE:
                         Hasegawa, Gen; Maruyama, Hiroshi
INVENTOR (S):
                         Yoshitomi Pharmaceutical Industries, Ltd.
PATENT ASSIGNEE (S):
SOURCE: .
                         Jpn. Tokkyo Koho, 4 pp.
                         CODEN: JAXXAD
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         Japanese
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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DATE

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DATE
PATENT NO.
                        KIND
                               19710311
For diagram(s), see printed CA Issue.
I, useful as analgesics, antiinflammatants, excitants, etc. are manufactured
Adduct of 4-(2-dimethylaminoethoxy)benzaldehyde with NaHSO3 (17 g) and 10
g 2-(3-dimethylaminopropylamino)aniline in 200 ml EtOH are refluxed 8 hr,
the mixture poured over 300 ml H2O containing Na2SO3, extracted with CHCl3,
extract treated with HCl to give 14.1 g I.3HCl [Rl = 3-dimethylaminopropyl, R2 = 4-(2-dimethylaminoethoxy), R3 = H], m. 139-43^{\circ} (150-PrOH).
Similarly prepared are I (R1, R2, R3, and m.p. of the hydrochloride given):
3-dimethylaminopropyl, 4-(3-morpholinopropoxy), H, 141-8°;
3-dimethylamino propyl, 4-(2-piperidinoethoxy), Cl, 109-12°;
3-dimethylamino propyl, 3-(4-methyl-1-piperazinyl)propoxy, Cl,
233-6°; 3-dimethylaminopropyl, 4-(2-dimethylaminoethoxy), H,
139-43*; 3-dimethylaminopropyl, 4-(3-dimethylaminopropoxy), Cl,
175-7°; 3-dimethylaminopropyl, 4-(3-dimethylaminopropoxy), C1, 175-7°; 3-dimethylaminopropyl, 4-(3-dimethylaminopropoxy), H, 130-2°; 3-dimethylaminopropyl, 4-NMe2, H, 201-3°;
3-dimethylaminopropyl, 4-(3-diethylaminopropoxy), H, 117-19°;
3-dimethylaminopropyl, 4-[2-(4-methyl-1-piperazinyl)ethoxy], H,
251-60°; 3-dimethylaminopropyl, 4-[2-(4-methyl-1-piperazinyl)propoxy], H, 214-16°; 3-dimethylaminopropyl,
4-(3-piperidinopropoxy), H, 112-15°; 3-dimethylaminopropyl, 4-[2-(4-methyl-1-piperazinyl)ethoxy], Cl, 95-101°;
4-dibutylaminobutyl, 2-(2-diethylaminoethoxy), H, 197-202°;
2-diethylaminoethyl, 2-{2-diethylaminoethoxy}, H, 221-30°;
3-dimethylaminopropyl, 4-(3-morpholinopropoxy), OMe, 123-5°;
3-dimethylaminopropyl, 4-(3-dimethylaminopropoxy), OMe, 118-24°
3-di-o-chlorophenylaminopropyl, 4-(3-piperidinopropoxy), H, 160-5°.
33158-96-2P 33158-98-4P 33158-99-5P
33159-00-1P 33159-01-2P 33159-02-3P
33159-03-4P 33159-04-5P 33159-05-6P
33159-06-7P 33159-07-8P 33159-08-9P
33159-09-0P 33159-10-3P 33159-11-4P
33159-12~5P
RL: SPN (Synthetic preparation); PREP (Preparation)
    (preparation of)
33158-96-2 CAPLUS
Benzimidazole, 2-(p-[2-(dimethylamino)ethoxy]phenyl]-1-(3-
 (dimethylamino)propyl]-, trihydrochloride (BCI) (CA INDEX NAME)
```

APPLICATION NO.

4 ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(CH₂)₃-NMe₂ N O-CH₂-CH₂-NMe₂

●3 HCl

RN 33158-98-4 CAPLUS
CN Benzimidazole, 6-chloro-1-[3-(dimethylamino)propyl]-2-[p-(2-piperidinoethoxy)phenyl]-, trihydrochloride (8CI) (CA INDEX NAME)

C1 N O- CH2- CH2-- N

●3 HCl

RN 33158-99-5 CAPLUS
CN Benzimidazole, 6-chloro-1-{3-(dimethylamino)propyl]-2-[m-{3-(4-methyl-1-piperazinyl)propoxy}phenyl}-, tetrahydrochloride (8CI) {CA INDEX NAME}

• 4 HCl

RN 33159-00-1 CAPLUS
CN Benzimidazole, 6-chloro-2-[p-[3-(dimethylamino)propoxy]phenyl]-1-[3-(dimethylamino)propyl]-, trihydrochloride (8CI) (CA INDEX NAME)

L4 ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(CH₂)₃-NMe₂

O- (CH₂)₃-NEt₂

●3 HCl

RN 33159-04-5 CAPLUS
CN Benzimidazole, 1-[3-(dimethylamino)propyl]-2-[p-[2-{4-methyl-1-piperazinyl)ethoxy]phenyl]-, tetrahydrochloride (BCI) (CA INDEX NAME)

(CH₂)₃-NMe₂

●4 HCl

RN 33159-05-6 CAPLUS
CN Benzimidazole, 1-[3-(dimethylamino)propyl]-2-[p-[3-(4-methyl-1-piperazinyl)propoxy]phenyl}-, tetrahydrochloride (8CI) (CA INDEX NAME)

(CH₂) 3 - NMe₂

N
O- (CH₂) 3 - N
N
N

●4 HCl

RN 33159-06-7 CAPLUS
CN Benzimidazole, 1-[3-(dimethylamino)propyl]-2-[p-(3-piperidinopropoxy)phenyl]-, trihydrochloride (8CI) (CA INDEX NAME)

05/24/2005

L4 ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

C1 N O- (CH2) 3-NMe2

●3 HCl

RN 33159-01-2 CAPLUS
CN Benzimidazole, 2-(p-[3-(dimethylamino)propoxy]phenyl]-1-[3-(dimethylamino)propyl]-, trihydrochloride (8CI) (CA INDEX NAME)

(CH₂) 3 - NMe₂

N
O- (CH₂) 3 - NMe₂

● 3 HCl

RN 33159-02-3 CAPLUS
CN Benzimidazole, 2-[p-(dimethylamino)phenyl]-1-[3-(dimethylamino)propyl]-,
dihydrochloride (8CI) (CA INDEX NAME)

(CH₂)₃-NMe₂

●2 HCl

RN 33159-03-4 CAPLUS
CN Benzimidazole, 2-{p-[3-(diethylamino)propoxy}phenyl]-1-[3-(dimethylamino)propyl]-, trihydrochloride (8CI) (CA INDEX NAME)

L4 ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(CH₂)₃-NMe₂

•3 HCl

RN 33159-07-8 CAPLUS
CN Benzimidazole, 6-chloro-1-[3-(dimethylamino)propyl]-2-[p-(2-(4-methyl-1-piperazinyl)ethoxy]phenyl]-, tetrahydrochloride (8CI) (CA INDEX NAME)

●4 HCl

RN 33159-08-9 CAPLUS
CN Benzimidazole, 1-[4-(dibutylamino)butyl]-2-[p-[2(diethylamino)ethoxy]phenyl]-, monohydrochloride (8CI) (CA INDEX NAME)

(CH₂) 4 - N(Bu-n)₂

N
O-CH₂- CH₂- NEt₂

● HC1

RN 33159-09-0 CAPLUS
CN Benzimidazole, 2-[o-[2-(diethylamino)ethoxy]phenyl]-1-[2-(diethylamino)ethyl]-, trihydrochloride (BCI) (CA INDEX NAME)

(Continued) L4 ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

●3 HC1

33159-10-3 CAPLUS

Benzimidazole, 1-[3-(dimethylamino)propyl)-6-methoxy-2-[p-(3morpholinopropoxy)phenyl]-, monohydrochloride (8CI) (CA INDEX NAME)

#C1

33159-11-4 CAPLUS RN

Benzimidazole, 2-[p-[3-(dimethylamino)propoxy]phenyl]-1-[3-CN (dimethylamino)propyl)-6-methoxy-, trihydrochloride (8CI) (CA INDEX NAME)

●3 HC1

33159-12-5 CAPLUS

Benzimidazole, 1-{3-{bis(o-chlorophenyl)amino}propyl}-2-[p-{3piperidinopropoxy)phenyl]-, trihydrochloride (8CI) (CA INDEX NAME)

ANSWER 112 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 12 May 1984 ΕD

ACCESSION NUMBER: 1971:436031 CAPLUS 75:36031

DOCUMENT NUMBER:

Benzimidazole compounds TITLE:

Hasegawa, Gen; Maruyama, Hiroshi INVENTOR(S): Yoshitomi Pharmaceutical Industries, Ltd.

PATENT ASSIGNEE(S): Jpn. Tokkyo Koho, 5 pp. SOURCE:

CODEN: JAXXAD

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE JP 46009580 **B4** 19710311 For diagram(s), see printed CA Issue. I, useful as an analgesic, antiinflammatory, excitant, etc., is prepared In AB an example, an adduct of PhCHO with H2SO3 is refluxed with 2-[(3-morpholinopropyl)amino)amiline in iso-PrOH for 6 hr to give I.2HCl (R1 = 3-morpholinopropy1, R2 = R3 = H), m. 264-6° (iso-PrOH).Similarly prepared are 31 addnl. I. 32926-95-7P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

32926-95-7 CAPLUS RN Benzimidazole, 1-(3-morpholinopropyl)-2-phenyl- (8CI) (CA INDEX NAME) CN

ANSWER 111 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●3 HC1

ANSWER 113 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984 ACCESSION NUMBER: 1971:420403 CAPLUS

DOCUMENT NUMBER: 75:20403

Benzimidazole derivatives TITLE: INVENTOR(S): Hasegawa, Hajime; Maruyama, Hiroshi

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd. SOURCE: Jpn. Tokkyo Koho, 4 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent

Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE JP 45039542 **B4** 19701212

For diagram(s), see printed CA Issue. The title compds. (I), with central inhibitory, excitation, analgesic, antiinflammatory, or vasodilation effects, are prepared by cyclocondensation of II and III. Thus, a mixture of p-HOC6H4CHO.NaHSO3, II (R = Me, R1 = H, A = C3H6), and MeOH was refluxed 6 hr to give I.2HCl.3.5H2O (R = Me, R1 = H, R2 = p-Ho, A = C3H6), m. 185-7° (EtOH-H2O). Similarly prepared were

27 addnl. I. 32584-02-49

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

32584-02-4 CAPLUS Phenol, p-[1-[3-(dimethylamino)propyl]-2-benzimidazolyl]-, dihydrochloride

(8CI) (CA INDEX NAME)

●2 HCl

```
L4 ANSWER 114 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
    Entered STN: 12 May 1984
ACCESSION NUMBER:
                        1971:420398 CAPLUS
DOCUMENT NUMBER:
                         75:20398
                        Antiinflammatory and analgesic 2-(o-
TITLE:
                         fluorophenyl)benzimidazoles
                         Rohrbach, Philippe; Karadavidoff, Isac
INVENTOR(S):
PATENT ASSIGNEE (S):
                         Manufactures J.R. Bottu
SOURCE:
                         Ger. Offen., 10 pp.
                         CODEN: GWXXBX
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         German
FAMILY ACC. NUM. COUNT:
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PATENT NO. KIND DATE APPLICATION NO. ----19701008 19710422 DE 1970-2049377 DE 2049377 Α FR 1969-34673 19691010 FR 2068402 AS 19710827 NL 7014662 19710414 NL 1970-14662 19701006 FR 1969-34673 A 19691010 PRIORITY APPLN. INFO.:

For diagram(s), see printed CA Issue. The title compds. (I; R = H, Ac, Et, and Et2NCH2CH2), useful, e.g. for treating rheumatism or as hypnotics, were prepared by alkylation or acetylation of 2-(o-fluorophenyl)benzimidazole (II) or by reaction of N-alkyl-o-nitroanilines with o-FC6H4COCl, reduction of the NO2 group to the NH2 group and ring closure in dilute HCl to give I. Thus, II, prepared from o-FC6H4CO2H and o-(H2N)2C6H4, was refluxed with Ac2O 6 hr to give 88% I (R = Ac). I (R = Et) had LD50 1000 mg/kg in mice on oral administration. 32385-57-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 32385-57-2 CAPLUS

Benzimidazole, 1-{2-(diethylamino)ethyl}-2-(o-fluorophenyl)- (8CI) (CA

INDEX NAME)

PATENT INFORMATION:

ANSWER 115 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

34325-18-3 CAPLUS

Benzimidazole, 6-methoxy-2-(o-methoxyphenyl)-1-[3-(N-methylanilino)propyl]-CN (8CI) (CA INDEX NAME)

L4 ANSWER 115 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984 ACCESSION NUMBER: 1971:405903 CAPLUS

75:5903 DOCUMENT NUMBER: TITLE: Benzimidazole derivatives

INVENTOR (S): Hasegawa, Hajime; Maruyama, Hiroshi PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd.

Jpn. Tokkyo Koho, 4 pp. SOURCE: CODEN: JAXXAD

DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE ----19671113 JP 45039543 B4 19701212 JP For diagram(s), see printed CA Issue.

The title compds. (I), useful as drugs having central inhibitor, excitation, analgesic, antiinflammatory, or vasodilatation effect, are prepared by cyclocondensation of II and III. Thus, a mixture of PhCHO.NaHSO3 and 2-{3-{dimethylamino}propylamino}-4-methoxyaniline in iso-PrOH was refluxed 4 hr to give I.2HCl.3H2O (R = Me, R1 = H), m. 151-3° (iso-PrOH). Similarly were prepared 10 addnl. I and 1-[2-(dibenzylamino)ethyl]-2-phenyl-6-methoxybenzimidazole and 1-(3-N-phenyl-N-methylaminopropyl)-2-(o-methoxyphenyl)-6-

methoxybenzimidazole. 32275-65-3P 32275-66-4P 34325-18-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 32275-65-3 CAPLUS

Benzimidazole, 1-{3-(dimethylamino)propyl}-6-methoxy-2-phenyl-,

dihydrochloride (8CI) (CA INDEX NAME)

●2 HC1

32275-66-4 CAPLUS Benzimidazole, 1-[2-(dibenzylamino)ethyl]-6-methoxy-2-phenyl- (8CI) (CA

ANSWER 116 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 12 May 1984 ACCESSION NUMBER: 1971:405901 CAPLUS

DOCUMENT NUMBER: 75:5901

Benzimidazole derivatives TITLE:

INVENTOR (S): Hasegawa, Hajime: Maruyama, Hiroshi Yoshitomi Pharmaceutical Industries, Ltd. PATENT ASSIGNEE(S):

SOURCE: Jpn. Tokkyo Koho, 4 pp.

CODEN: JAXXAD Patent

DOCUMENT TYPE: Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE PATENT NO KIND DATE APPLICATION NO. JP 45039541 **B4** 19701212

For diagram(s), see printed CA Issue. The title compds. (I), useful as drugs having central inhibitor, excitation, antiinflammatory, analgesic, of vasodilatation effects, are prepared by cyclocondensation of II and III. Thus, a mixture of piperonal,

NaHSO3, II (R1 = Me, R2 = H, A = C3H6), and iso-PrOH was refluxed 2 hr to give I.HCl.2.5H2O (R1 \Rightarrow Me, R2 = H, (R3R4 \Rightarrow) methylenedioxy, A \Rightarrow C3H6], m. 235-7° (iso-PrOH). Similarly were prepared 29 addnl. I.

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 32286-72-9 CAPLUS

Benzimidazole, 1-[3-(dimethylamino)propyl]-2-[3,4-(methylenedioxy)phenyl]-, dihydrochloride (8CI) (CA INDEX NAME)

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L4 ANSWER 117 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN
    Entered STN: 12 May 1984
ED
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1970:20389 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 72:20389 Antisecretory compounds of a new structure and mode of

Decsi, L.; Mehes, J.; Hideg, K.; Hankovszky, O. K.; AUTHOR (S): Varszegi, M. K.

Med. Sch., Pecs, Hung. CORPORATE SOURCE:

Conf. Hung. Ther. Invest. Pharmacol., Soc. Pharmacol. SOURCE: Hung., 4th (1968), Meeting Date 1966, 269-72.

Editor(s): Dumbovich, B. Akad. Kiado: Budapest, Hung.

CODEN: 21PFAR DOCUMENT TYPE: Conference

English LANGUAGE:

For diagram(s), see printed CA Issue.

Of 12 benzimidazole (I) derivs. examined for their possible inhibitory effect on gastric secretion in the rat, 3 of these, viz., compds. H-291, H-635, and H-274 (R1 = H, H, and Ph, resp.: R2 = CH2OH, piperidinoethyl (A), and A, resp.) exhibited rather high therapeutic ratios, i.e., low toxicity accompanied by a good antisecretory effect. This antisecretory action, which could not be explained on the basis of atropinelike effect, appeared to be due, at least in part, to a selective block of the parasympathetic ganglia without influencing transmission in the sympathetic ganglia, representing a new type of pharmacodynamic action. Since H-635 (representative of all 3 I derivs.) was also capable of abolishing the effects of direct chemical stimulation of the hypothalamus (i.e ., the rage reaction evoked by an intrahypothalamic injection of carbachol into cats), this indicated that the compds. could profoundly alter the function of that part of the brain which is primarily responsible for the regulation of gastric acid and gastric juice secretion. This hypothalamic effect and the parasympathetic ganglion-blocking action both play a part in the antisecretory activity of I derivs. The ratios of the LD50 values after oral and i.p. administration, which could be regarded as an approx. measure of intestinal absorption, were much higher for H-635 in the mouse and the rat than for novo-atropine or Pro-Banthine. Since H-635, in addition to its novel pharmacodynamic action, strongly inhibited intestinal mobility, afforded a certain degree of protection against restraint ulcer and, to a lesser extent, against Shay ulcer, and was well tolerated in chronic toxicity tests, it has been recommended for clin. pharmacol. trial.

5295-00-1 5322-96-3 5322-97-4 14339-09-4 14671-52-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (ulcer-inhibiting activity of)

5295-00-1 CAPLUS

Benzimidazole, 1-{2-(diethylamino)ethyl)-2-phenyl- (7CI, 8CI) (CA INDEX

ANSWER 118 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 12 May 1984 1969:500211 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

71:100211

TITLE:

Effects of 1-(di(2-chloroethyl)aminomethyl]benzimidazo le and related compounds on the growth of experimental

tumors

Reddy, V. V. Subba; Sirsi, M.; Revankar, G. R.; AUTHOR (S):

Siddappa, S. Microbiol. Pharmacol. Lab., Indian Inst. Sci.,

CORPORATE SOURCE:

Bangalore, India

SOURCE: Journal of Pharmacy and Pharmacology (1969), 21(9),

CODEN: JPPMAB; ISSN: 0022-3573

DOCUMENT TYPE: Journal

LANGUAGE: English The inhibitory activity of some benzimidazole Mannich-base N mustards on

the growth of exptl. tumors, viz. mouse fibrosarcoma in mice and Yoshida ascites sarcoma in rats has been examined Among the compds. tested 5,6-dichloro-1(di(2-chloroethyl)aminomethyl)benzimidazole and 1-[di(2-chloroethyl)-aminomethyl}-2-phenylbenzimidazole showed an inhibitory effect on mouse fibrosarcoma. 4-Bromo-1-[di(2chloroethyl)amino-methylbenzimidazole, 4-chloro - 1 - [di(2-

chloroethyl)aminomethyl]benzimidazole, and 1-[di(2chloroethyl)aminomethyl]-5-methoxybenzimidazole were active against

Yoshida ascites sarcoma. 13786-65-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(neoplasm inhibition by)

RN 13786-65-7 CAPLUS

1H-Benzimidazole-1-methanamine, N. N-bis(2-chloroethyl)-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 117 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 5322-96-3 CAPLUS 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)

5322-97-4 CAPLUS Benzimidazole, 2-phenyl-1-(2-(1-pyrrolidinyl)ethyl)- (7CI, 8CI) (CA INDEX

14339-09-4 CAPLUS 1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX

14671-52-4 CAPLUS Benzimidazole, 2-phenyl-1-(3-piperidinopropyl)- (8CI) (CA INDEX NAME)

ANSWER 119 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 12 May 1984

ACCESSION NUMBER: 1968:443924 CAPLUS

DOCUMENT NUMBER: 69:43924

Benzimidazoles carrying a substitute derived from TITLE:

phenothiazine Chimetron Sarl. PATENT ASSIGNEE(S): SOURCE: Fr., 7 pp. CODEN: FRXXAK

DOCUMENT TYPE: Patent LANGUAGE: French FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DATE APPLICATION NO. PATENT NO. KIND DATE 19670713 For diagram(s), see printed CA Issue.

Anthelmintic compds. (I) containing in the same mol. a phenothiazine and a benzimidazole nucleus substituted in position were prepared. In an example 37.7 g. N-(3-(10-phenothiazinyl)-propyl)-2-nitroaniline in a solution of 250 ml. anhydrous pyridine was treated with 14.8 g. 4-thiazolylcarbonyl chloride over night at room temperature, a dinitranilide was separated and put in 150

EtOH with 50 ml. concentrated HCl. It was treated with H at 3 atms. in the presence of 2 g. of 5% Pd on alumina. Hydrogenation with stirring was stopped when H absorption reached 0.6 g. The pressure was lowered to atms. and the reaction boiled 4 hrs. to give I [X = (CH2)3, R =4-thiazolyl]. Also prepared were the following I (X and R given): (CH2)2, 2-furyl; COCH2CO, 2-furyl; and 1-(2-(10-phenothiazinyl)ethyl]-5,6-dimethyl-2-(2-chlorophenyl)benzimidazole and 1-(10-phenothiazinylacetyl)-5,6dichloro-2-phenylbenzimidazole.

19547-74-1P 19547-76-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

19547-74-1 CAPLUS

Phenothiazine, 10-[2-[2-(o-chlorophenyl)-5,6-dimethyl-1benzimidazolyl]ethyl]- (8CI) (CA INDEX NAME)

19547-76-3 CAPLUS

Benzimidazole, 5,6-dichloro-1-(phenothiazin-10-ylacetyl)-2-phenyl- (8CI) (CA INDEX NAME)

(Continued)

ANSWER 119 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN

Benzimidazole, 6-chloro-1-(2-(dimethylamino)ethyl)-2-phenyl- (7CI, 8CI) (CA INDEX NAME)

16861-74-8P

(preparation of) 4946-03-6 CAPLUS

CH2-CH2-NMe2 4946-04-7 CAPLUS

16861-71-5P 16861-72-6P 16861-73-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

- L4 . ANSWER 120 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) (7CI, 8CI) (CA INDEX NAME)

14339-16-3 CAPLUS Benzimidazole, 1-[3-(dimethylamino)propy1]-2-phenyl- (BCI) (CA INDEX

14988-18-2 CAPLUS Benzimidazole, 1-[3-(dimethylamino)propyl]-2-phenyl-, dihydrochloride (8CI) (CA INDEX NAME)

●2 HCl

16823-12-4 CAPLUS Benzimidazole, 6-chloro-1-[3-(dimethylamino)propyl]-2-phenyl- (BCI) (CA INDEX NAME)

16823-14-6 CAPLUS Benzimidazole, 6-chloro-1-(3-(dimethylamino)propyl]-2-phenyl-, monohydrochloride (8CI) (CA INDEX NAME)

ANSWER 120 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Benzimidazole, 6-chloro-2-(p-chlorophenyl)-1-{2-(dimethylamino)ethyl}-

ANSWER 120 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Brit., 4 pp.

CODEN: BRXXAA

68:39625

Patent

KIND

English

1968:39625 CAPLUS

New benzimidazoles

DATE

19670405

Spickett, Robert G. W.; Ridley, Horace F.

Smith Kline and French Laboratories Ltd.

GB

APPLICATION NO.

DATE

19630201

Entered STN: 12 May 1984

ACCESSION NUMBER:

DOCUMENT NUMBER:

PATENT ASSIGNEE(S):

INVENTOR (S):

TITLE:

SOURCE:

● HCl

16823-15-7 CAPLUS Benzimidazole, 1-{2-(diethylamino)ethyl}-2-phenyl-5-(trifluoromethyl)-CN

16823-16-8 CAPLUS Benzimidazole, 1-[2-(diethylamino)ethyl]-2-phenyl-5-(trifluoromethyl)-, monohydrochloride (8CI) (CA INDEX NAME)

● HCl

16861-66-8 CAPLUS Benzimidazole, 6-chloro-1-[2-(dimethylamino)ethyl]-2-phenyl-, monohydrochloride (8CI) (CA INDEX NAME)

● HCl

16861-67-9 CAPLUS Benzimidazole, 2-(p-chlorophenyl)-1-{2-(dimethylamino)ethyl}- (8CI) (CA ANSWER 120 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) INDEX NAME)

CH2- CH2- NMe2

16861-68-0 CAPLUS Benzimidazole, 2-(p-chlorophenyl)-1-[2-(dimethylamino)ethyl]-. monohydrochloride (8CI) (CA INDEX NAME)

CH2- CH2- NMe2

● HCl

16861-70-4 CAPLUS Benzimidazole, 6-chloro-2-(p-chlorophenyl)-1-[2-(dimethylamino)ethyl]-, monohydrochloride (8CI) (CA INDEX NAME)

CH2-CH2-NMe2

HC1

16861-71-5 CAPLUS Benzimidazole, 1-[2-(dimethylamino)ethyl]-2-(p-methoxyphenyl)- (7CI, 8CI) (CA INDEX NAME)

ANSWER 120 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

CH2- CH2-NMe2

16861-72-6 CAPLUS Benzimidazole, 1-{2-(dimethylamino)ethyl}-2-(p-nitrophenyl)- (BCI) (CA

(Continued)

CH2- CH2- NMe2

16861-73-7 CAPLUS Benzimidazole, 1-[2-(dimethylamino)ethyl]-2-(p-fluorophenyl)- (8CI) (CA INDEX NAME)

CH2-CH2-NMe2

16861-74-8 CAPLUS Benzimidazole, 1-[2-(dimethylamino)ethyl)-2-(p-fluorophenyl)-, dihydrochloride (8CI) (CA INDEX NAME)

CH2-CH2-NMe2

2 HC1

ANSWER 121 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984 1967:516847 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 67:116847

Imidazole derivatives. XL. Synthesis of TITLE: benzimidazole analogs of psilocine

Efros, L. S.; Kumarev, V. P.; Zakhs, E. R. AUTHOR (S):

Leningr. Teknol. Inst., im. Lensoveta, Leningrad, USSR CORPORATE SOURCE:

Khimiya Geterotsiklicheskikh Soedinenii (1967), (2), SOURCE:

336-B CODEN: KGSSAQ: ISSN: 0132-6244

DOCUMENT TYPE: Journal

For diagram(s), see printed CA Issue.

LANGUAGE: Russian cf. CA 65: 15207h, 15365f. m-Nitroanisole (40 g.) was added with stirring to 100 ml. HNO3 (d. 1.48) at 0-20°. After 15 min. the reaction mixture was cooled to -10° to give 42% 2,3-dinitroanisole (I), m. 119°. A mixture of 60 ml. MePh, 19.8 g. I, and 17.6 g. N,N-dimethylethylenediamine was heated 1 hr. on a water bath. A PhMe layer was extracted with 60 ml. dilute 1:1 HCl, the solution neutralized under cooling with aqueous NH3 to yield 97% II (X = NO2) (III), m. $32.5-4.0^{\circ}$; III perchlorate m. 130-2°. An ethanolic solution of III was hydrogenated with H over Raney Ni at atmospheric pressure, the catalyst was filtered off, the filtrate added to 2 equivs. HCl to give 93-6% II.2HCl (X = NH2) (IV), m. 208-10° (decomposition) (1:10 EtOH-PrOH). A mixture of IV with 80% HCO2H (1:10) was boiled 5 hrs. to yield 98% V.2HCl (R = H), m. 245-7° (decomposition) (PrOH). IV (5 g.) in 30 ml. H2O and 2 ml. BzH in 15 ml. EtOH was added to a solution of 7.1 g. Cu acetate in 120 ml. EtOH, the mixture was stirred 0.5 hr., and boiled 1 hr. to give a Cu complex precipitate, which was washed with H2O and Me2CO and heated with 50 ml. concentrated HCl. The solution was cooled, 50 ml. EtOH added and neutralized with aqueous NH3 up

the complete dissoln. of the precipitate The solution was evaporated in vacuo

to give V.2HCl (R = Ph), m. 107° (10:1 H2O-EtOH). A mixture of IV, PhCH2CO2H, and 4N HCl (1:1.25:5) was boiled 5 hrs. according to Phillips (P. et al., CA 23: 141) to yield 90-5% V.2HCl (R = CH2Ph), m. 225-6° (decomposition). Dihydrobromides of VI were prepared in 80-95% yields by boiling V derivs. 4 hrs. with a 7-10-fold excess of concentrated HBr. After boiling, the mixts, were evaporated almost to dryness, Me2CO or PrOH was added to give dihydrobromides of VI (R and m.p. given): H, 240° (decomposition) (PrOH-EtOH 10:1); Ph, 247-9° (decomposition) (Me2CO); CH2Ph, - (decomposing without melting). 4 references. 16315-11-0P 16315-14-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 16315-11-0 CAPLUS

Benzimidazole, 1-[2-(dimethylamino)ethyl]-7-methoxy-2-phenyl- (8CI) (CA INDEX NAME)

CH2-CH2-NMe2

16315-14-3 CAPLUS 7-Benzimidazolol, 1-{2-(dimethylamino)ethyl}-2-phenyl-, dihydrobromide (BCI) (CA INDEX NAME)

ANSWER 121 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

2 HBr

L4 ANSWER 122 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1967:86617 CAPLUS
DOCUMENT NUMBER: 66:86617
TITLE: Photosensitive diazo compositions
INVENTOR(S): Sues, Oskar; Schaefer, Heinz
PATENT ASSIGNEE(S): Keuffel and Esser Co.

SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English

LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 3294542 19661227

PRIORITY APPLN. INFO.: DE 19631223

For diagram(s), see printed CA Issue.

Photocopies with red tones can be obtained by the use of a 2-component diazotype material containing as diazo coupler a 2-(3,5-dihydroxyphenyl)benzimidazole of the general formula I, where R is H, Me, Et, HOCH2CH2, or a 3-morpholinopropyl (Q) group, R' is H, Cl, Me, MeO, or EtO, R" is H, Me, or MeO, and X = H or Br. 4,3,5-Br(AcO)2C6H2COCl (33.5 g.) in 100 cc. dioxane treated dropwise with stirring at 30-40° with 21.5 g. 2-QNHC6H4NH2 in 49 cc. dioxane and 8 cc. C5H5N and evaporated, the sirupy residue dissolved in dilute, warm 2N NaOH, and acidified with AcOH, a 20-g. portion of the precipitated base (m. .apprx.115° with previous sintering) heated for 0.5 hr. at 90° with 100 cc. 30% HCl, refluxed for 10 min., and cooled gave I.HCl (R = Q, R' = R" = H, X = Br) (II.HCl), m. 255° with previous sintering (decomposition); II.HCl dissolved in aqueous NaOH and neutralized with AcOH gave II, m. 208-9° (decomposition). Similarly were prepared the following I (R, R', R", X, and

m.p. given): Me, H, H, Br, 272°; Me, MeO, H, Br, 252°; HOCH2CH2, H, H, Br, 248-9°. Paper precoated with colloidal silica and poly(vinyl acetate) and coated with an aqueous solution containing 4 g. citric acid.

2 g. B(OH)3, 0.5 cc. concentrated HCl, 4 g. thiourea, 3 g. 1,3,6-C10H5(SO3Na)3, 1 g. p-Et2NC6H4N2Cl.ZnCl2, and 2 g. II/100 cc. gave a photocopy material which exposed under a transparent original and developed with gaseous NH3 gave high-contrast copies with bluish red lines on a pure white background. 4,3-H2N(O2N)C6H3Me (152 g.) in 600 cc. dioxane and 78 cc. C5H5N added dropwise at 60-70° with stirring to 335 g. 4,3,5-Br(Aco)2C6H2COC1 in 1000 cc. dioxane, added after 45 min. to 100 cc. 5N NaOH, and neutralized with HCl gave 280 g. 4,3,5-Br(HO)2C6H2CONHC6H3(NO2)Me-2,5 (III), m. 205°. III (260 g.) hydrogenated over Raney Ni gave 220 g. 4,3,5-Br(HO)2C6H2CONHC6H3(NH2)Me-2,5 (IV), m. 173 (decomposition). IV (110 g.) in 500 cc. AcOH refluxed 2 hrs. with stirring and then for 0.5 hr. with 5 cc. concentrated H2SO4 added gave 40 g. I ($R = R^* = H$, R' = Me, X = Br) (V), m. 282° (decomposition). A transparent paper lacquered with cellulose acetate and coated with a solution of 2 g. citric acid, 1 g. B(OH)3, 2 g. thiourea, 2 g. V, and 4-morpholino-2,5-dimethoxybenzenediazonium chloride-ZnCl2 double salt in 50 cc. H2O and 50 cc. iso-PrOH gave a photocopy material which gave copies in slightly bluish red lines. In the same manner as V were prepared the following I (R, R*, R*, X, and m.p. given): H, H, H, Br, 283*; H, H, H, H, 310*; H, H, MeO, Br, 272*; H, H, MeO, H, 290*; H, Eto, H, Br, 267*; H, Eto, H, H, 284*; H, Me,

DOCUMENT NUMBER: 66:85730 Benzimidazoles. VII. Mannich base type nitrogen TITLE: mustards from derivatives of benzimidazole AUTHOR (S): Revankar, G. R.; Siddappa, S. CORPORATE SOURCE: Karnatak Univ., Dharwar, India Monatshefte fuer Chemie (1967), 98(1), 169-75 SOURCE: CODEN: MOCHAP DOCUMENT TYPE: Journal LANGUAGE: German OTHER SOURCE(S): CASREACT 66:85730 For diagram(s), see printed CA Issue. cf. CA 65, 12192d. A mixture of 5.9 g. benzimidazole, 1.58 g. paraformaldehyde, and 5.25 g. HN(CH2CH2OH)2 in 50 ml. absolute EtOH was heated 10 hrs. to give 1-[bis(2-hydroxyethyl)aminomethyl]benzimidazole, m. 140-1°. Similarly were prepared 1-{bis(2-hydroxyethyl)aminomethyl]-4chlorobenzimidazole, decomposing 152°, and 1-[bis(2hydroxyethyl)aminomethyl]-4-bromobenzimidazole, m. 151-2°. Cooled 0.5 g. NaOH in 5 ml. H2O was treated with 1.8 g. HN(CH2CH2Cl)2.HCl. The mixture was extracted with Et20 and the extract washed and evaporated in vacuo. The cooled residue was treated with 8 ml. 1:3 37% HCHO-EtOH, and the addition of 1.18 g. benzimidazole and refluxed 30 min. to give 20% 1-[bis(2-chloroethyl)aminomethyl]benzimidazole, m. 140°. Similarly was prepared 1-[bis(2-chloroethyl)aminomethyl]- α , β naphthimidazole, decomposing 165°, and the following substituted benzimidazoles (I) (R1, R2, R3, R4, and m.p. given): H, H, H, C1, 159° (decomposition); H, H, H, Br, 121-2°; Me, H, H, H, 148°; Ph, H, H, 325°; H, H, OMe, H, 208°; H, Me, Me, H, 190°; and H, Cl, Cl, H, 198° (decomposition). Stirred 1.8 g. HN(CH2CH2Cl)2,HCl in 8 ml. 3:1 37% HCHO-EtOH was treated successively

with 2.8 g. 2-benzylbenzimidazole and a small amount of EtOH to give 28%

ANSWER 123 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

1967:85730 CAPLUS

Entered STN: 12 May 1984

ACCESSION NUMBER:

N 13786-65-7 CAPLUS N 1H-Benzimidazole-1-methanamine, N,N-bis{2-chloroethyl}-2-phenyl- (9CI) (CA INDEX NAME)

N Ph

ANSWER 122 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
H, H, 337°; H, C1, H, Br, 260°; Et, H, Me, H, 303-5°.

IT 5284-57-1P 5354-79-0P
RL: IMF (Industrial manufacture); PREP (Preparation)
(preparation of)
RN 5284-57-1 CAPLUS
CN Resorcinol, 2-bromo-5-[1-(3-morpholinopropyl)-2-benzimidazolyl]- (7CI,

8CI) (CA INDEX NAME)

RN 5354-79-0 CAPLUS
CN Resorcinol, 2-bromo-5-[1-(3-morpholinopropyl)-2-benzimidazolyl}-,
monohydrochloride (8CI) (CA INDEX NAME)

● HCl

Entered STN: 12 May 1984 1967:65425 CAPLUS ACCESSION NUMBER: 66:65425 DOCUMENT NUMBER: Benzazoles. III. Alkylation of benzimidazoles TITLE: Hideg, Kalman: Hankovszky, H. Olga AUTHOR (5): Inst. Pharmacol., Univ. Med. School, Pecs, Hung. CORPORATE SOURCE: Acta Chirurgica Academiae Scientiarum Hungaricae SOURCE: (1966), 49(3), 303-10 CODEN: ACAHA3; ISSN: 0001-5431 DOCUMENT TYPE: Journal English LANGUAGE: For diagram(s), see printed CA Issue. Two methods for the preparation of alkyl benzimidazoles are described. Thus, to 35.4 g. benzimidazole and 59.5 g. N-(3-chloropropyl)piperidine-HCl in 300 ml. EtoH was added 26 g. NaOH in 50 ml. H2O and the mixture refluxed 8 hrs. until NaCl precipitation was complete to give 75% I (n = 3, Nr2 = piperidino, R1 = H), b1.5 230°; HCl salt m. 225-41°. The tabulated I were similarly prepared Also, 4.4 g. powdered NaOH was added to a suspension of 25.2 g. 2-(4-ethoxybenzyl)benzimidazole in 250 ml. 250 ml. C6H6 and 14.9 g. chloro-N, N-diethylacetamide was slowly added and the mixture refluxed 5 hrs. to give 75% III (R = 4-ethoxybenzyl), m. 91-2*; corresponding IV m. 162-4°. Similarly were prepared the following III (R, & yield, m.p., and m.p. corresponding IV given): Ph, 48, 360°, -: 2-ethylpyridyl, 72, 195-210°, 210-13° (N-methylpyridinium diiodide); benzyl, 60, 169-75°, 123-5°; 4-methoxybenzyl, 38, 101-3°, -; 3,4-dimethoxybenzyl, 81, 5294-97-3P 5295-00-1P 5322-96-3P 5322-97-4P 5322-99-6P 14268-92-9P 14268-94-1P 14338-98-8P 14339-06-1P 14339-08-3P 14339-09-4P 14339-10-7P 14339-16-3P 14492-91-2P 14521-64-3P 14551-03-2P 14671-52-4P 14937-11-2P 14988-18-2P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(3,4,5-trimethoxyphenyl)- (7CI,

ANSWER 124 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

5294-97-3 CAPLUS

8CI) (CA INDEX NAME)

RN 5295-00-1 CAPLUS
CN Benzimidazole, 1-[2-(diethylamino)ethyl]-2-phenyl- (7CI, 8CI) (CA INDEX NAME)

L4 ANSWER 124 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-CH2-NEt2

RN 5322-96-3 CAPLUS

CN 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)

N Ph N--- CH2-- CH2-- N

RN 5322-97-4 CAPLUS
CN Benzimidazole, 2-phenyl-1-{2-(1-pyrrolidinyl)ethyl}- (7CI, 8CI) (CA INDEX

N Ph CH2- CH2- N

RN 5322-99-6 CAPLUS
CN Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(p-methoxyphenyl)- (7CI, 8CI)
(CA INDEX NAME)

CH2-CH2-NEt2

RN 14268-92-9 CAPLUS
CN Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(p-methoxyphenyl)-,
dihydrochloride (8CI) (CA INDEX NAME)

L4 ANSWER 124 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

N— CH2- CH2- N

●2 HC1

RN 14339-08-3 CAPLUS
CN 1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]-, dihydrochloride
(9CI) (CA INDEX NAME)

N - CH2- CH2 - N

●2 HC1

RN 14339-09-4 CAPLUS

TH-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

N CH2-CH2-N

RN 14339-10-7 CAPLUS
CN 1H-Benzimidazole, 1-{2-(4-morpholinyl)ethyl}-2-phenyl-, dihydrochloride
(9CI) (CA INDEX NAME)

●2 HC1

RN 14339-16-3 CAPLUS

Benzimidazole, 1-[3-(dimethylamino)propyl]-2-phenyl- (BCI) (CA INDEX NAME)

05/24/2005

4 ANSWER 124 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-CH2-NEt2

●2 HCl

RN 14268-94-1 CAPLUS
CN Benzimidazole, 1-[2-(diethylamino)ethyl]-2-phenyl-, dihydrochloride (BCI)
(CA INDEX NAME)

Ph CH2-CH2-NEt2

●2 RC1

RN 14338-98-8 CAPLUS
CN Benzimidazolium, 1-[2-(diethylmethylammonio)ethyl]-1-methyl-2-(3,4,5-trimethoxyphenyl)-, diiodide (8CI) (CA INDEX NAME)

CH2-CH2-N-Et

Et

OMe

OMe

•2 I-

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

14339-06-1 CAPLUS
Benzimidazole, 2-phenyl-1-[2-(1-pyrrolidinyl)ethyl]-, dihydrochloride

(8CI) (CA INDEX NAME)

ANSWER 124 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Ph (CH₂) 3-NMe₂

RN 14492-91-2 CAPLUS
CN Benzimidazolium, 3-methyl-2-phenyl-1-[3-(trimethylammonio)propyl]-,
diiodide (8CI) (CA INDEX NAME)

Me Ph Ph (CH2) 3-N+Me3

•2 I-

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

N 14521-64-3 CAPLUS N 1-Benzimidazoleacetamide, N,N-diethyl-2-phenyl-, monohydrochloride (8CI)

(CA INDEX NAME)

Ph O CH2-C- NEt

● HC1

RN 14551-03-2 CAPLUS
CN Benzimidazole, 2-phenyl-1-(3-piperidinopropyl)-, dihydrochloride (8CI)
(CA INDEX NAME)

N Ph (CH2) 3 - N

●2 HC1

L4 ANSWER 124 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 14671-52-4 CAPLUS
CN Benzimidazole, 2-phenyl-1-(3-piperidinopropyl)- (8CI) (CA INDEX NAME)

N Ph (CH2) 3 - N

RN 14937-11-2 CAPLUS
CN Benzimidazolium, 1-(2-(diethylmethylammonio)ethyl)-3-methyl-2-phenyl-,
diiodide (8CI) (CA INDEX NAME)

●2 I-

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 14988-18-2 CAPLUS
CN Benzimidazole, 1-{3-(dimethylamino)propyl}-2-phenyl-, dihydrochloride
(8CI) (CA INDEX NAME)

●2 HC1

L4 ANSWER 125 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 5499-62-7 CAPLUS
CN Benzimidazole, 1-{2-(diethylamino)ethyl}-2-(m-nitrophenyl)-, dipicrate
(7CI, 8CI) (CA INDEX NAME)

CM 1

CRN 5499-61-6 CMF C19 H22 N4 O2

Et₂N-CH₂-CH₂

CM 2

CRN 88-89-1 CMF C6 H3 N3 07

O2N NO2

CH2- CH2- NEt2

CM 1

CRN 5499-60-5 CMF C19 H22 N4 O2 14 ANSWER 125 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 22 Apr 2001 ACCESSION NUMBER: 1966:84551 CAPLUS

ACCESSION NUMBER: 1966:84551

DOCUMENT NUMBER: 64:84551

ORIGINAL REFERENCE NO.: 64:15869g-h
TITLE: Synthesis of 2-{o-, m-, or p-

nitrophenyl)benzimidazoles having β-(dialkylamino)ethyl group in position I

(dialkylamino)ethyl group in position I AUTHOR(S): Sawlewicz, Jozef: Wyzinska, Danuta

CORPORATE SOURCE: Akad. Med., Gdansk, Pol. SOURCE: Gdanskie Towarzyst. Nauk.,

Gdanskie Towarzyst. Nauk., Wydział Nauk Mat. Przyrodniczych, Rozprawy Wydziału III (1964), No. 1,

185-92

DOCUMENT TYPE: Journal LANGUAGE: Polish

AB cf. preceding abstract Reaction of β-(diethylamino)ethyl chloride-HCl with 2-(o-, m-, or p-nitrophenyl)benzimidazoles in anhydrous dioxane with NaNH2 catalyst yielded, after boiling 20 hrs., corresponding

1-β-(diethylamino)ethyl compds. o-Nitro and m-nitro derivs. were isolated as picrates (i yield and m.p. given): 54, 197-9° (MeOH-Me2CO); 52.5, 186-7° (EtOH), resp. The p-nitro derivative, m. 98.5-100° (C6H6) was obtained in 57% yield. Attempts to prepare these compds. by substituting the Cl in 1-(β-chloroethyl)-2-(o-, m-,

or p-nitrophenyl)benzimidozoles with Et2NH were unsuccessful.

IT 5499-60-5, Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(o-

IT 5499-60-5, Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(onitrophenyl)- 5499-61-6, Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(m-nitrophenyl)- 5499-62-7,

Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(m-nitrophenyl)-, dipicrate 5499-63-8, Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(p-nitrophenyl)- 6225-04-3, Benzimidazole, 1-[2-

RN 5499-60-5 CAPLUS
CN Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(o-nitrophenyl)- (7CI, 8CI)
(CA INDEX NAME)

CH2-CH2-NEt2

RN 5499-61-6 CAPLUS CN Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(m-nitrophenyl)- (7CI, 8CI)

Et₂N-CH₂-CH₂

(CA INDEX NAME)

L4 ANSWER 125 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-CH2-NEt2

CM 2

CRN 88-89-1

O2N NO2

05/24/2005

DATE

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ANSWER 126 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
    Entered STN: 22 Apr 2001
                         1966:43862 CAPLUS
ACCESSION NUMBER:
                         64:43862
DOCUMENT NUMBER:
ORIGINAL REFERENCE NO.: 64:8195f-h,8196a
                         Phototropic compounds
TITLE:
                         E. I. du Pont de Nemours & Co.
PATENT ASSIGNEE(S):
SOURCE:
                         20 pp.
DOCUMENT TYPE:
                         Patent
                         Unavailable
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                         KIND
                                DATE
                                             APPLICATION NO.
     PATENT NO.
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NL 296772

19650525

NL

19630816

Dimers of 2,4,5-triphenylimidazoles, having at least one substituent free of a reactive H and which substituent is ortho to the 2-phenyl group, show phototropic properties of fast color change. Thus, refluxing 2 hrs. a mixture of 2.1 g. benzil, 50 g. AcOH, 6 g. NH4OAc, and 1.4 g. o-ClC6H4CHO and pouring the solution into 200 g. cold H2O gave 3.1 g. 2-(o-chlorophenyl)-4,5-diphenylimidazole (I), m. 196-7°

(EtOH). Adding within 1.5 hrs. 450 g. 1% aqueous K3Fe(CN)6 to a solution of

g. I in 100 g. EtOH and 12 g. KOH, filtering off the precipitate, washing this with H2O, and drying 8 hrs. at $56^{\circ}/0.1$ mm. gave the EtOH-solvated dimer of I (2 moles EtOH-3 moles dimer), m. 95-110°, color at 170° lavender, at 190° red-brown, and at 220° red. Its color return (purple to light yellow) after exposure to sunlight is 16 times as fast as that of the unsubstituted substance. Similarly were prepared the following 2-substituted 4,5-diphenylimidazoles (2-substituent, m.p. monomer, m.p. dimer, color of dimer, color of dimer after exposure to sunlight, and ratio of color return rate as compared with that of the unsubstituted compound): 2,4-Cl2C6H3, 174.5-5.0°, 90°, light yellow, purple, 35; 2-MeOC6H4, 207.5-8.5*, 160*, light green, light blue, 17; 2,4-(MeO) 2C6H3, 164-5*, --, light green, blue-green, 2; 1-naphthyl, 289.5-90°, 153°, light green, orange, 2.5; 2-BrC6H4, 205.5-6.5° 106°, light yellow, purple, 16; 2-FC6H4, 205.5-6.0° 139-49° (hydrate), light yellow, purple, 13; 2-EtOC6H4, --, 138°, light yellow, blue, --. 5322-96-3, Benzimidazole, 1-(2-morpholinoethyl)-2-phenyl-5322-97-4, Benzimidazole, 2-phenyl-1-[2-(1-pyrrolidinyl)ethyl]-5322-98-5, Benzimidazole, 2-phenyl-1-(2-(1-pyrrolidinyl)ethyl)-, hydrochloride 7128-97-4, Benzimidazole, 1-(2-morpholinoethyl)-2-

(preparation of)

RN 5322-96-3 CAPLUS

CN 1H-Benzimidazole, 1-[2-(4-morpholinyl)ethyl]-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 127 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

1966:43861 CAPLUS

Entered STN: 22 Apr 2001

ACCESSION NUMBER:

phenyl-, hydrochloride

DOCUMENT NUMBER: 64:43861 64:8195d-f ORIGINAL REFERENCE NO.: Substituted benzimidazole derivatives TITLE: Hideg, Kalman; Hankovszky, H. Olga; Mehes, Gyula; INVENTOR (S): Decsi, Laszlo; Varszegi, Maria Egyesult Gyogyszer es Tapszergyar PATENT ASSIGNEE(S): SOURCE: 6 pp. DOCUMENT TYPE: Patent LANGUAGE: Unavailable FAMILY ACC. NUM. COUNT: PATENT INFORMATION: DATE DATE APPLICATION NO. PATENT NO. KIND 19640406 HU 152439 19651122 ΗU For diagram(s), see printed CA Issue. Various I with pharmacol. activity are prepared by the reaction of R1-substituted benzimidazole and R2 (CH2) nCl. Thus, a solution of 0.1 mole N-(B-chloroethyl)diethylamine in 50 ml. C6H6 is added to a suspension of 0.1 mole 2-(y-pyridyl)benzimidazole and 0.2 mole KOH in 200 ml. C6H6, the mixture heated to complete the reaction, filtered, and concentrated yield 1-(β-diethylaminoethyl)-2-(γpyridyl)benzimidazole, b0.8 240-5°; HCl salt m. 225-7°. Similarly are prepared the 240-5°; HCl salt m. 225-7°. Similarly are prepared the following derivs. (R1,R2, n, b.p./mm. of the base, m.p. of the HCl salt, and % yield given): H, Et2N, 2, 240-60°/1.0-5,196-9°, 77; H, N-piperidyl, 2,220°/2.5, 230-2° 70; H, N-piperidyl, 3,230°/1.5,225-41°, 75; H, N-morpholinyl, 2, 230°/2.5, 120-3°, 72; Ph, N-morpholinyl, 2, 230°/0.2, 198-200°, 55; Ph, N-pyrrolidyl, 2, 232-4°/0.2, 198-200°, 55; Ph, N-pyrrolidyl, 2, 260°/0.8, 138-41°, 71; p-MeOC6H4, Et2N, 2, 260°/0.8, 63-4° (base) 203-6°, 63; 3,4,5-trimethoxyphenyl, Et2N, 2, 250-60°/1.0, 206-9°, 86; γ-pyridyl, Et2N, 2, 238°/0.8, 208-10°, 78°; γ-pyridyl, Et2N, 2 238*/0.8, 208-10*, 78*; γ-pyridyl, Et2N, 2
(sic), 240-5*/0.8, 225-7*, 85; γ-(2-ethylpyridyl),
Et2N, 2, 220*/0.9, 161-84*, 78: α-furyl, Et2N, 2,
240*/1.0-5, 193-7*, 53; and Ph, Et2N, 2, 232*/0.25, 182-4°, 69. 5294-97-3, Benzimidazole, 1-{2-(diethylamino)ethyl}-2-(3,4,5trimethoxyphenyl) - 5294-98-4, Benzimidazole, 1-{2-(diethylamino)ethyl}-2-(3,4,5-trimethoxyphenyl)-, hydrochloride 5295-00-1, Benzimidazole, 1-[2-(diethylamino)ethyl)-2-phenyl-5295-01-2, Benzimidazole, 1-[2-(diethylamino)ethyl]-2-phenylhydrochloride 5322-96-3, Benzimidazole, 1-(2-morpholinoethyl)-2phenyl- 5322-97-4, Benzimidazole, 2-phenyl-1-(2-(1pyrrolidinyl)ethyl]- 5322-98-5, Benzimidazole, 2-phenyl-1-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride 5322-99-6, Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(p-methoxyphenyl)-5323-00-2, Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(p-

methoxyphenyl)-, hydrochloride 7128-97-4, Benzimidazole,

Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(3,4,5-trimethoxyphenyl)- (7CI,

1-(2-morpholinoethyl)-2-phenyl-, hydrochloride

L4 ANSWER 126 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 5322-97-4 CAPLUS
CN Benzimidazole, 2-phenyl-1-{2-(1-pyrrolidinyl)ethyl}- (7CI, 8CI) (CA INDEX NAME)

RN 5322-98-5 CAPLUS
CN Benzimidazole, 2-phenyl-1-[2-(1-pyrrolidinyl)ethyl]-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

• HCl

● HC1

L4 ANSWER 127 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HC1

RN 5295-00-1 CAPLUS CN Benzimidazole, 1-[2-(diethylamino)ethyl)-2-phenyl- (7CI, 8CI) (CA INDEX

RN 5295-01-2 CAPLUS
CN Benzimidazole, 1-(2-(diethylamino)ethyl)-2-phenyl-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

• HCl

RN 5322-96-3 CAPLUS CN 1H-Benzimidazole, 1-(2-(4-morpholinyl)ethyl]-2-phenyl- (9CI) (CA INDEX

(preparation of) 5294-97-3 CAPLUS

8CI) (CA INDEX NAME)

L4 ANSWER 127 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
NAME)

RN 5322-97-4 CAPLUS
CN Benzimidazole, 2-phenyl-1-[2-(1-pyrrolidinyl)ethyl]- (7CI, 8CI) (CA INDEX NAME)

RN 5322-98-5 CAPLUS
CN Benzimidazole, 2-phenyl-1-{2-(1-pyrrolidinyl)ethyl}-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HCl

RN 5322-99-6 CAPLUS
CN Benzimidazole, 1-{2-(diethylamino)ethyl}-2-(p-methoxyphenyl)- (7CI, 8CI)
(CA INDEX NAME)

RN 5323-00-2 CAPLUS
CN Benzimidazole, 1-[2-(diethylamino)ethyl)-2-(p-methoxyphenyl)-,
hydrochloride (7CI, BCI) (CA INDEX NAME)

L4 ANSWER 127 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-CH2-NEt2

HC1

RN 7128-97-4 CAPLUS
CN Benzimidazole, 1-(2-morpholinoethyl)-2-phenyl-, hydrochloride (7CI, 8CI)
(CA INDEX NAME)

● HCl

ANSWER 128 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 22 Apr 2001 1966:35927 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 64:35927 64:6664g-h,6665a-h ORIGINAL REFERENCE NO.: New heterocyclic compounds TITLE: PATENT ASSIGNEE(S): J. R. Geigy A.-G. SOURCE: 43 pp. DOCUMENT TYPE: Patent LANGUAGE: Unavailable FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. BE 659530 19650810 BE GB 1038735 GB NL 6501647 19640211 PRIORITY APPLN. INFO.: For diagram(s), see printed CA Issue. Preparation of the title compds. is described. When 22.6 parts o-benzoylbenzoic acid (I) is mixed with 7.2 parts ethylenediamine (II), the temperature slowly rises to 80°. The temperature is slowly increased to 140° with the removal of H2O and excess II, and the mixture heated 2 hrs. at 140° cooled, and treated with C6H6 to give 9b-phenyl-1, 2, 3, 9b-tetrahydro-5H-imidazo(2, 1-a)isoindol-5-one (III), m.

150-1°; HCl salt m. 240-60°. Using appropriate compds., 9b-(p-C1C6H4), m. 166-8°; 9b-(p-MeSC6H4), m. 147°; 1-Me-9b-(p-C1C6H4, m. 135-7°; 1-Bu-9b-(p-C1C6H4), m. 121-3°; 9b-(2-hydroxy-5-chlorophenyl), m. 258-60°; 9b-(p-methylsulfonylphenyl), m. 265-7°; 2-Me-9b-(p-ClC6H4), m. 153.5-5° and 195.5-8° (two stereoisomers); 9b-(p-F3CC6H4), m. 191-0.5°; 9b-(p-BrC6H4), m. 146-8°; 9b-(p-MeC6H4), m. 151-4°; 9b-(p-MeC6H4), m. 160-1°; 9b-(3-amino-4chlorophenyl), m. 175-6°; 9b-(1-naphthyl), m. 166-7°; 9b-(3-acetamido-4-chlorophenyl), m. 198-9°; 1-(2dimethylaminopropyl)-9b-phenyl, m. 100-1°; (1-(2dimethylaminoethyl)-9b-phenyl, m. 179-81°; 9b-methyl, m. 112°; 9b-ethyl, m. 88°; 9b-benzyl, m. 117°; 1,9b-dimethyl, m. 74°; and 1-ethyl-9b-methyl, m. 79.5°, derivs. of III are prepared Replacing II with N-methylethylenediamine in the above preparation gave the 1-methyl derivative of III, m. 120-2°. 1-Ethyl, m. 119-21°; 1-propyl, m. 134-6°; 9b-(p-MeC6H4), m. 152-4°, 1-Me-9b-(p-MeC6H4), m. 112-14°; 9b-(p-C6H5CH2CH2), m. 119-24°; 1-Me-9b-(m-O2NC6H4), m. 148-50°; 9b-(m-O2NC6H4), m. 169-71°; 9b-(p-FC6H4), m. 188-90°; 1-Et-9b-(p-MeOC6H4), m, 76-8°; 1-Et-9b-(p-C1C6H4), m. 114-16°; 9b-(2,4-xylyl), m. 177.5-79*; 1-methyl-9b-(p-methoxyphenyl), m. 107-9*; 1-propyl-9b-(p-chlorophenyl), m. 137-40*; 1-methyl-9b-(pphenethyl), m. 105-9°; 1-ethyl-9b-(p-tolyl), m. 109-11°; 1-ethyl-9b-(p-phenethyl), b0.005 169-78°; and 1-isopropyl-9bphenyl, m. 178-80°, derivs. and analogs of III are similarly obtained. Use of 1,3-propanediamine instead of II yielded 10b-phenyl-1,3,4,10b-tetrahydropyrimido(2,1-a)isoindol-6(2H)-one (IV), m.

176-7°. The preparation of 10b-(p-C1C6H4), m. 159-60°;
10b-(m-O2NC6H4), m. 176.5-8°; 10b-(p-MeOC6H4), m. 161.5-3°;
10b-(p-MeSC6H4), m. 163-4°; 10b-(p-EtOC6H4), m. 166-7°;
10b-(3-amino-6-chlorophenyl), m. 168-9°; 10b-(2-hydroxy-5-methylphenyl); m. 257-9°; 10b-(p-BrC6H4), m. 151-2°;
10b-(p-FC6H4), m. 143-6°; 1-methyl-10b-phenyl, m. 169-71°;
1-Me-10b-(p-C1C6H4), m. 142-4°; 1-Me-10b-(m-O2NC6H4), m.

1-Et-10b-(p-clc6H4), b0.04 200-2°; 1-Pr-10b-(p-clc6H4), b0.02

166-8°; 1-Me-10b-(p-MeOC6H4), m. 128-31°;

1-propyl-10b-phenyl, m. 135-7°; 10b-(3-sulfamoyl-4-chlorophenyl), m. 222-4°; 10b-methyl, b0.1 120-3°, m. 64.5°; 10b-ethyl, m. 127.5°; 10b-benzyl, m. 131°; and 1,10b-dimethyl, b0.05 121-3°, derivs. of IV: 11b-phenyl-1,2,3,4,5,11b-hexahydro-7H-[1,3]diazepino[2,1-a]isoindol-7-one (V), m. 180-1°; 11b-(p-ClC6H4), m. 134-5°, deriv. of V; 9a-(p-chlorophenyl)-9,9a-dihydro-14H-dibenzo-(4,5:6,7) [1,3]diazepino[2,1-a]isoindol-14-one (VI), m. 231-3°; 10b-(p-chlorophenyl)-1,3,4,10b-tetrahydropyrido(3',2':3,4)pyrrolo(1,2-a)pyrimidin-6(2H)-one (VII), m. 246-7°; 9b-(p-chlorophenyl)-1,2,3,9b-tetrahydro-5H-imidazo-[1',2':1,2]pyrrolo[4,3-b]pyridin-5-one, m. 226-7°; 7a-phenylhexahydro-5H-pyrrolo[1,2-a]imidazol-5-one (VIII), m. 129.5°; 1-methyl, m. 94°; 1-methyl-7a-(p-chlorophenyl), m. 86°; 1-Me-la-(m-O2NC6H5), m. 129.5°; 7a-(p-tert-BuC6H4), m. 200°; 7a-(p-ClC6H4), m. 156° 7a-(p-MeC6H4), m. 134.5°; 7a-(p-MeOC6H4), m. 147.6°; 7a-(m-F3CC6H4), m. 119.5°; 7a-(o-HOC6H4), m. 200°; 7a-(m-O2NC6H4), m. 164.5°; 6,7a-diphenyl, m. 168°; 6-phenyl-7a-(4-methoxyphenyl), m. 150°, analogs of VIII; 8a-phenylhexahydropyrrolo[1,2-a]pyrimidin-6(2H)-one (IX), m. 134°; 8a-(p-ClC6H4), m. 125°; 8a-(p-MeC6H4), m. 147.5° 8a-(p-tert-BuC6H4), m. 178.5°; 8a-(m-C1C6H4), m. 110°; 8a-(m-MeC6H4), m. 92.5°; 7,8a-diphenyl, m. 164.8°; 8a-(o-HOC6H4), m. 144.5°; 8a-(m-F3CC6H4), m. 97.5°; 7-Ph-8a-(p-MeOC6H4), m. 156*; 1-Me-8a-(p-ClC6H4, m. 151-2*; 1-Et-8a-(p-ClC6H4), b0.1 151-3*, analogs and derivs. of IX; 8a-phenylhexahydroimidazo[1,2-a]-pyridin-5(1H)-one (X), m. 137°; 7,7-dimethyl-8a-phenyl, m. 99.5°; 6,7-Ph2-8a-(p-MeOC6H4), m. 187.5°; 1-methyl-8a-phenyl, b0.03 135-7°, analogs and derivs. of X; 9a-(p-methoxyphenyl) octahydro-7H-pyrrolo[1,2-a][1,3]diazepin-7-one (XI), m. 100.2°; 9a-phenyl, m. 109°, and 9a-p-tolyl, m. 104.5°, analogs of XI; 9a-phenyloctahydro-6H-pyrido[1,2-a]-pyrimidin-6-one (XII), m. 142.5°; 8,8-dimethyl-9a-phenyl deriv. of XII, m. 120°; 11b-methyl-1,2,3,4,5,11b-hexahydro-7H-(1,3)diazepino(2,1-a)isoindol-7-one (XIII), m. 136.5°; 9b-phenyldecahydro-5H-imidazo(2,1-a)isoindolo-5-one, m. 136-7*; 10-bphenyldecahydropyrimido(2,1-alisoindol-6(6H)-one; m. 169-72°, and a few dihydro derivs. are also described. 6029-67-0, 11H-Isoindolo[2,1-a]benzimidazol-11-one, 5-[2-(diethylamino)ethyl]-4b,5-dihydro-4b-phenyl-(preparation of) 6029-67-0 CAPLUS 11H-Isoindolo[2,1-a|benzimidazol-11-one, 5-[2-{diethylamino}ethyl]-4b,5-

(Continued)

ANSWER 128 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

198-200°; 1-Et-10b-(p-MeOC6H4), m. 126-9°

dihydro-4b-phenyl- (7CI, BCI) (CA INDEX NAME)

L4 ANSWER 129 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN Entered STN: 22 Apr 2001 ĒΒ

1966:27499 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 64:27499

ORIGINAL REFERENCE NO.: 64:5069d-f The photolysis of 2-chloro-2-nitrosobutane TITLE:

Baldwin, J. E.; Rogers, N. H. AUTHOR (S):

CORPORATE SOURCE: Imp. Coli., London Chemical Communications (London) (1965), (21), 524-5 SOURCE:

CODEN: CCOMAB; ISSN: 0009-241X

DOCUMENT TYPE: Journal LANGUAGE: English

GI For diagram(s), see printed CA Issue.

cf. Mitchell and Cameron, CA 33, 24164; Bull, et al., CA 63, 3014d. Photolysis of 2-chloro-2-nitrosobutane in a methanol-ether mixture using a tungsten lamp gave a hydrochloride, C8H14O2N2.HCl, which could be converted into the base by use of Et3N. Evidence from uv, ir, N.M.R., and chemical degradation points to a dinitrone structure (I) for the base. Acid hydrolysis of I, gave an approx. equimolar mixture of ethyl methyl ketoxime (II) biacetyl monoxime (III). Treatment of these compds. with methanolic HCl gave a product identical with the hydrochloride from the photochemical reaction, thus implying that II and III are the initial products of the

photochem. reaction. 4946-03-6, Benzimidazole, 6-chloro-1-(2-(dimethylamino)ethyl]-2phenyl- 4946-04-7, Benzimidazole, 6-chloro-2-(p-chlorophenyl)-1-[2-(dimethylamino)ethyl]- 4946-05-8, Benzimidazole, 1-[2-(diethylamino)ethyl]-2-phenyl-5-(trifluoromethyl)-, dihydrochloride 5012-49-7, Benzimidazole, 5-chloro-1-[2-(dimethylamino)ethyl]-2phenyl- 5234-45-7, Benzimidazole, 1-[2-(dimethylamino)ethyl]-2phenyl-5-(trifluoromethyl)-, hydrochloride

(preparation of) 4946-03-6 CAPLUS Benzimidazole, 6-chloro-1-[2-(dimethylamino)ethyl)-2-phenyl- (7CI, 8CI) (CA INDEX NAME)

4946-04-7 CAPLUS Benzimidazole, 6-chloro-2-(p-chlorophenyl)-1-{2-(dimethylamino)ethyl}-(7CI, 8CI) (CA INDEX NAME)

4946-05-8 CAPLUS

ANSWER 130 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 22 Apr 2001 ED 1966:27498 CAPLUS ACCESSION NUMBER:

64:27498 DOCUMENT NUMBER:

ORIGINAL REFERENCE NO.: 64:5069d

A new synthesis of benzimidazoles and aza-analogs TITLE: Ridley, H. F.; Spickett, R. G. W.; Timmis, G. M. AUTHOR (S): CORPORATE SOURCE: Smith Kline French Labs. Ltd., Welwyn Garden City, UK SOURCE:

Journal of Heterocyclic Chemistry (1965), 2(4), 453-6 CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

English LANGUAGE: CASREACT 64:27498 OTHER SOURCE(S):

A new procedure for the preparation of benzimidazoles and aza analogs from o-diamines and aldehyde bisulfite adducts is described.

4946-05-8, Benzimidazole, 1-[2-(diethylamino)ethyl]-2-phenyl-5-

(trifluoromethyl)-, dihydrochloride

(preparation of) 4946-05-8 CAPLUS

Benzimidazole, 1-[2-(diethylamino)ethyl]-2-phenyl-5-(trifluoromethyl)-, CN

dihydrochloride (7CI, 8CI) (CA INDEX NAME)

● 2-He1-

ANSWER 129 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Benzimidazole, 1-[2-(diethylamino)ethyl)-2-phenyl-5-(trifluoromethyl)-, dihydrochloride (7CI, BCI) (CA INDEX NAME)

●2 HC1

5012-49-7 CAPLUS Benzimidazole, 5-chloro-1-[2-(dimethylamino)ethyl]-2-phenyl- (7CI, 8CI) (CA INDEX NAME)

5234-45-7 CAPLUS Benzimidazole, 1-[2-(dimethylamino)ethyl)-2-phenyl-5-(trifluoromethyl)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HCl

ANSWER 131 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 22 Apr 2001 ACCESSION NUMBER: 1965:494764 CAPLUS

63:94764 DOCUMENT NUMBER:

ORIGINAL REFERENCE NO .: 63:17370d-g

Two-component diazo materials giving images of TITLE:

improved stability PATENT ASSIGNEE(S): Kalle A.-G.

SOURCE: 11 pp. DOCUMENT TYPE: Patent

Unavailable

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE NL 6414440 19650624 NL 19631223 PRIORITY APPLN. INFO.: DE

For diagram(s), see printed CA Issue. The materials which are described contain a diazotized p-phenylenediamine and at least one 2-(3,5-dihydroxyphenyl)benzimidazole compound of general formula I, where Rl is H or a substituted or unsubstituted alkyl group, R2 is H, F, Cl, Br, or an alkyl or alkoxy group, and R3 is H or Br. For example, a copying paper precoated with colloidal silicic acid and poly(vinyl acetate) was coated with 100 ml. of a solution containing citric

(4 g.), boric acid (2 g.), concentrated HCl (0.5 ml.), thiourea (4 g.), 1,3,4-naphthalenetrisulfonic acid (3 g.), 1 g. 4-diazonium diethylaminobenzene chloride-ZnCl2, and 1-(y-morpholinopropyl)-2-(4bromo-3,5-didroxyphenyl) benzimidazole (2 g.). The coated paper was exposed and then developed with NH3 to give a reddish blue image on a pure white background. The benzimidazole derivative used in this example was prepared by dissolving 4-bromo-3,5-diacetoxybenzoyl chloride (33.5 g.) in dioxane (100 ml.), and slowly adding the solution obtained to a solution of N-(y-morpholinopropyl)-o-phenylenediamine (21.5 g.) in dioxane (40 ml.) containing pyridine (8 ml.) at $30-40^{\circ}$. The dioxane was removed from the mixture by distillation, and the sirupy residue was dissolved in 2N

NaOH. The saponified product (m.p. 115° with decomposition) was precipitated with HOAC, and 20 g. of the solid were heated with 30% HCl at 90° for 30 min.

and then boiled for 10 min. to give a colorless hydrochloride, m. 255° (decomposition) (H2O), free base m. 208-9° (decomposition) (PhCl). 5284-57-1, Resorcinol, 2-bromo-5-[1-(3-morpholinopropyl)-2-

benzimidazolyl] - 100408-07-9, Resorcinol, 2-bromo-5-[1-(3morpholinopropyl)-2-benzimidazolyl}-, hydrochloride (in light-sensitive composition for diazotype process)

5284-57-1 CAPLUS Resorcinol, 2-bromo-5-[1-(3-morpholinopropy1)-2-benzimidazoly1]- (7CI, 8CI) (CA INDEX NAME)

05/24/2005

ANSWER 131 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

100408-07-9 CAPLUS Resorcinol, 2-bromo-5-[1-(3-morpholinopropyl)-2-benzimidazolyl]-, CN hydrochloride (7CI) (CA INDEX NAME)

●x HCl

ANSWER 132 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (HCl salt m. 219-20°); 1-PhCH2 analog (XXXVII) of III, XXIII, V, II. 177-8°; 6-Me deriv. (XXXVIII) of III, 4,5-H2N(MeNH)C6H3Me, V, II, 144°; 5-Me deriv. (XXXIX) of III, 3,4-H2N (MeNH) C6H3Me, V, II, 184-5°; 1-Ph analog (XL) of III, XXV, V, II, 106-7°; 1-Et analog (XLI) of III, XI, V, II, 129°; 1-Pr analog (XLII) of III, XII, V, II, 130-1°; 5-NO2 deriv. (XLIII) of III, 3,4-H2N(MeNH)C6H3NO2, V, II, 182-5°: 1-ethyl-2-(o-hydroxyphenyl)-6methylbenzimidazole (XLIV), 4,5-H2N(EtNH)C6H3Me, V, II, 133-6; 6-MeO deriv. (XLV) of III, 4,5-H2N(MeNH)C6H2OMe, V, II, 153-4°; 6-Cl deriv. (XLVI) of III, 4,5-H2N(MeNH)C6H3Cl, V, II, 139-41°; 2-(o-H0C6H4) analog (XLVII) of XX, XXI, V, II, 157-8°; 1-methyl-2-(o-hydroxyphenyl)naphtho[2',3':4,5]imidazole (XLVIII), 2,3-H2NC10H6NHMe, V, II, 155-6°; 1-methyl-2-(2,4dihydroxyphenyl)benzimidazole (XLIX), IV, 2,4-(HO)2C6H3CHO, II, 122-38°; bis(1-methyl-2-(o-hydroxyphenyl)naphtho) [1,2:7,8]imidazole (L), 1,8,2,7-(H2N)2 C10H4(NHMe)2, V, air, 330-5°, 2-(o-HOC16H4) isomer (LI) of XXVII, [3,4-H2N(MeNH)C6H3]2-CH2, V, II, 264°. By treatment of the appropriate hydroxyarylbenzor naphthimidazole with a suitable 1,2-quinone 2-diazide sulfonyl chloride were prepd. the corresponding sulfonates (acid chloride and parts by wt., imidazole deriv. and parts by wt., and m.p. of resulting ester given): 1,2-naphthoquinone 2-diazide 4-sulfonyl chloride (LII), 6, III, 4.5, 142-4°; LII, 1.5, VI, 1.1, 175-6°; 5-SO2Cl isomer (LIII) of LII, 0.8, VI, 0.6, 105-8°; LII, 3, VIII, 2.54, 157-62°; LIII, 1.5, VIII, 1.27, 134-6°: LII, 1.5, X, 1.2, 165-7°; LII, 3, XII, 2.5, 170-2°; LII, 3, XIV, 2.7, 132-4°; LIII, 3, XIV, 2.7, 163-5°; LII, 3, XV, 2.5, 135-40°; LII, 3, XVI, 2.5, 184-5°; LIII, 2, XVII, 2.7, 133-5°; LII, 2, XVIII, 2.5, 215-20°; LIII, 0.8, III, 0.5, 143-5°; LII, 1.9, XX, 1.65, 16,5-7°; LII, 3, XXII, 3, 175-80°; LIII, 3, XXII, 3, 178-80°; LIII, 3, XXIV, 3, 97°; LII, 2, XXIV, 3, 90=110*; LII, 1.8, XXVI, 1.4, 120*; LII, 0.6, XXVIII, 0.6 119-20°; LIII, 1.3, XXVII, 0.9, 150°; LIII, 3, XXIX, 2.23, 225-30°; LII, 2.3, XXXI, 2.7, 140-2°; 6-SO2Cl isomer (LIV) of LII, 2.7, VIII, 2, 128-30°; 1,2-benzoquinone 2-diazide 4-sulfonyl chloride (LV), 2.3, VIII, 2.5, 115-19°; LV, 2.3, VI, 2.2, 80-4°; LII, 2, XXX, 3.5,110-15°; LII, 3, XXXII, 2.25, 160-5°; LIII, 2, XXXIV, 2.7, 175-8°; LII, 2, XXXV, 3.2, 169-70°; LIII, 2, XXXV, 3.2, 137-8°; LII, 3, XXXVI, 3.2,170°: LIII, 3, XXXVI, 3.2, 162-4°: LII, 3, XXXVII, 3,148-50°; LIII, 3, XXXVII, 3,149-50°; LII, 2, XXXVIII, 2.4, 115-16"; LII, 2, XXXIX, 2.4, 176-8"; LII, 2, XL, 1.9, 174°; LII, 3, XLI, 2.4, 146-8°; LII, 3, XLII, 2.5, 114-16°; LIII, 3, XXXII, 2.25, 170°; LIII, 3, XLIII, 2.7, 203-5°; LII, 3, XLIV, 2.5, 112-15°; LII, 3, XLV, 2.5, 170-1°; LII, 3, XLVI, 2.6, 126-8°; LII, 1.65, XLVII, 1.45, 153-4°; LII, 3, XLVIII, 2.7, 159-61°; LV, 2.3, XLVIII, 2.7, 125-30°; LII, 3, XLIX, 1.2, 170°; LII, 1.5, L, 1.05, 150-5°; LII, 3, LI, 2.3, 235-40° 94961-54-3, Phenol, 2-methoxy-4-[1-(2-piperidinoethyl)-2benzimidazolyl] - 96590-66-8, Phenol, 2-methoxy-4-{1-(2piperidinoethyl)-2-benzimidazolyl)-, 3-diazo-3,4-dihydro-4-oxo-1naphthalenesulfonate 107062-67-9, 1-Naphthalenesulfonic acid, 6-diazo-5,6-dihydro-5-oxo-, ethylenebis(1,2-benzimidazolediyl-p-phenylene) ester, hydrochloride 120335-74-2, Phenol, 4,4'-(ethylenedi-1,2benzimidazolediyl)di-, bis(6-diazo-5,6-dihydro-5-oxo-1-naphthalene-

Phenol, 2-methoxy-4-{1-(2-piperidinoethyl)-2-benzimidazolyl)- (7CI) (CA

US 3050389 19620821 US PRIORITY APPLN. INFO.: DE A series of light-sensitive esters was prepared by the condensation of 1,2-benzoquinone 2-diazide sulfonyl chlorides or 1,2-naphthoquinone 2-diazide sulfonyl chlorides with suitable 2-(hydroxyaryl)benzimidazoles or 2-(hydroxyaryl)naphthoimidazoles. The new esters are useful for the production of light-sensitive layers on paper or Al foil support by standard procedures. The appropriate o-diamine of the benzene or naphthalene series condensed in alc. solution with a suitable aromatic hydroxybenzaldehyde in the presence of air or PhNO2 (I) or m-C6H4(NO2)2 (II) yielded the corresponding benz- or naphthimidazole; in this manner were prepared the following compds. (diamine and aromatic hydroxyaldehyde used, added oxidant, and m.p. of product given): 1-methyl-2-(o-hydroxyphenyl)benzimidazole (III), o-MeNHC6H4NH2 (IV), o-HOC6H4CHO (V), II, 164-5°; p-isomer (VI) of III, IV, p-HOC6H4CHO (VII), air, 283-5"; 1-methyl-2-(4-hydroxy-3-methoxyphenyl)benzimidazole (VIII), IV, 4,3-HO(MeO)C6H3CHO(IX), I, 203-5°; 1-Et analog(X) of VI, o-EthHC6H4NH2(XI), VII, air, 242-5°; 1-Pr analog(XII) of VI, o-PrnHc6H4NH2 (XIII), VII, air, 239-40°; 1-Bu analog (XIV) of VI, o-BunHc6H4NH2 VII, air, 168-70°; 6-MeO derivative (XV) of VI, 4,5-H2N(MeNH)C6H3OMe, VII, air, 263-6°; 1-ethyl-2-(p-hydroxyphenyl)-6-methylbenzimidazole (XVI), 4,5-H2N(EtnH)C6H3Me, VII, air, 287-9°; 5-NO2 derivative (XVII) of VI, 3,4-H2N(MeNH)C6H3NO2, VII, air, 112-13°; 1-methyl-2-(3-hydroxy-4-methoxyphenyl)benzimidazole (XVIII), IV, 3,4-HO-(MeO)C6H3CHO (XIX), I, 194-5°; 1-(2-hydroxyethyl)-2-(p-hydroxyphenyl)benzimidazole (XX), o-HOCH2CH2NHC6H4NH2 (XXI), VII, air, 196-8°; 1-PhCH2 analog (XXII) of VIII, o-PhCH2NHC6H4NH2 (XXIII), VII, I, 232-4°; 1-Ph analog (XXIV) of VIII, o-PhNHC6H4NH2 (XXV), VII, I, 280-1°; 1-methyl-2-(4-hydroxynaphthyl)benzimidazole (XXVI), IV, 4-HOC10H6CHO, air, 310-12°; bis[1-methyl-2-(p-hydroxyphenyl)-5-benzimida2olyl]methane (XXVII), [3,4-H2N(MeNH)C6H3]2CH2 VII, air, 322-6°; 1-methyl-2-(o-hydroxynaphthyl)benzimidazole (XXVIII), IV, 2-HOC10H6CHO, I, 288-90°: 1,2-bis(2-(p-hydroxyphenyl)-1-benzimidazolyl)ethane (XXIX), (o-H2NC6H4NHCH2)2, VII, I, 403-4°; 1-(2-piperidinoethyl)-2-(4-hydroxy-3-methoxyphenyl)benzimidazole (XXX), N-(2-piperidinoethyl)-ophenylenediamine, IX, II, 165-7%; 1-(p-dimethylaminophenyl)-2-(4-hydroxy-3-methoxyphenyl)benzimidazole (XXXI), o-H2NC6H4NHC6H4NMe2-p, IX, I, 225-6'; m-isomer (XXXII) of VI, IV, m-HOC6H4CHO (XXXIII), II, 187°: 1-methyl-2-(o-hydroxyphenyl)naphtho[1',2':4,5]imidazole (XXXIV), 1,2-H2NC10H6NHMe, V, II, 157-8°; 1-(2-hydroxyethyl)-2-(4hydroxy-3-methoxyphenyl)benzimidazole (XXXV), XXI, IX, II, - (HCl salt m. 250-2*); 2-(3,4-HO(MeO)C6H3) analog (XXXVI) of XXV, XXI, XIX, II, -ANSWER 132 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 132 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

59:62362

10 pp.

Patent Unavailable

Sues, Oskar

Azoplate Corp.

DATE

1963:462362 CAPLUS

1,2-quinone diazide sulfonates

Light-sensitive N-alkyl-2-benzimidazolylphenyl

APPLICATION NO.

DATE

Entered STN: 22 Apr 2001

ORIGINAL REFERENCE NO .: 59:11505e-h,11506a-e

ACCESSION NUMBER:

PATENT ASSIGNEE (S):

PATENT INFORMATION:

PATENT NO.

DOCUMENT NUMBER:

INVENTOR (5):

DOCUMENT TYPE:

TITLE:

SOURCE:

LANGUAGE:

96590-66-8 CAPLUS 1-Naphthalenesulfonic acid, 3-diazo-3,4-dihydro-4-oxo-, 2-methoxy-4-[1-(2-piperidinoethyl)-2-benzimidazolyl]phenyl ester (6CI, 7CI) (CA INDEX NAME)

107062-67-9 CAPLUS 1-Naphthalenesulfonic acid, 6-diazo-5,6-dihydro-5-oxo-, ethylenebis(1,2-benzimidazolediyl-p-phenylene) ester, hydrochloride (7CI) (CA INDEX NAME)

sulfonate)

(preparation of) 94961-54-3 CAPLUS

(Continued) ANSWER 132 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

♠x HCl

120335-74-2 CAPLUS 1-Naphthalenesulfonic acid, 6-diazo-5, 6-dihydro-5-oxo-, ethylenebis(1,2-benzimidazolediyl-p-phenylene) ester (6CI) (CA INDEX

(Continued) ANSWER 133 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN 97572-69-5, Benzimidazole, 5-chloro-1-[4-(diethylamino)-1methylbutyl}-2-phenyl-, dipicrate 98067-91-5, Benzimidazole, 5-chloro-1-[3-(diethylamino)-1-methylpropyl]-2-phenyl-(prepn. of)

95005-44-0 CAPLUS Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-[3-(diethylamino)-1-

methylpropyl] - (7CI) (CA INDEX NAME)

95140-02-6 CAPLUS

Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-(4-(diethylamino)-1methylbutyl] - (7CI) (CA INDEX NAME)

95619-70-8 CAPLUS

Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-[2-(diethylamino)-1-

methylethyl)-, dihydrochloride (7CI) (CA INDEX NAME)

●2 HC1

95619-71-9 CAPLUS

Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-(2-(diethylamino)-1methylethyl] - (7CI) (CA INDEX NAME)

Entered STN: 22 Apr 2001 1963:428514 CAPLUS ACCESSION NUMBER: 59:28514 DOCUMENT NUMBER:

ORIGINAL REFERENCE NO.: 59:5149g-h,5150a-c TITLE: Benzimidazoles

AUTHOR (S): Knobloch Wolfgang, I.; Schaefer, Helmut Journal fuer Praktische Chemie (Leipzig) (1962), SOURCE:

17(3-4), 187-98 CODEN: JPCEAO; ISSN: 0021-8383

ANSWER 133 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

DOCUMENT TYPE: Journal LANGUAGE: Unavailable OTHER SOURCE(S): CASREACT 59:28514

For diagram(s), see printed CA Issue. 1-Diethylamino-2-aminopropane (60 g.), 88 g. 2,5-C12C2H3NO2, 0.4 g. Cu powder, 36 g. Na2co3, and 260 cc. PhNO2 was refluxed 5 hrs. at 130°, 1 hr. at 140°, the mixture cooled, diluted with 500 cc.

Et20, and extracted with 500 cc. 5NHCl to give 57.3 g. I (R = NO2, n = 1) (Ia), b3 167-8°; picrate m. 158-60°. Reduction of Ia with SnC12.2H20 and 7N HC1 gave 82.5i I (R = NH2, n = 1) (II), b8 152-6°, m. 40-3°. Similarly were prepared the following I (R,

n, b3, and m.p. of derivative where given): NO2, 2, 179-82°; NO2, 3 (III), 196-7°; NH2, 2, 161-3°, 140.5° (tri-HCl salt;) NH2, 3, 175-7°. Heating II 6 hrs. with 88% HCO2H gave 84% IV (R = NH2, n = 1), b3 178-3°; di-HCl salt m. 238-43°; dipicrate m. 183-5°. II and Ac20 refluxed 45 min. gave 41% IV $\{R = Me, n = 1\}$; dipicrate m. $160-2^{\circ}$. III (4.05 g.) and 2.3 g. glycolic acid was heated 2 hrs. at 190-200° to give 72t IV (R = CH2OH, n = 2), b3

195-205°; di-HCl salt m. 201-16°; dipicrate m.
198-200°. Treating 3.9 g. III and 2.4 g. ClCH2CO2H in 15 cc. 7N
HCl gave 39% IV (R = CH2Cl, n = 2); dipicrate m. 218-22°. Ia (3.85) g.) and 4.2 g. PhCH2CO2H kept 1.5 hrs. at 180° and 30 min. at

200° gave 86% IV (R = PhCH2, n = 1), b3 215-20°; HCl salt m. 64-72°; dipicrate m. 213-18°. Similarly were prepared the

64-72°; dipicrate m. 213-18°. Similarly were prepared the following IV (R, n, b3, m.p. HCl salt and picrate given): H, 2, 185-90°, -, 202-3°; H, 3, 195-8°, -, 165-7°; Me, 2, -, -, 252-4°; Me, 3, +, -, 200-3°; CH2OH, 1, 170-80° (m. 45-9°), 159-67°, 188-92°; CH2OH, 3, 220-5°, 1513°, 177°; CH2Cl, 3, -, -, 191-3°; PhCH2, 2, 210-18°, -, 226-30°; PhCH2, 3, 220-7°, -, PhcH2, 2, 210-18, -, 226-30; PhcH2, 3, 220-7, -, 182-5°; p-MeOC6H4CH2, 1, 230-5°, -, 217-22°; p-MeOC6H4CH2, 2,240-5°, -, 199-204°; p-MeOC6H4CH2, 3, 240-50°, -, 185-7°; Ph, 1, 237-40°, 221-4°, -; Ph, 2, 220-40°, -, -; Ph 3, 260-5°, -, 192-5°; p-ClC6H4, 1, 240-5°, 174-83°, -; p-ClC6H4, 2, 260-5°, -, 200-20°; p-ClC6H4, 2, 200-20°; p-ClC6H4, 200-20°; p-

255-60°, -, 83-7°; p-ClC6H4, 3, 270-5°, -, 184-203°. The ultraviolet absorption maximum and min. of IV in MeOH and 0.1N HCl were recorded as well as the dissociation consts.

(diethylamino)-1-methylpropyl)- 95140-02-6, Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-[4-(diethylamino)-1-methylbutyl]-95619-70-8, Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-[2-(diethylamino)-1-methylethyl]-, dihydrochloride 95619-71-9, Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-[2-(diethylamino)-1methylethyl] - 96076-12-9, Benzimidazole, 5-chloro-2-(pchlorophenyl)-1-[3-(diethylamino)-1-methylpropyl]-, picrate 96673-83-5, Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-[4-

95005-44-0, Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-[3-

(diethylamino)-1-methylbutyl]-, dipicrate 97572-68-4, Benzimidazole, 5-chloro-1-[4-(diethylamino)-1-methylbutyl]-2-phenyl-

ANSWER 133 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

96076-12-9 CAPLUS Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-[3-(diethylamino)-1-

methylpropyl]-, picrate (7CI) (CA INDEX NAME)

CM 1

CRN 95005-44-0 CMF C21 H25 C12 N3

CM

88-89-1 CMF C6 H3 N3 O7

96673-83-5 CAPLUS Benzimidazole, 5-chloro-2-(p-chlorophenyl)-1-[4-(diethylamino)-1-

methylbutyl}-, dipicrate (7CI) (CA INDEX NAME)

CRN 95140-02-6 CMF C22 H27 C12 N3 L4 ANSWER 133 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Me | CH- (CH₂) 3-NEt₂ | N | C1

CM 2 CRN 88-89-1

CMF C6 H3 N3 O7

O2N NO2 OH

RN 97572-68-4 CAPLUS
CN 1H-Benzimidazole-1-butanamine, 5-chloro-N, N-diethyl-δ-methyl-2-phenyl- (9CI) (CA INDEX NAME)

C1 Ph

CH- (CH2)3-NEt2

Me

CM 1 CRN 97572-68-4

CMF C22 H28 C1 N3

ANSWER 134 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 22 Apr 2001 1963:428513 CAPLUS ACCESSION NUMBER: 59:28513 DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: 59:5149d-g TITLE: Synthesis of 2-[o(m and p)methoxyphenyl]benzimidazoles with the β-dialkylaminoethyl group in position 1 Sawlewicz, Jozef; Bukowski, Ludwik; Rogaczewska, Maria AUTHOR (S): Med. Acad., Gdansk, Pol. CORPORATE SOURCE: Dissertationes Pharmaceuticae (1962), 14, 297-303 SOURCE: CODEN: DIPHAH; ISSN: 0301-1615 DOCUMENT TYPE: Journal LANGUAGE: Unavailable GI For diagram(s), see printed CA Issue. A series of 1-(B-dialkylaminoethyl)-2-(methoxyphenyl)benzimidazoles (I) was prepared by condensing the appropriate 2-(methoxyphenyl)benzimidazoles (II) and Cl (CH2)2 NR2.HCl (III) with NaNH2. Thus, 0.01 mole each of II and III and 3.5 g. NaNH2, were refluxed 5 hrs. in anhyd, dioxane. Distillation of the solvent gave I. Hydrochlorides of I prepd, by saturating a C6H6 solution with dry HCl. The following results were obtained [position of OMe in I and II, R, m.p. of I (recrystn. solvent), % yield of I, m.p. of picrate of I (recrystn. solvent), and m.p. of hydrochloride of I (recrystn. solvent) given): o, Et, sbd; (oil), 210-12° (Me2CO), 226-8° (EtOH); m, Et, -(oil), 83, 188-90° (Me2CO), 230-40° (EtOH); p, Et, 70-2° (C6H6-ligroine), 82.5, - (-), 150-3° and 233-5° (-); o, Me, -(oil), 69, 204-6* (Me2CO), 162-4* (EtOH); m, Me, -(oil), 68, 229-31* (H2O-Me2CO), 213-14* (EtOH); p, Me, 97-9* (C6H6-ligroine), 73.7, -(-), 174-6* and 217-18* (-). Reaction of 1 g. of a 1-(β-hydroxyethyl)-2-(methoxyphenyl)benzimidazole (IV) with 6 g. SOC12 at reflux 4 hrs... followed by distn, of the excess SOC12, treatment of the residue several times with C6H6, evapn, to dryness, solution in H2O, and treatment with NH3 solution, gave the following 1-βchtoroethyl)-2-(methoxyphenyl)benzimidazoles (V) (position of OMe in IV and V, m.p. (recrystn. solvent), and % yield given): o, 112-13*
(C6H6-ligroine), 90; m, 75-7* (Et2O-ligroine), 77.3; p, 93-4° (C6H6-ligroine), 97. Attempted prepn, of I (R = Et) by reaction of V with Et2NH at 160° for 12 hrs. gave no reaction. 5322-99-6, Benzimidazole, 1-{2-(diethylamino)ethyl}-2-(pmethoxyphenyl) - 5323-00-2, Benzimidazole, 1-(2-(diethylamino)ethyl)-2-(p-methoxyphenyl)-, hydrochloride 16861-71-5, Benzimidazole, 1-[2-(dimethylamino)ethyl]-2-(pmethoxyphenyl) - 95167-32-1, Benzimidazole, 1-2-(dimethylamino)ethyl-2-(m-methoxyphenyl)- 95167-33-2, Benzimidazole, 1-[2-(dimethylamino)ethyl]-2-(o-methoxyphenyl)-96064-04-9, Benzimidazole, 1-(2-(diethylamino)ethyl)-2-(mmethoxyphenyl) - 96064-05-0, Benzimidazole, 1-[2-(diethylamino)ethyl)-2-(o-methoxyphenyl)- 98780-59-7, Benzimidazole, 1-[2-(dimethylamino)ethyl]-2-(p-methoxyphenyl)-, hydrochloride 98780-60-0, Benzimidazole, 1-[2-(dimethylamino)ethyl]-2-(o-methoxyphenyl)-, hydrochloride 98780-61-1, Benzimidazole, 1-2-(dimethylamino)ethyl-2-(mmethoxyphenyl)-, hydrochloride 100337-81-3, Benzimidazole, 1-[2-(diethylamino)ethyl)-2-(o-methoxyphenyl)-, hydrochloride 100337-82-4, Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(mmethoxyphenyl)-, hydrochloride

Benzimidazole, 1-(2-(diethylamino)ethyl)-2-(p-methoxyphenyl)- (7CI, 8CI)

L4 ANSWER 133 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH- (CH₂)₃-NEt₂
Me

CRN 88-89-1 CMF C6 H3 N3 O7

O2N NO2 OH

RN 98067-91-5 CAPLUS
CN Benzimidazole, 5-chloro-1-{3-{diethylamino}-1-methylpropyl}-2-phenyl{7CI} (CA INDEX NAME)

L4 ANSWER 134 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-CH2-NEt2

RN 5323-00-2 CAPLUS
CN Benzimidazole, 1-{2-(diethylamino)ethyl}-2-(p-methoxyphenyl)-,
hydrochloride (7CI, 8CI) (CA INDEX NAME)

CH2-CH2-NEt2

• HC1

CH2- CH2- NMe2

RN 95167-32-1 CAPLUS
CN Benzimidazole, 1-[2-(dimethylamino)ethyl]-2-(m-methoxyphenyl)- (7CI) (CA INDEX NAME)

Me₂N-CH₂-CH₂
N
OMe

RN 95167-33-2 CAPLUS
CN Benzimidazole, 1-[2-(dimethylamino)ethyl]-2-(o-methoxyphenyl)- (7CI) (CA INDEX NAME)

(preparation of) 5322-99-6 CAPLUS

(CA INDEX NAME)

L4 ANSWER 134 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 96064-04-9 CAPLUS
CN Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(m-methoxyphenyl)- (7CI) (CA TNDEY NDME)

RN 96064-05-0 CAPLUS
CN Benzimidazole, 1-[2-(diethylamino)ethyl]-2-(o-methoxyphenyl)- {7CI} (CA INDEX NAME)

RN 98780-59-7 CAPLUS
CN Benzimidazole, 1-{2-(dimethylamino)ethyl}-2-(p-methoxyphenyl)-,
hydrochloride (7CI) (CA INDEX NAME)

●x HCl

RN 98780-60-0 CAPLUS

L4 ANSWER 134 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

•x HCl

05/24/2005

L4 ANSWER 134 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) CN Benzimidazole, 1-(2-(dimethylamino)ethyl)-2-(o-methoxyphenyl)-, hydrochloride (7CI) (CA INDEX NAME)

●x HCl

RN 98780-61-1 CAPLUS
CN Benzimidazole, 1-{2-(dimethylamino)ethyl}-2-(m-methoxyphenyl}-,
hydrochloride {7CI} (CA INDEX NAME)

●x HCl

RN 100337-81-3 CAPLUS
CN Benzimidazole, 1-{2-(diethylamino)ethyl}-2-(o-methoxyphenyl)-,
hydrochloride (7CI) (CA INDEX NAME)

●x HCl

L4 ANSWER 135 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN ED Entered STN: 22 Apr 2001 ACCESSION NUMBER: 1963:73368 CAPLUS DOCUMENT NUMBER: 58:73368 ORIGINAL REFERENCE NO.: 58:12574b-d

TITLE: Certain 1-imidazolinylmethy1-2-arylbenzimidazoles
INVENTOR(S): Schindler, Walter
PRITENT ASSIGNER(S): Geigy Chemical Corp.

PATENT ASSIGNEE(S): Geigy Chemical Corp.
SOURCE: 1 p.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

LANGUAGE: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 3073841 19630115 US
GB 950523 GB
PRIORITY APPLN. INFO.: CH 19600915
GI For diagram(s), see printed CA Issue.

Benzimidazoles substituted in the 1-position by the 2-imidazolin-2ylmethyl radical have uterus-contracting properties. To o-C6H4(NH2)2 32
in 95 parts by volume of alc. 36 parts p-MeC6H4CHO was added dropwise at
0°, 90 parts PhNO2 added, the alc. and H2O distilled azeotropically,
the mixture heated at the b.p. of PhNO2 15 min., cooled, an equivn amount of
alc. HCl added, 2-(p-methylphenyl)benzimidazole-HCl filtered off, washed
with anhydrous alc., suspended in H2O, concentrated Na2CO3 solution added, and

free base (I) filtered off, washed with, and recrystd. from, alc., m. 276-8°. I 6 was dissolved in 200 parts by volume PhMe, a suspension of 1.5 parts NaNH2 in PhMe added, the mixture refluxed 18 hrs., cooled to 50°, a C6H6 solution of the base from 7 parts 2-chloromethyl-2-imidazoline-HCl added, the whole heated 2 hrs. at 50-60°, refluxed 2 hrs., cooled, H2O added, extracted 3 times with 2N HOAC, made alkaline, and

resulting crystals recrystd. from EtOAc to give 1-(2-imidazolin-2-ylmethyl)-2-(p-methylphenyl)benzimidazole, m. 198-9°. Similarly prepared were 1-(2-imidazolin-2-ylmethyl)-2-phenylbenzimidazole (II), m. 175°, and 1-(2-imidazolin-2-ylmethyl)-2-(p-

chlorophenyl)benzimidazole.

1T 93317-58-9, Benzimidazole, 1-(2-imidazolin-2-ylmethyl)-2-phenyl93330-03-1, Benzimidazole, 2-(p-chlorophenyl)-1-(2-imidazolin-2ylmethyl)- 93880-46-7, Benzimidazole, 1-(2-imidazolin-2ylmethyl)-2-p-tolyl-

(preparation of) 93317-58-9 CAPLUS

CN Benzimidazole, 1-(2-imidazolin-2-ylmethyl)-2-phenyl- (7CI) (CA INDEX

RN 93330-03-1 CAPLUS
CN Benzimidazole, 2-(p-chlorophenyl)-1-(2-imidazolin-2-ylmethyl)- (7CI) (CA INDEX NAME)

AB

Andrew Freistein 10/630896

L4 ANSWER 135 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 93880-46-7 CAPLUS
CN Benzimidazole, 1-(2-imidazolin-2-ylmethyl)-2-p-tolyl- (7cI) (CA INDEX NAME)

ANSWER 136 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) heated 3 hrs. at 120° gave 2.6 g. VII (R = Me), b2 176-8°, nD 1.5509. I (R = Et) (1.9 g.), 2.6 g. VI, and 0.1 g. AcOH heated 3 hrs. at 120° gave 2.9 g. VII (R = Et), b2 172-4°, nD 1.5438. IV (R = H) (2.4 g.), 2.6 g. VI, and 0.1 g. AcOH heated 3 hrs. at 120°, the oily product (3.7 g.) pressed on clay, and recrystd. from Et20 gave 2.4 g. YCH2CH2N.CR:N.C:C.CH:CH.CH:CH (VIII) (R = H), m. 61° ; HCl salt m. 144-5°; picrate m. 201° (decompn.). IV (R = Me) (2.6g.), 2.6g. VI, and 0.1 g. AcOH heated 3 hrs. at 120° gave 3.4 g. VIII.H20 (R = Me), m. 73° (moist Et20). IV (R = Et) (2.9 g.), 2.6 g. VI, and 0.1 g. AcOH heated 3 hrs. at 120° gave 1.9 g. VIII (R = Et), b1 207-10°, m. 57-8° (Et20). Va (2.4 g.), 2.6 g. VI, and 0.1 g. AcOH heated 3 hrs. at 120° gave 2.4 g. YCH2CH2N.N:N.C:C.CH:CH:CH;CH, b1 185-9°, nD 1.5872. I (R = H) (1.4 g.), 2.9 g. 2,4-dimethyl-6-vinyl-pyridine (IX), and 0.1 g. AcOH heated 4 hrs. at 140° gave 3.0 g. WCH2CH2N.CR:N.CH:CH (X) (R = H) (W = 2,4-dimethyl-6-pyridyl throughout this abstr.), b2 184-6°, nD 1.5421. I (R = Me) (1.65 g.), 2.9 g. IX, and 0.1 g. AcOH heated 4 hrs. at 140° gave 3.0 g. X (R = Me), b2 181-3°, nD 1.5360. I (R = Et) (1.9 g.), 2.9 g. IX, and 0.1 g. AcOH heated 4 hrs. at 140° gave 3.3 g. X (R = Et), b2 178-80°, nD 1.5330. IV (R = H) (2.4 g.), 2.9 g. IX, and 0.1 g. AcOH heated 4 hrs. at 140° gave 2.3 g. WCH2CH2-N.CR:N.C:C.CH:CH:CH:CH (XI).H20 (R = H), m. 79-80°; HC1 salt m. 216-17 (decompn.); methiodide m. 164; picrate m. 210-12° (decompn.). Va (2.9 g.), 2.9 g. IX, and 0.1 g. AcON heated 4 hrs. at 140° gave 2.2 g. WCH2CH2N.N:N.C:C.CH:CH:CH:CH:CH (XII).H2O, m. 72-3° (H2O); anhyd. XII m. 51-2°; methiodide m. 167°. I (R = H) (1.4 g.), 2.3 g. 4-vinylpyridine (XIII), and 0.1 g. AcoH heated 4 hrs. at 130° gave 2.3 g. QCH2CH2N.CR:N.CH:CH (XIV) (R = H) (Q = 4-pyridyl throughout this abstr.), bl 184-6°, nD 1.5539; HCl salt m. 194-5°. I (R = Me) (1.65 g.), 2.3 g. XIII, and 0.1 g. AcOH heated 4 hrs. at 130° gave 2.3 g. XIV (R = Me), b1 173-6° nD 1.5480. I (R = Et) (1.9 g.), 2.3 g. XIII, and 0.1 g. AcOH heated 3 hrs. at 140° gave 2.5 g. XIV (R = Et), bl $169-71^{\circ}$ nD 1.5371. IV (R = H) (2.4 g.), 2.3 g. XIII, and 0.1 g. AcOH heated 4 hrs. at 130°, the mixt. concd., the residue taken up in Et20, and the soln. cooled gave 1.3 g. QCH2CH2N.CR:N.C:C.CH:CH:CH:CH (XV) (R = H), m. 101° (CC14); picrate m. 211° (decompn.); reineckate m. 163* (decompn.). IV (R = Me) (2.6 g.), 2.3 g. XIII, and 0.1 g. AcOH heated 4 hrs. at 130° gave 1.6 g. XV (R = Me), m. 129° (CC14 or Et20); methiodide m. 182-3°. IV (R = Et) (2.9 g.), 2.3 g. XIII, and 0.1 g. AcOH heated 4 hrs. at 130° gave 1.3 g. XV (R = Et), m. 107° (CC14); methiodide m. 164° 80144-55-4, Benzimidazole, 2-phenyl-1-{2-(2-pyridyl)ethyl}-(preparation of) 80144-55-4 CAPLUS

1H-Benzimidazole, 2-phenyl-1-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX

L4 ANSWER 136 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 22 Apr 2001 1961:124810 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 55:124810 ORIGINAL REFERENCE NO.: 55:23505b-1,23506a-g Pyridylethylations of imidazole, benzimidazole, and TITLE: benzotriazole AUTHOR (5): Profft, Elmar; Georgi, Wolfgang CORPORATE SOURCE: Tech. Hochschule Chem., Leuna-Merseburg, Germany Ann. (1961), 643, 136-44 SOURCE: DOCUMENT TYPE: Journal Unavailable LANGUAGE: For diagram(s), see printed CA Issue. The condensations of some vinylpyridines with a number of heterocyclic compds. were investigated. (All nD at 20°). HN.CR:N.CH:CH (I) (R = H) (3.4 g.), 5.8 g. 2-vinylpyridine (II), and 0.3 g. AcOH heated 3 hrs. at 110°, the excess II and AcOH distilled in vacuo, and the residue fractionated twice in vacuo gave 7.0 g. ZCH2CH2N.CR:N.CH:CH (III) (R = H) (Z = 2-pyridyl throughout this abstract), bl 161-3°, nD 1.5490; di-HCl salt m. 202°; picrate m. 203°; reineckate m. 150° (decomposition). III (R = H) (2 g.) in 10 cc. AcOH heated 2 hrs. at 80° with 3 cc. 30% H2O2, the solution treated with an addnl. 3 cc. 30% H2O2, kept 3 hrs. at 80°, concentrated in vacuo, treated with hot saturated aqueous Na2CO3 until an alkaline reaction was obtained, and the isolated with CHCl3 gave 1.3 g. N-oxide-H2O of III (R = H), m. 62° (C6H6 with C). I (R \Rightarrow Me) (1.65 g.), 2.3 g. II, and 0.1 g. AcOH heated 3 hrs. at 120° gave 2.6 g. III (R = Me), b3 179-81°, nD 1.5526; reineckate m. 165° (decomposition). I (R = Et) (1.9 g.), 2.3 g. II, and 0.1 g. AcOH heated 3 hrs. at 110° gave 2.6 g. III (R = Et), b1 158-60°, n20D 1.5429; HCl salt m. 197°. HN.CR:N.C:C.CH:CH.CH:CH (IV) (R = H) (5.9 g.), 5.8 g. II, and 0.3 g. AcOHheated 3 hrs. at 120° and the product rubbed with Et20 gave ZCH2CH2-N.CR:N.C:C.CH:CH.CH.CH.CH.(V) (R = H), m. 69° (Et20), which recrystd. from H2O yielded 7.0 g. V.H2O (R = H), m. 54°; HCl salt m. 148°; picrate m. 213° (decomposition); reineckate m. 160° (decomposition). Oxidation of V (R = H) as above gave 52% N-oxide of V hydrate (R = H), m. 89 $^{\circ}$ (C6H6), reconverted to V (R = H) with Fe and AcOH. IV (R = Me) (6.6 g.), 5.8 g. II, and 0.3 g. AcOH heated 3 hrs. at 120° gave 8.1 g. V.H2O (R = Me), m. 75° (Et2O or H2O), which lost its H2O after 5 days in vacuo over NaOH and then m. 62~3°; HCl salt m. 158°; picrate m. 215° (decomposition); reineckate m. 165° (decomposition); methiodide m. 162° (EtoH-Et20). IV (R = Et) $\{2.9 \text{ g.}\}$, 2.3 g. II, and 0.1 g. AcOH heated 3 hrs. at 120° gave 56% V (R = Et), m. 72° (Et20). IV (R = PhCH2) (4.2 g.), 2.3 g. II, and 0.1 g. AcOH heated to melting then heated 4 hrs. at 140° and the product crystallized from Et20 gave 4.6 g. crude V (R = PhCH2), m. 116° (CCl4 with C). IV (R = Ph) (3.9 g.), 2.3 g. II, and 2.4 g. AcOH heated 5 hrs. at 140°, concentrated, the residue taken up in CHCl3, the solution filtered, the filtrate evaporated, and the residue extracted with hexane with addition of C gave (in 2 crops) 2.2 g. V (R PhCH2), m. 80-1°. Benzotriazole (Va) (2.4 g.), 2.3 g. II, and 0.1 g. AcOH heated 3 hrs. at 120° gave 2.4 g. ZCH2CH2N.N:N.C:C.CH:CH:CH:CH; b2 200-5°, nD 1.5918. I (R = H) (1.4 g.), 2.6 g. 2-methyl-6-vinylpyridine (VI), and 0.1 g. AcOH heated 3 hrs. at 120° gave 2.7 g. YCH2CH2N.CR:N.CH:CH (VII) (R = H) (Y = 2-methyl-6-pyridyl throughout this abstract), b2 174-6°, nD 1.5605; picrate m. 188°. I (R = Me) (1.65 g.), 2.6 g. VI, and 0.1 g. AcOH

ANSWER 137 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 22 Apr 2001 ACCESSION NUMBER: 1961:110606 CAPLUS DOCUMENT NUMBER: 55:110606 55:20737g-i,20738a-d ORIGINAL REFERENCE NO.: Photosensitive materials for reproduction purposes TITLE: PATENT ASSIGNEE(S): Kalle & Co. Akt.-Ges. DOCUMENT TYPE: Patent LANGUAGE: Unavailable FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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APPLICATION NO.
PATENT NO.
                     KIND
                            DATE
                                                                 DATE
                            ------
                            19600615
                                         GB
GB 837368
In addition to the information given in Ger. 1,047,622 (CA 55, 4214d), the
following substituted 2-(4-hydroxyphenyl)benzimidazoles were prepared from
the appropriate ortho diamines (I) with p-HOC6H4CHO (II) in EtOH
(substituent, m.p. of product, and I used are given): 1-Me (III),
283-5°, o-H2NC6H4NHMe (IIIa); 1-Et, (IV) 242-5°
o-H2NC6H4NHEt; 1-Pr (V), 239-40°, o-H2NC6H4NHPr; 1-Bu (VI),
168-70°, o-H2NC6H4NHBu; 1-Me, 6-MeO (VII), 263-6°,
4,5-H2N(MeNH)C6H3OMe; 1-Et, 6-Me (VIII), 287-9°,
4,5-H2N(EtNH)C6H3Me; 1-Me, 5-NO2 (IX), 112-13*,
3,4-H2N(MeNH)C6H3NO2; 1-HOCH2CH2 (X), 196-8°, o-HOCH2CH2NHC6H4NH2; 1-PhCH2 (XI), 232-4°, o-PhCH2NHC6H4NH2 (PhNO2 added); 1-Ph (XII),
280-1°, o-PhNHC6H4NH2 (PhNO2 added). Similarly were prepared the
following compds. (m.p. and I and aromatic hydroxy aldehyde used are
given): 1-methyl-2-(2-hydroxyphenyl)benzimidazole (XIII), 164-5°,
IIIa, o-HOC6H4CHO (XIV) (m-C5H4(NO2)2 added); 1-methyl-2-(4-hydroxy-3-
methoxyphenyl)benzimidazole (XV), 203-5°, IIIa, 4,3-HO(MeO)C6H3CHO
(XVI) (PhNO2 added); 1-methyl-2-(3-hydroxy-4-methoxyphenyl)benzimidazole
 (XVII), 194-5°, IIIa, 3,4-HO(MeO)C6H4CHO (PhNO2added);
1-methyl-2-(4-hydroxynaphthyl)benzimidazole (XVIII), 310-12°, IIIa,
4-HOC10H6CHO; 1-methyl-2-(2-hydroxynaphthyl)benzimidazole (XIX),
288-90°, IIIa, 2-HOC10H6CHO (PhNO2 added); bis[1-methyl-2-(4-
hydroxyphenyl)-5-benzimidazolyl]methane (XX), 322-6°,
[3,4-H2N(MeNH)C6H3]2, II; 1,2-bis[2-(4-hydroxyphenyl)benzimidazolyl]ethane
 (XXI), 403-4°, (o-H2NC6H4NHCH2)2, II (PhNO2 added);
1-(2-piperidinoethyl)-2-(4-hydroxy-3-methoxyphenyl)benzimidazole (XXII),
165-7, N-(2-piperidinoethyl)-o-phenylenediamine, XVI [m-C6H4(NO2)2
added); 1-(4-dimethylaminophenyl)-2-(4-hydroxy-3-
methoxyphenyl)benzimidazole (XXIII), 225-6°, p-Me2NC6H4NHC6H4NH2-o,
XVI (PhNO2 added): 1-methyl-2-(3-hydroxyphenyl)benzimidazole (XXIV).
187°, IIIa, m-C6H4CHO [m-C6H4(NO2)2 added]; 1-methyl-2-(2-
hydroxyphenyl) naphtho[1',2';4,5]imidazole (XXV), 157-8*,
1,2-H2NC10H6NHMe, XIV [m-C6H4(NO2)2 added). A series of light-sensitive
esters (XXVI) described in Ger. patent 1,047,622 (loc. cit.) was prepared by
 the reaction of an appropriate sulfo-1,2-benzoquinone or
sulfo-1,2-naphthoquinone diazide with a 2-hydroxyarylbenz- or
naphthimidazole (quinone diazide used and amount in parts, imidazole derivative
used and amount in parts, and m.p. of resulting XXVI given):
4-chlorosulfonyl-1, 2-naphthoquinone 2-diazide (XXVII), 6, XIII, 4.5,
142-4°; XXVII, 1.5, III, 1.1, 175-6°; 5-SO2Cl isomer
 (XXVIII) of XXVII, 0.8, III, 0.6, 105-8°; XXVII, 3, XV, 2.54,
157-62°; XXVIII, 1.5, XV, 1.27, 134-6°; XXVII, 1.5, IV 1.2, 165-7°; XXVII, 3, V, 2.5, 170-2°; XXVII, 3, VI, 2.7,
132-4°; XXVIII, 3, VI, 2.7, 163-5°; XXVII, 3, VII, 2.5
135-40°; XXVII, 3, VIII, 2.5, 184-5°; XXVIII, 3, IX, 2.7,
133-5°; XXVII 3, XVII, 2.5, 215-20°; XXVIII, 0.8, XIII, 0.5,
 143-5°; XXVII, 1.9, X, 1.65, 165-7°; XXVII, 3, XI, 3,
 175-80°; XXVIII, 3, XI, 3, 178-80°; XXVIII, 3, XII, 3,
 97°; XXVII, 3, XII, 3, 90-110°; XXVII, 1.8, XVIII, 1.4,
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05/24/2005

HCl, the

ANSWER 137 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) about 120°; XXVII, 0.6, XIX, 0.6, 119-20°; XXVIII, 1.3, XX, 0.9, about 150°; XXVIII, 3, XXI, 2.23, 225-30°; XXVII, 2.3, XXIII, 2.7, 140-2°; 6-SO2C1 isomer of XXVII, 2.7, XV, 2, 128-30°; XXVII, 2.2, XV, 2.5, 115-19°; XXVII, 2.3, III, 2.2, 80-4°; XXVII, 3, XXII, 3.5, 110-15°; XXVII, 3, XXIV, 2.25, 160-5°; XXVIII, 3, XXV, 2.7, 175-8°.

94961-54-3, Guaiacol, 4-{1-(2-piperidinoethyl)-2-benzimidazolyl}96590-66-8, Guaiacol, 4-{1-(2-piperidinoethyl)-2-benzimidazolyl}-,
3-diazo-3,4-dihydro-4-oxo-1-naphthalenesulfonate
(preparation of)

(preparation of)
94961-54-3 CAPLUS

CN Phenol, 2-methoxy-4-[1-[2-piperidinoethyl]-2-benzimidazolyl]- (7CI) (CA INDEX NAME)

RN 96590-66-8 CAPLUS
1-Naphthalenesulfonic acid, 3-diazo-3,4-dihydro-4-oxo-,
2-methoxy-4-[1-(2-piperidinoethy1)-2-benzimidazoly1]phenyl ester (6CI,
7CI) (CA INDEX NAME)

ANSWER 138 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN H, Ph), m. above 250° (from MeOH-Me2CO). A similar direct cyclization of IIIa to V did not occur. The stimulant action of serotin on isolated segments of sheep carotid artery was inhibited 150 times more by 5-methylamino- than by 5-amino-3-ethyl-2-methylindole (cf. Shaw and Woolley, C.A. 48, 9554d). The corresponding benzimidazole analogs were prepd. on the assumption that methylation of 2-methyl-5(6)nitrobenzimidazole (VII) with Me2SO4 in the absence of alkali gave mainly 1,2-dimethyl-6-nitrobenzimidazole (cf. Philips, C.A. 25, 4265). VII (10 g., prepd. by nitration of 2-methylbenzimidazole) heated 7 hrs. at with 7.5 ml. Et2SO4, the mixt. basified with 4N NaOH and filtered, the product (30-40%) crystd. from dil. alc. and C6H6 gave 1 part 1-ethyl-2-methyl-5-nitrobenzimidazole, m. 176°, and 8 parts 1-ethyl-2-methyl-6-nitrobenzimidazole, m. 142°, reduced 3 hrs. in alc. at 3 atm. over Raney Ni to 6-amino-1-ethyl-2-methyl-benzimidazole (VIII), m. 174° (from C6H6-petr. ether); monopicrate, m. 205°. VIII in 98-100% HCO2H distd. slowly 6 hrs. with dropwise addn. of PhMe with passage of distd. H2O and PhMe through a Dufton column, the mixt. dild. with H2O, the aq. layer sepd. and evapd. to dryness in vacuo, the residue taken up in H2O and basified with NaHCO3, the alk. soln. extd. with CHCl3, the dried ext. evapd., and the residue crystd. from EtCOMe gave 1-ethyl-6-formamido-2-methylbenzimidazole, m. 170°. The formyl compd. (0.25 g.) in 20 ml. tetrahydrofuran added slowly to 1 g. LiAlH4 in 20 ml. tetrahydrofuran, the stirred mixt. boiled 1.5 hrs., the excess LiAlH4 decompd., the product extd. with Et20, the ext. evapd. and treated with picric acid gave 1-ethyl-2-methyl-6methylaminobenzimidazole dipicrate, m. above 140° (decompn.) (from dil. alc.). As proof of structure attempts to replace the Cl atom in 2,5-C1(NO2)C6H3NHEt by an NH2 group, or in 2,5-C1(NO2)C6H3NH2 by NHEt failed. 101091-09-2, Benzimidazole, 1-(2-aminoethyl)-2-phenyl-

(preparation of) 1 101091-09-2 CAPLUS

CN Benzimidazole, 1-(2-aminoethyl)-2-phenyl- (6CI) (CA INDEX NAME)

RN 106882-87-5 CAPLUS
CN Benzimidazole, 1-(2-aminoethyl)-2-phenyl-, dihydrochloride (6CI) (CA INDEX NAME)

●2 HCl

ANSWER 138 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 22 Apr 2001 ACCESSION NUMBER: 1957:66594 CAPLUS DOCUMENT NUMBER: 51:66594 ORIGINAL REFERENCE NO.: 51:12075h-i,12076a-h Benzimidazole analogs of biologically active indole TITLE: derivatives Foster, R.; Ing, H. R.; Rogers, E. F. AUTHOR (S): CORPORATE SOURCE: Oxford Univ., UK Journal of the Chemical Society, Abstracts (1957) SOURCE: 1671-4 CODEN: JCSAAZ; ISSN: 0590-9791 DOCUMENT TYPE: Journal Unavailable LANGUAGE: CASREACT 51:66594 OTHER SOURCE(S): To ascertain whether its pharmacol. activity resembles or differs from that of serotonin, the benzimidazole analog, 1-(2-aminoethyl)-6hydroxybenzimidazole (6, 2-RR'-C7H3N2CH2CH2NH2, where R, R' = HO, H) (I) has been prepared Anhydrous CaCl2 (1.5 g.), 24 g. NH2CH2CH2NH2, and 15 g. 3,4-Cl(O2N)C6H3OMe stirred at 50°, heating discontinued to subsidence of reaction, the mixture heated 1 hr. on a steam bath, excess amine evaporated at 100° in vacuo, and the residue crystallized from 0.5N HCl yielded 76% N-(3-methoxy-6-nitrophenyl)ethylenediamine-HCl. The salt (14.5 g.) heated with 21 g. o-C6H4(CO)2O in 40 ml. pyridine 6 hrs. at 100°, the mixture diluted with H2O, and the solid product crystallized from dioxane yielded 96% 5-methoxy-2-nitro-N-(2-phthalimidoethyl)aniline, m. 208°. The phthalimido compound (21 g.) in 70 ml. 98-100° HCO2H and 250 ml. H2O stirred 2 hrs. at 100° with 35 g. Fe powder and dropwise addition of 30 ml. HCl, the cooled mixture extracted with CHCl3, the gummy product crystallized from dilute alc. yielded 37% 6-methoxy-1-(2phthalimidoethyl)benzimidazole 6, 2-RR'-C7H3N2CH2CH2N(CO)2C6H4, where R = MeO, R' = H) (II), m. 174*, reduced with N2H4 and converted to 1-(2-aminoethyl)-6-methoxybenzimidazole dipicrate, m. 214-18* (decomposition) (from dilute alc.). II (6 g.) boiled 5 hrs. with 100 ml. 46-8% HBr, the mixture diluted with 100 ml. H2O, filtered, the flitrate evaporated in vacuo at 100°, the dark violet residue taken up in NH40H, evaporated at room temperature, precipitated with saturated aqueous picric acid, and the precipitate crystallized 4 times from 50% aqueous alc. gave I dipicrate, m. above 140° (decomposition). o-C6H4(CO) 2NCH2CH2NHC6H4NO2-o, m. 176° (cf. Karrer and Naef, C.A. 31, 6928), (25 g.) refluxed 6 hrs. in EtOH with stirring in the presence of 25 g. Fe powder and dropwise addition of 12 ml. HCl, filtered hot, the flitrate diluted with excess H2O, and the crystalline product recrystd. from gave o-R2NHC6H4NR2CH2CH2N(CO)2C6H4-o (R2 = H) (III), m. 124-5°, acetylated with Ac20 to III (R2 = Ac) (IIIa), m. 192-3 (from CHCl3-Me2CO). IIIa (3 g.) boiled 3 hrs. with 15 ml. POCl3, the solution diluted with H2O and extracted with CHCl3, the product crystallized from gave II (R,R' # H, Me) (IV), m. 170°. IV boiled 10 hrs. in alc. with an equal weight of N2H4, the mixture acidified and filtered, the filtrate extracted with CHCl3, the extract evaporated, the residue taken up in dilute

L4 ANSWER 138 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

gave I (R,R' = H, Me) (V) di-HCl salt, m. above 250° . III (10 g.) heated 4 hrs. at 100° with 40 g. Bz O gave III (R = Bz) (VI), m. 197-8° (from CHCl3-Me2CO), converted by hydrazinolysis to I (R,R' =

acid solution concentrated in vacuo, and the product crystallized twice from

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L4 ANSWER 139 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN
      Entered STN: 22 Apr 2001
ACCESSION NUMBER:
                               1955:53503 CAPLUS
DOCUMENT NUMBER:
                               49:53503
ORIGINAL REFERENCE NO.: 49:10271a-i,10272a-d
                               Benzimidazoles
TITLE:
                               Jerchel, Dietrich; Kracht, Manfred; Krucker, Karl
AUTHOR (S):
CORPORATE SOURCE:
                               Univ. Mainz, Germany
                               Ann. (1954), 590, 232-41
SOURCE:
DOCUMENT TYPE:
                               Journal
                               Unavailable
LANGUAGE:
OTHER SOURCE (S):
                               CASREACT 49:53503
     cf. C.A. 47, 2752c. Heating a solution of 2.25 g. 2-thenaldehyde and 2.2 g.
      o-C6H4(NH2)2 in 3 cc. PhNO2 gives 95% 2-(a-thienyl)benzimidazole (I), m. 284° (from 60% alc.). Heating 3.6 g.
      4,5,1,2-C12C6H2(NH2)2 and 2.25 g. 2-thenaldehyde in 4 cc. PhNO2 gives 92%
      2-(a-thienyl)-5,6-dichlorobenzimidazole (II), m. 252° (from alc.-H2O); II.HCl (III), m. 278°. Heating 1 g.
      o-C6H4(NH2)2 and 1 g. 3-pyridinecarboxaldehyde in 20 cc. PhNO2
      gives 39% 2-(β-pyridyl)benzimidazole (IV), m. 243° (from
      alc.-H2O); IV.HCl (V), m. 247° (from alc.-Et2O). Similarly 1 g.
      4-pyridinecarboxaldehyde and 1 g. o-C6H4(NH2)2 heated in 1.5 cc. PhNO2 gives 0.8 g. 2-{y-pyridyl)benzimidazole (VI), m.
      217-18° (from alc.-H2O). Heating a solution of 4 g. (2-H2NC6H4CH:)2
      and 2.2 g. BzH in PhNO2 gives 1.12 g. (20%) 2,4,5-triphenylimidazole (Lophin) (VII), m. 275° (from alc.-H2O). Refluxing 3.4 g.
       1,3-dimethyl-4,5-diaminouracil (VIII) with 2.1 BzH gives 4.5 g. of the
      corresponding Schiff base, m. 273°, which, heated in 18 cc. PhNO2
      at 200°, gives 3.2 g. (63%) 8-phenyltheophylline (IX), sublimes
      225°. Refluxing 9.6 g. 5,6-diaminouracil-2H2SO4, 4.25 g. BzH, and
       8 g. Ba(OAc)2 in 48 cc. PhNO2 gives (30%) 8-phenylxanthine (X), crystals
       from AcOH. Refluxing a solution of 1.5 g. dry CCl3CHO and 1.1 g.
      o-C6H4(NH2)2 in PhNO2 gives 52% dibenzimidazol (XI), m.
       320° (from 1:3 alc.-H2O); XI.HCl (from EtOAc-Et2O). Heating a
       solution of 3 g. o-C6H4(NH2)2 and 3 g. BzH in 250 cc. C6H6 with 0.5 g. Pd on
      Kieselgel gives 95% 2-phenylbenzimidazole (XII), m. 291°. XII is also obtained from BzH.NaHSO3. In the same manner 3 g.
      p-clc6H4CH:NC6H4NH2-o gives 2.5 g. 2-(p-chlorophenyl)benzimidazole
       (XIII), m. 294°. Similarly 3.39 g. 4,5,1,2-C12C6H2(NH2)2 and 2 g.
       BzH in 400 cc. dry C6H6 give 3.7 g. 2-phenyl-5,6-dichlorobenzimidazole
       (XIV), almost colorless needles, m. 218° (from MeOH). From 1.7 g.
      furfural and 2 g. o-C6H4(NH2)2 is obtained 3 g. 2-(2-furyl)benzimidazole (XV), m. 287°. From 2 g. 2-thenaldehyde and 2 g. o-C6H4(NH2)2 is obtained 3.3 g. 2-(2-thienyl)benzimidazole (XVI), m. 284°. From 1.8 g. 4,5,1,2-C12C6H2(NH2)2 and 1.1 g.
       2-thenaldehyde is obtained 93% 2-(2-thienyl)-5,6-dichlorobenzimidazole
       (XVII), m. 252°. From 3 g. 2-pyridinecarboxaldehyde, 3 g.
       o-C6H4(NH2)2, and 1 g. Pd on Kieselgel is obtained 4.5 g.
      2-(α-pyridyl)benzimidazole (XVIII), m. 220-21°. Similarly 3.6 g. IV, m. 245° and VI, m. 217-18°, are obtained. From 2.5 g. 2-quinoline carboxaldehyde and 1.75 g. o-C6H4 (NH2) 2 is
       obtained (50%) 2-(\alpha-quinoly1)benzimidazole (XIX), m. 220-22
       (from MeOH). From 1 g. BzH and 1.7 g. VIII is obtained 95% IX. A solution
       of 115 g. XIII in 1200 cc. boiling MeOH treated with 9 g. AgNO3 in 20 cc.
      NH4OH gives 18.6 g. of the Ag salt. A suspension of 10 g. of this Ag salt in 100 cc. xylene is dried by distilling off 75 cc. of the solvent and is then heated with 6 cc. BrCH2CO2Et, giving 4.4 g. Et 2-(p-chlorophenyl)benzimidazole-1-acetate (XX), m. 158 (from petr.
       ether). Treating 1 g. XX with 0.3 g. LiAlH4 in 350 cc. Et20 gives 0.83 g.
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ANSWER 139 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN 1-hydroxyethyl-2-(p-chlorophenyl)benzimidazole (XXI), white crystals, m. 170° (from MeOH). A soln. of 1 g. XX in 8 cc. AmOH treated with 2.5 g. Na gives 0.52 g. XXI. A soln. of 0.8 g. XXI in 20 cc. dry CHCl3 treated with a soln. of 1.5 g. PC15 in 50 cc. CHC13 gives the oily 1-(β-chloroethyl)-2-(p-chlorophenyl)benzimidazole (XXII). Warming XXII with 3 cc. pyrrolidine gives 48% 1-(β-pyrrolidinoethyl)-2-(pchlorophenyl)benzimidazole (XXIII), colorless crystals, m. 84° (from 5:2 alc.-H2O). A soln. of 10 g. XII in 250 cc. MeOH treated with a soln. of 9 g. AgNO3 in 15 cc. H2O and 20 cc. concd. NH4OH gives 15 g. of the Ag salt. A suspension of 10 g. of this salt in 100 cc. dry xylene is dried by distilling off 70 cc. of solvent and then refluxed with 6 g. Eto2CCH2Br, giving 5.2 g. Et 2-phenylbenzimidazole-1-acetate (XXIV), m. 110-12° (from petr. ether). Heating 1.5 g. XXIV with excess N2H4.H2O gives 1.3 g. 2-phenylbenzimidazole-1-acethydrazide (XXV), m. 203-4° (from MeOH). Treating a soln. of 0.75 g. XXV in 20 cc. iso-PrOH with 3 g. p-MeOC6H4CHO gives 0.59 g. 2-phenylbenzimidazole-1acetic acid p-methoxybenzylidenehydrazide (XXVI), yellow crystals, m. 260-61° (from MeOH). Treating 4.39 g. 2-benzylbenzimidazole (XXVII) with 3.58 g. AgNO3 as above gives 6.2 g. of the Ag salt. Treating 6.3 g. of this Ag salt with BrCH2CO2Et gives 1.2 g. Et 2-benzylbenzimidazole-1-acetate (XXVIII), m. 115-16° (from petr. ether); heating 0.93 g. XXVIII with 24% N2H4.H2O gives 0.65 g. hydrazide (XXIX), needles, m. 187-8° (from MeOH). XIV (3.67 g.) gives 4.8 g. (93%) XIV Ag salt. Treatment of 3.1 g. of this Ag salt with BrCH2CO2Et in . xylene gives 1.7 g. Et 2-phenyl-5,6-dichlorobenzimidazole-1-acetate (XXX),
m. 151* (from petr. ether, b. 90-100*); a soln. of 1.4 g. XXX in alc. treated with 5 cc. 24% N2H4.H2O gives 0.78 g. hydrazide (XXXI), sublimes 240°, m. 260-70°. In the above manner 1 g. XX treated with 4 cc. 241 N2N4.H2O gives 0.8 g. 2-(pchlorophenyl)benzimidazole-1-acethydrazide (XXXII), m. 325° (from HCONMe2-H2O, 3:1). From 2.16 g. XV and 1.99 g. AgNO3 is obtained 3.23 g. of the Ag salt. Treating 3.2 g. of this Ag salt with BrCH2CO2Et gives 0.5 g. (17%) Et 2(α-furyl)benzimidazole-1-acetate (XXXIII), white needles, m. 100° (from petr. ether, b. 90-100°). Heating 0.5 g. XXXIII at 120° with 3 moles 24% NH2NH2.H2O gives 61 mg hydrazide (XXXIV), white needles, m. 227-9°. The in vitro tuberculostatic activity is given of XXV, XXVI, XXIX, XXXI, XXXII, and XXXIV, as well as the influence of XVIII, IV, VI, and XIX on the growth of Trichophyton granulosum and Microsporon gypseum. 347414-43-1, p-Anisaldehyde, (2-phenyl-1benzimidazolylacetyl)hydrazone (preparation of) 347414-43-1 CAPLUS

(Continued)

1H-Benzimidazole-1-acetic acid, 2-phenyl-, [(4-

methoxyphenyl)methylene]hydrazide (9CI) (CA INDEX NAME)

ANSWER 141 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 22 Apr 2001 1951:36138 CAPLUS ACCESSION NUMBER: 45:36138 DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: 45:6191g-i,6192a-b Some aminoalkyl derivatives of benzimidazole TITLE: AUTHOR(S): Sorm, F.; Urban, J. Tech. Univ. Prague CORPORATE SOURCE: Collection of Czechoslovak Chemical Communications SOURCE: (1950), 15, 196-203 CODEN: CCCCAK; ISSN: 0010-0765 DOCUMENT TYPE: Journal LANGUAGE: English CASREACT 45:36138 OTHER SOURCE(S): AB cf. C.A. 24, 1838. 2-(2-Aminoethyl)benzimidazole (I) is prepared in 3 ways. o-C6H4(NH2)2 (II) heated 1.5 hrs. at 145° with double its weight of BzNHCH2CH2CO2H gives 75% 2-(2-benzamidoethyl)benzimidazole (III), converted by EtOHHCl to the mono-HCl salt, m. 229-30°. III boiled 3 hrs. with 15% HCl gives 67% I.2HCl, softens at 280 $^{\circ}$, m. 325°. A solution of 4.5 g. II and 4.9 g. β -alanine in 40 cc. 15% HCl is evaporated and the residue heated 2 hrs. at 160° and extracted with MeOH, giving 35% I.2HCl, converted by NH4OH-CHCl3 to I, m. 160°. I rapidly absorbs CO2 from the air. Et 2-benzimidazolepropionate heated with N2H4.H2O in EtOH gives 87% of the hydrazide, decompose 256 (from MeOH); this with iso-AmNO2 in EtOH and HCl, followed by refluxing, gives 65% 2-[2-(carbethoxyamino)ethyl]benzimidazole-HCl, decompose 235-7°, which, refluxed 7 hrs. with concentrated HCl, yields 93% I.2HCl. 2-Phenylbenzimidazole (IV) (9 g.) in 150 cc. hot dioxane treated with 7.5 g. 2-(1-piperidyl)ethyl chloride and 3 g. NaNH2 and the mixture refluxed 6 hrs. gives, after filtration and solvent removal, 12.4 g. of a viscous oil, purified by crystallization and distillation to yield 1-(2-(1-piperidyl)ethyl)-2-

ANSWER 140 OF 142 CAPLUS COPYRIGHT 2005 ACS on STN

phenylbenzimidazole-(V),-m.-64-7°;-picrate,-m.-151°;-HC1salt, m. 229-31°; succinate, V.C4H6O4, m. 120-1°. In the same way, 4.5 g. IV, 2.9 g. Me2NCH2CH2Cl, and 1.5 g. NaNH2 give 4.3 g. crude product that after sublimation yields crystals of 1-(2-dimethylaminoethyl)-2-phenylbenzimidazole, m. 79-80.5° (forms a carbonate in air); picrate, m. 193-4°; succinate, m. 135°. In the same way 4.2 g. 2-(p-methoxyphenyl)benzimidazole, 3 g. 2-(1-piperidyl)ethyl chloride, and 1.2 g. NaNH2 give 1-[2-(1piperidyl)ethyl]-2-(p-methoxyphenyl)benzimidazole, m. 104° (forms a carbonate in air); HCl salt, m. 248°. 14339-09-4, Benzimidazole, 2-phenyl-1-(2-piperidinoethyl)-

(and derivs.) 14339-09-4 CAPLUS 1H-Benzimidazole, 2-phenyl-1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX

Entered STN: 22 Apr 2001 ACCESSION NUMBER: 1949:38899 CAPLUS 43:38899 DOCUMENT NUMBER: 43:7021g-i,7022a ORIGINAL REFERENCE NO .: Histamine antagonists. V. Some 1-(2-TITLE: dimethylaminoethyl)benzimidazole derivatives Wright, John B. AUTHOR(S): Journal of the American Chemical Society (1949), 71, SOURCE: 2035-7 CODEN: JACSAT; ISSN: 0002-7863 DOCUMENT TYPE: Journal Unavailable LANGUAGE: CASREACT 43:38899 OTHER SOURCE(S): cf. c.A. 43, 4257e. Me2N(CH2)2NH2 (82.9 g.), 201.9 g. o-C6H4NO2, and 200 g. anhydrous AcONa, heated 8 hrs. at 120-30°, give 51% o-(2-dimethylaminoethylamino)nitrobenzene (I), red oil, b0.2 125-6*, nD25 1.6148. I (13 g.) in 50 ml. concentrated HCl, treated at 5° with 50 g. SnCl2.2H2O in 72 ml. concentrated HCl (temperature rise to 50°), gives 98% o-(2-dimethylaminoethylamino)aniline (II), m. 54-5 (m.ps. corrected). II (6.2g.) and 3 ml. anhydrous HCO2H, heated 2 hrs. on the steam bath, give 84% 1-(2-dimethylaminoethyl)benzimidazole (III), b0.2 115-20° [di-HCl salt, m. 234-6° (uncor.)]. II and Ac20 give 81% of the 2-Me derivative of III, b0.3 117° [di-HCl salt, m. 238-9.5° (uncor.)]. II and Bz20, heated 16 hrs. at 145-50°, give 88% of the 2-Ph derivative of III, m. 72.5-4° [di-HCl salt, m. 234° (decomposition)]. II and iso-PrCHO give 33t of the 2-iso-Pr derivative of III, yellow, bl.1 136-40° (dipicrate, yellow, m. 235-6° (decomposition, uncor.)]. 1-(2-Dimethylaminoethyl)benzotriazole, b0.3 115-17°, 72% (HCl salt, m. 170.5-1.5°). These compds. possess only slight antihistaminic 175712-81-9, Benzimidazole, 1-(2-dimethylaminoethyl)-2-phenyl-, dihydrochloride (preparation of) 175712-81-9 CAPLUS 1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-phenyl-, dihydrochloride

CH2-CH2-NMe2

(9CI) (CA INDEX NAME)

●2 HC1

L4 ANSWER 142 OF 142 CAPLUS COPYRIGHT 2005 ACS ON STN ED Entered STN: 22 Apr 2001 1947:17170 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 41:17170 ORIGINAL REFERENCE NO.: 41:34561,3457a 2-(p-Chlorophenyl)-1-(1-diethylamino-4-pentyl)-5-methoxybenzimidazole TITLE: McKee, R. L.; Bost, R. W. AUTHOR (S): Univ. of North Carolina, Chapel Hill CORPORATE SOURCE: Journal of the American Chemical Society (1947), 69, SOURCE: CODEN: JACSAT; ISSN: 0002-7863 DOCUMENT TYPE: Journal Unavailable LANGUAGE: CASREACT 41:17170 OTHER SOURCE(S): AB N-{1-Diethylamino-4-pentyl}-2-nitro-4-methoxyaniline (7.3 g.) in 20 cc. Et2O, reduced at room temperature over Raney Ni (2 atmospheric initial pressure), and the dried solution treated with 25 cc. C5H5N and 4.6 g. p-ClC6H4COCl and heated overnight on the steam bath, gives 521 2-(p-chlorophenyl)-1-(1diethylamino-4-pentyl)-5-methoxybenzimidazole, red-brown, b3 240°. 412311-22-9, Benzimidazole, 2-(p-chlorophenyl)-1-(4-diethylamino-1-methylbutyl)-5-methoxy-(preparation of) 412311-22-9 CAPLUS RN 1H-Benzimidazole-1-butanamine, 2-(4-chlorophenyl)-N, N-diethyl-5-methoxy-

δ-methyl- (9CI) (CA INDEX NAME)

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---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

SINCE FILE TOTAL COST IN U.S. DOLLARS **ENTRY** SESSION 866.15 704.18 FULL ESTIMATED COST TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE SESSION ENTRY -103.66 -103.66 CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 08:42:05 ON 24 MAY 2005